=> d his

L1

(FILE 'HOME' ENTERED AT 10:05:34 ON 29 APR 2004)

FILE 'STNGUIDE' ENTERED AT 10:05:44 ON 29 APR 2004

FILE 'HOME' ENTERED AT 10:06:18 ON 29 APR 2004

FILE 'REGISTRY' ENTERED AT 10:06:21 ON 29 APR 2004

STRUCTURE UPLOADED

L2 QUE L1

L3 34 S L2

L4 659 S L2 SSS FUL

FILE 'CAPLUS' ENTERED AT 10:06:44 ON 29 APR 2004

L5 40 S L4

L6 ANALYZE L5 1- RN HIT: 659 TERMS

FILE 'REGISTRY' ENTERED AT 10:07:05 ON 29 APR 2004

L7 100 S 193752?/RN

L8 3 S L4 AND L7

L9 656 S L4 NOT L8

FILE 'CAPLUS' ENTERED AT 10:09:43 ON 29 APR 2004

L10 30 S L9

L11 27 S L10 AND PATENT/DT

L12 3 S L10 NOT L11

L13 3 S L12 AND PD>1999

=> d 12

L2 HAS NO ANSWERS

L1 STR

Structure attributes must be viewed using STN Express query preparation. L2 QUE ABB=ON PLU=ON L1

10/075,635

ANSWER 1 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
2004:142799 CAPLUS
140:164138
140:164138

**ENTOR(S): Clark, Richard F., Djuric, Stevans Ma, Zhenkuns Phan, Lyr Rupp, Michael
USA
US. Pat. Appl. Publ., 16 pp.
COMENT TYPE: Patent
GUAGE: USXRCO
Patent
HILY ACC. NUM. COUNT: 1

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

KIND DATE APPLICATION NO. DATE 970 A1 20040219

US 2004033970
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):

Antibacterial erythromycin derivs. I, wherein RI is H, Ac, Bz, TMS, triethylsilyl, RZ is -CH-CH-, -C.tplbond.C-; R3 is heterocycle, tetra-azolyl, furanyl, imidazolyl, iso-thiazolyl, iso-vazolyl, naphthyl, 1,2,3-oxadiazolyl, oxazolyl. Ph, pyrazinyl, pyrazolyl, pyridazinyl, pyrimidinyl, pyrcolyl, 1,3,4-thiadiazolyl, thiazolyl, pyridyl (pyridinyl), thianyl, pyrindinyl), 1,3,5-triazolyl, X is H, heterocycle; with improved pharmacokinetic profiles and salts, prodrugs, and salts of prodrugs thereof, processes for making the compds. and intermediates used in the processes, compns. containing the compds., and methods for prodpylawis and treatment of bacterial infections using the compds. are disclosed. Thus, (3s,4,78,98,10g,11s,11s,1s,1s,1s,1s,1s)-10-((25,3,4,5,6)8,4-6(dimthylamino)-3-hydroxy-6-methyltetrahydro-2H-pyran-2-yl)oxy)-4-ethyl-7-fluoro-3a,7,9,11,13,15-hexamethyl-11-((2E)-3-(5-(2-

L11 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

619296-72-9 CAPLUS
2H-Tetrazole-2-propanenitrile, 5-[5-[3-[[(3as,4R,7s,9R,10R,11R,13R,15R,15a,R)-4-echtyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[(3,4,6-trideoxy-3-(dimethylamino)-p-D-xylo-hexpyranoxyl]oxyl-2H-roxacyclotetradecino(4,3-d)oxazol-11-yl]oxy]-1-propynyl]-2-thienyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

619296-73-0 CAPLUS

G15/20-73-W CARUS
2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,3,15-hexamethyl-11-[13-[6-(2-methyl-2H-tetrazol-5-yl)-3-pyridinyl]-2prophynylloxy]-10-[13,4,6-trideoxy-3(dimethylamino]-P-D-sylo-hexopyranosylloxy]-,
(3as,4R,75,9R,10R,11R,13R,1SR,15R,15SH)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
methyl-2H-tetrazol-5-yl) thien-2-yl)prop-2-enyl)oxyl octahydro-2Hoxacyclotetradecino(4,3-d] [1,3] oxacol-2-2,6,8,14(1H,7H,9H)-tetrone was
prepd. and tested as antibacterial agent. The daily therapeutically
effective amt. of the compds. administered to a patient in single or
divided doses range from about 0.1 to about 200 mg/kg body wt. preferably
from about 0.25 to about 100 mg/kg body wt. Compds. of this invention
displayed antibacterial activity superior to the control, which control
demonstrated no antibacterial activity. The pharmacokinetic profiles were
evaluated using cassette dosing protocols in dog at a dose of 1 mg/kg.

619296-66-1P 619296-74-1P 619296-73-29
619296-60-9P 619296-81-9P 619296-73-29
623175-52-0P 623175-53-1P 623175-51-9P
623175-58-0P 623175-53-1P 623175-57-59
623175-68-0P 623175-59-7P 623175-57-7P
623175-68-0P 623175-59-7P 623175-67-PP
623175-68-0P 623175-59-PP
RL: PAC (Pharmacological activity): PKT (Pharmacokinetics): SPN (Synthetic
preparation): THM (Therapeutic use): BIOL (Biological study): PREP
(Preparation): USES (Uses)
(preparation): THM (Therapeutic use): BIOL (Biological study): PREP
(Preparation): THM (Therapeutic use): BIOL (Biological study): PREP
(Preparation): ATM (Therapeutic use): BIOL (Biological study): PREP
(Preparation): THM (Therapeutic use): BIOL (Biological study): PREP
(Preparation): DES (Uses)
(preparation): ATM (Therapeutic use): BIOL (Biological study): PREP
(Preparation): DES (Uses)
(Accompanylogical activity): PRT (Pharmacokinetics): SPN (Synthetics)
(Accompanylogical activity): PRT

Absolute stereochemistry.

619296-71-8 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[(2E)-3-[5-{2-methyl-2H-tetrazol-5-yl)-2-thienyl]-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopycanosyl]oxy]-10-[(3,4,6-trideoxy-3-(3a,4R,75,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

619296-74-1 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(2-methyl-2Htetrazol-5-yl)-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)p-0-xylo-hexopyranozyl]oxy]-, (3aS,4R,7S,9R,10R,11R,13R,15R,15R)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

619296-75-2 CAPLUS
2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[(3-[5-(2-methyl-2H-tetrazol-5-yl)-2-thiazolyl)-2-propnynlloxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranoxylloxy]-,
(3aS,4R,7S,9R,10R,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)

619296-80-9 CAPLUS
2H-Tetrazole-2-acetic acid, 5-[5-[3-[[(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-2-thienyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

619296-81-0 CAPLUS
2H-Oxacyclotetradecino(4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[(3-[5-[2-(2-propenyl)-2H-tetrazol-5-yl]-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-9-p-xylo-hexopyranoxyl]oxy]-,
(3as,4R,7s,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

623175-53-1 CAPLUS

623175-53-1 CAPLUS
2H-Tetrazole-2-propanenitrile, 5-[5-[3-[[(3as,4R,7s,9R,10R,11R,13R,15R,15a
R)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14tetraxox-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-0-sylohexopyranosyl]oxy]-2Ho-xacyclotetradecin(4,3-d]oxazol-11-yl]oxy]-1propenyl]-2-thienyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

623175-54-2 CAPLUS
2H-Tetrazole-2-acetic acid, 5-[5-[3-[[(3as,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecshydro-3a,7,9,11,13,15-hewamethyl-2,6,8,14-tetraoxo-10-[(3,4,6-trideoxy-3-(dimethylamino)-6-D-xylo-hexopyranoxyl]oxyl-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propenyl]-2-thienyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

623175-51-9 CAPLUS 2H-Owacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[(2E)-3-(2-methyl-2H-tetrazol-5-yl)-2-propenylloxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-6-D-xylo-hexopyranoxyl]oxy]-, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

623175-52-0 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorootahydro-3a,7,9,11,13,15-hexamethyl-11-[(3-[5-[2-(2-propenyl)-2H-tetrazol-5-yl]-2-thienyl]-2-propenyl]oxyl-10-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyramosyl]oxyl-, (3a,54,7,7-9,R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

623175-55-3 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[6-(2-methyl-2H-tetrazol-5-yl)-3-pyridinyl]-2-propenylloxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-8-0-xylo-hexopyranosyl]oxy]-,
(3aS,4R,7S,9R,10R,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

623175-56-4 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-{(3-[2-(2-methyl-2H-tetrazol-5-yl)-5-thiazolyl]-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl]oxy]-(3a,4,6-trideoxy-3-(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

L11 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

623175-57-5 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-(2-methyl-2H-tetrazol-5-yl)-2-thienyl]-2-propenyl]oxyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl]oxyl-1,
(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

623175-58-6 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluoroootahydro-3a,7,9,11,13,15-hexamethyl-11-{{3-{5-(1-methyl-1H-tetrazol-5-yl)-2-thienyl]-2-propenyl]oxy}-10-[{3,4,6-trideoxy-3-(dimethylamino)-p-0-yylo-hexopyranosyl]oxy}-,
(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

623175-68-8 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[(3-{5-(1-methylH-tetrazol-5-yl)-2-thienyl]-2-propynyl]oxy)-10-[[3,4,6-trideoxy-3(dimethylamino)-9-D-xylo-hexopyranoxyl]oxy)-,
(3a5,4R,75,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

623175-69-9 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-(1H-tetrazol-5-y1)-2-thienyl]-2-propynyl]oxyl-10-[{3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxyl-, (3aS,4R,75,9R,10R,11R,13R,15R,15aR)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

(Continued) L11 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

623175-59-7 CAPLUS
2H-Oxacyclotetradecino(4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-1l-[[3-[5-(1Htetrazol-5-yl)-2-thienyl]-2-propenyl]oxyl-10-[[3,4,6-trideoxy-3(dimethylamino)-8-D-xylo-hexopyranoxyl)oxyl(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

623175-67-7 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ehtyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[2-(2-methyl-2H-tetrazol-5-y])-5-thiazolyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-,
(3a5,4R,75,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

619296-70-7
RL: RCT (Reactant): RACT (Reactant or reagent)
(preparation of antibacterial erythromycin derivs. with improved pharmacokinetic profiles)
619296-70-7 CAPLUS

619296-70-7 CAPUS

2H-Oxacyclotetradcino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino]-β-D-xylohexopyranosyl]oxy]-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11[[3-[5-(2-methyl-2H-tetrazol-5-yl)-2-chiazolyl]-2-propyyl]oxy]-,
(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

412046-92-59 619296-51-49 619296-59-29
619296-60-59 619296-62-79
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of antibacterial erythromycin derivs, with improved pharmacokinetic profiles)
412046-92-5 CAPLUS
2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone,10-[{2-O-bexoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propynyloxy)-, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

619296-51-4 CAPLUS
2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-{[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-B-D-xylohexopyranoxyl]oxyl-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11[[3-{5-(2-methyl-2H-tetrazol-5-yl)-2-thienyl]-2-propynyl]oxy}-,
(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

619296-59-2 CAPLUS
Boronic acid, [(1E)-3-[(3as,4R,7s,9R,10R,11R,13R,15R,15aR)-10-[(2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl)oxy]-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-2H-owacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) Absolute stereochemistry.

L11 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

619296-60-5 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 10-{{2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-bexopyranosyl]oxy]-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-bexamethyl-11-{{(2E)-3-[5-{2-methyl-2H-tetrazol-5-yl]-2-thlenyl]-2-propenyl]oxy]-, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

619296-62-7 CAPLUS
2H-Okacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorootehydro-3a,7,9,11,13,15-hexamethyl-11-(2-propynyloxy)-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyloxy]-,
3as,44,75,98,10R,11R,138,15R,15R,15AB- [9CI] (CA INDEX NAME)

ANSWER 2 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN ESSION NUMBER: 2004:60129 CAPLUS 140:122755

A CESSION NUMBER: DOCUMENT NUMBER: TITLE:

140:122755
9-Oxime macrolide antibacterials
Searle, Xenia Beeber Djuric, Stevan, Ma, Zhenkun, Yang, Pan
USA
U.S. Fat. Appl. Publ., 18 pp.
CODEN: USXXXCO
Patent
English INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

Patent English

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

US 2004014691 A1 20040122
PRIORITY APPLN. INFO.:
OTHER SOURCE(S): APPLICATION NO. DATE A1 20040122 US 2003-420391 20030422 US 2002-375361P P 20020425 MARPAT 140:122755

Antibacterial compds. I (R1 = alkyl, (CH2)alkenyl, (CH2)alkynyl, etc.; R2 = H, alkyl, (CH2)alkenyl, (CH2)alkynyl, etc.; R3 = H, hydroxyl protecting group; one of R4 and R5 = H and the other = OH; or R4 and R5 = -O; X1 = H, P) and salts, prodrugs, and salts of prodrugs thereof, processes for making the compds. and intermediates used in the processes, compns.

making the compus. and intermediate or treatment of bacterial infections using the compds., and methods for prophylaxis or treatment of bacterial infections using the compds. are disclosed. Compds. displayed antibacterial activity of 0.008-128 µg/mL against Staphylococcus aureus, Streptococcus pyogenes, S. pneumoniae, Enterococcus faecalis, E. faecium, Moraxella catarchalis, Haemophilus influenzae, and Escherichia

faecium, Moraxella catarchalis, Haemophilus influenzae, and Escherichia
coli.
640836-19-9P 640858-20-2P
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);
SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
study); PREP (Preparation); USES (Uses)
(9-Oxine macrolide antibacterials, their preparation and compns., for
treatment of bacterial infections)
640856-19-9 CAPLUS
ZH-Oxacyclotetradecino[4,3-d] oxazole-2, 6,8,14(IH,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(3-quinolinyl)2-propynyl]oxy]-10-[(3,4,6-trideoxy-3-(dimethylamino)-9-D-xylohexopyranosyl]oxy]-, 14-(O-methyloxime), (3aS,4R,7S,9R,10R,11R,13R,14E,15R)

L11 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN ,15aR) - (9CI) (CA INDEX NAME) (Continued)

Absolute stereochemistry. Double bond geometry as shown

648858-20-2 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14 (1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3s,7,9,11,13,15-hexamethyl-11-[(3-[5-(2-methyl2H-tetrazol-5-yl)-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3(dimethylamino]-9-D-xylo-hexopyranoxyl]oxy]-, 14-(0-methyloxime),
(3a5,4R,75,9R,10R,11R,13R,14E,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown

648858-19-9D, salts, prodrugs 648858-20-2D, salts,

ANSWER 3 OF 27 CAPLUS COPYRIGHT 2004 ACS ON STN SSION NUMBER: 2003:892791 CAPLUS WENT NUMBER: 139:381701 139:381701
Preparation of antibacterial erythromycin derivatives with improved pharmacokinetic profiles
Clark, Richard F., Djuric, Stevan M., Ma, Zhenkun;
Phan, Ly; Rupp, Michael J.
Abbott Laboratories, USA
PCT Int. Appl., 50 pp.
CODEN: PIXXO2
Patent
English INVENTOR (5): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: English 2

FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE

APPLICATION NO. DATE PATENT NO. ALINE WALL

WO 2003093288 AI 20031113 WO 2003-U512970 20030425
W: CA, JP, MX
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IT, LU, MC, NL, PT, RO, SE, SI, SK, TR
US 2003207820 AI 20031106 US 2002-136715 20020430
PRIORITY APPLN. INPO.: US 2003-421384 A 20030424
OTHER SOURCE(S): MARPAT 139:381701

Antibacterial erythromycin derivs. I, wherein Rl is H, Ac, Bz, TMS, triethylsilyl; R2 is -CH-CH-, -C.tplbond.C-; R3 is heterocycle, tetra-azolyl, furanyl, imidazolyl; iso-thiazolyl, isoxazolyl, naphthyl, 12,3-oxadiazolyl, oxazolyl; Ph, pyrazinyl, pyrazolyl, pyridazinyl, pyrindinyl, pyrrolyl, 1,3,4-thiadiazolyl, thiazolyl, pyridyl (pyridinyl), thiayolyl; Nis H, F, heterocycle; with improved pharmacokinetic profiles and salts, prodrugs, and salts of prodrugs thereof, processes for making the compds. and intermediates used in the processes, compns. containing the compds., and methods for prophylaxis and treatment of bacterial infections using the compds are disclosed. Thus, (Jas, 4R, 7R, 9R, 1DR, 115, 13R, 15R, 15AN)-10-(((2S, 3R, 4S, 6R)-4-(dimethylamino)-3-hydroxy-6-methyltetrahydro-ZH-pyran-2-

L11 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) hexopyranosyl]oxy]-, 14-(0-methyloxime), (3aS, 4R, 75, 9R, 10R, 11R, 13R, 14E, 15R, 15R, 1-6C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

648858-20-2 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-(2-methyl-2H-tetrazol-5-y])-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-wylo-hexopyranozyl]oxy]-, 14-(0-methyloxime), (3a5,4R,75,9R,10R,11R,13R,14E,15R,15aR)- (SCI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

L11 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
yl) oxy)-4-ethyl-7-fluoro-3a,7,9,11,13,15-hexamethyl-11-(((2E)-3-(5-(2methyl-2H-tetrazol-5-yl)thlen-2-yl)prop-2-enyl)oxy)octahydro-2Hoxacyclotetradecino[4,3-d][1,3]oxazole-2,6,8,14(1H,7H,9H)-tetrone was
prepd. and tested as antibacterial agent. The daily therapeutically
effective ant. of the compds. administered to a patient in single or
divided doses range from about 0.1 to about 200 mg/kg body wt., preferably
from about 0.25 to about 100 mg/kg body wt. Compds. of this invention
displayed antibacterial activity superior to the control, which control
demonstrated no antibacterial activity. The pharmacokinetic profiles were
evaluated using cassette dosing protocols in dog at a dose of 1 mg/kg.

11 619286-66-19 619286-74-19 619296-72-9P
619286-73-09 619286-74-19 619296-72-9P
619286-73-09 619286-74-19 619296-72-9P
623175-52-09 623175-53-64P 623173-51-3P
623175-52-09 623175-53-64P 623173-67-PP
623175-56-66 623175-59-PP
623175-60-86 623175-59-PP
623175-60-86 623175-59-PP
623175-60-90 623175-60-9P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic
preparation); TRU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (USes)
(preparation of antibacterial erythromycin derivs. with improved
pharmacokinetic profiles)

RN 619296-66-1 CAPLUS
2H-tetrazol-5-yl)-2-thlenyl]-2-propyloyl]oxyl-10-[1,4,6-t-tideoxy-3(dimethylamino)-P-D-sylo-hexopyranosyl)oxyl-,
(385,487,59,81,08,118,13R,15R,15R)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

619296-71-8 CAPLUS
2H-Oxacyclototradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[((2E)-3-[5-(2-methyl-2H-tetrazol-5-yl)-2-thienyl]-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino]-6-0-xylo-bexopyranosyl]oxy]-,
(3as,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

Page 7

RN 619296-72-9 CAPLUS
CN 2H-Tetrazole-2-propanenitrile, 5-[5-[3-[([3aS,4R,75,9R,10R,11R,13R,15R,15a]R)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl)oxyl-ZH-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]-2-thienyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 619296-73-0 CAPLUS
CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorocotahydro-3a,7,9,11,13,15-hexamethyl-11-[(3-[6-(2-methyl-2H-tetrazol-5-yl)-3-pyridinyl)-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-kylo-hexopyranosyl)oxy]-,
(3a5,4R,75,9R,10R,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 619296-80-9 CAPLUS
CN 2H-Tetrazole-2-acetic acid, 5-(5-[3-[((3as,4R,75,9R,10R,11R,13R,15R,15aR)4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14tetraoxo-10-[(3,4,6-trideoxy-3-(dimethylamino)-B-D-Rylohexopyranosyl] oxyl-2H-oxacyclotetradecino[(4,3-d]oxazol-11-yl]oxy]-1propynyl]-2-thienyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 619296-81-0 CAPLUS
CN 2H-Oxacyclotetradecino(4,3-d)oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-[2-(2-propenyl)-2H-tetrazol-5-yl]-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino-)-P0-xylo-hexopyranosyl]oxy]-,
(3a5,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continue

Absolute stereochemistry.

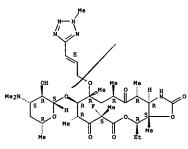
RN 619296-75-2 CAPLUS
CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluoroctahydro-3a,7,9,11,13,15-hexamethyl-11-{[3-[5-(2-methyl-2H-tetrazol-5-yl)-2-thiazolyl]-2-propynyl]oxy]-10-{[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-,
(3as,4R,7s,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 623175-51-9 CAPLUS
CN 2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(IH,7H,9H)-tetrone,
4-ethyl-7-fluoroctahydro-3a,7,9,11,13,15-hexamethyl-11-[[(2E)-3-(2-methyl-2H-tetrazol-5-yl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)B-D-xylo-hexopyranosyl]oxy]-, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.



RN 623175-52-0 CAPLUS
CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-f[uncoctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-[2-(2-propenyl]-2H-tetrazol-5-yl]-2-thienyl]-2-propenyl]oxy}-10-[[3,4,6-trideoxy-3-(dimethylamino-)-Po-Ry lo-hexopyranosyl]oxy]-,
(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

623175-53-1 CAPLUS
2H-Tetrazole-2-propanenitrile, 5-(5-{3-{{(3as,4R,75,9R,10R,11R,13R,15R,15a,15a,14-tetraxoc-10-{{(3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexpyranoxyloxy|-27-6-xylo-hexpyranoxyloxy|-27-6-xylo-hexpyranoxyloxy|-27-6-xylo-hexpyranoxyloxyl-27-6-xylo-hexpyranoxyloxyl-27-6-xylo-hexpyranoxyloxyl-27-6-xylo-hexpyranoxyloxyl-27-6-xylo-hexpyranoxyloxyl-27-6-xylo-hexpyranoxyloxyl-27-6-xylo-hexpyranoxyloxyl-27-6-xylo-hexpyran

Absolute stereochemistry.
Double bond geometry unknown.

623175-54-2 CAPLUS
2H-Tetrazole-2-acetic acid, 5-[5-[3-[[(3as,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-tridoaxy-3-(dimethylamino)-B-D-xylo-hexopycanoxylloxyl-2T-covacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propenyl]-2-thienyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

623175-57-5 CAPLUS
2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14 (1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-{[3-{5-{2-methyl-2H-tetrazol-5-yl)-2-thienyl]-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino]-6-0-xylo-bexopyranosyl]oxy]-,
(3a5,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

623175-58-6 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-(1-methyl1H-tetrazol-5-yl)-2-thienyl]-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3(dimethylamino)-B-D-sylo-hexopyranosyl]oxy]-,
[3aS,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

623175-55-3 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ehhyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[{3-[6-{2-methyl-2H-tetrazol-5-yl}]-3-pyridinyl]-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl]oxy]-,
(3a5,4R,75,9R,10R,11R,13R,13R,15RR)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

623175-56-4 CAPLUS
2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[2-(2-methyl-2H-tetrazol-5-y])-5-thiazolyl]-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino]-B-D-xylo-hexopyranoxyl]oxy]-,
(3a5,4R,75,9R,10R,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

623175-59-7 CAPLUS
2H-Oxacyclotetradecino(4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(5-(1Htetrazol-5-yl)-2-thienyl]-2-propenyl)oxyl-10-[[3,4,6-trideoxy-3(dimethylaino)-β-D-xylo-hexopyranosyl)oxyl-,
(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

623175-67-7 CAPLUS
2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14 (1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[2-(2-methyl-2H-tetrazol-5-yl)-5-thiazolyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino]-B-D-aylo-hexopygranoxyl]oxy]-,
(3as,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

623175-68-8 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-{[3-[5-(1-methyl-1H-tetrazol-5-yl)-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-6-0-xylo-hexopyy-anoxyl]oxy]-,
(3as,4R,7s,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

623175-69-9 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(5-(1H-tetrazol-5-y1)-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (2-propynyloxy)-, (3as,4R,7s,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

619296-51-4 CAPLUS 2H-Oxacyclotetradecino(4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 10-{[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranoxyl]oxyl-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-{[3-[5-(2-methyl-2H-tetrazol-5-yl)-2-thianyl]-2-propyyl]oxyl-(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

619296-59-2 CAPLUS
Boronic acid, [(1E)-3-[(3a5,4R,75,9R,10R,11R,13R,15R,15aR)-10-{(2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-9-0-xylo-hexopycanoxylloxy}-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-2H-oxacyclotetradecino(4,3-d]oxazol-11-yl]oxy]-1-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

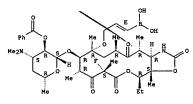
619296-70-7
RL: RCT (Reactant): RACT (Reactant or reagent)
(preparation of antibacterial erythromycin derivs. with improved pharmacokinetic profiles)
619296-70-7 CAPLUS

619296-70-7 CAPUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[(2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexopyranosyl]oxy]-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11[[3-[5-(2-methyl-2H-tetrazol-5-yl)-2-thiazolyl]-2-propyyl]oxy]-,
(3as,4R,7s,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

412046-92-5P 619296-51-4P 619296-59-2P
619296-60-5P 619296-62-7P
RL: RCT (Reactant), SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antibacterial erythromycin derivs, with improved pharmacokinetic profiles)
412046-92-5 CAPLUS
2H-Owacyclotetradecino[4,3-d]owazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[(2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-

L11 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



619296-60-5 CAPLUS
2H-Oxacyclotetradecino(4,3-d)oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexopyranoxyl]oxy]-4-ethyl-7-[luorooctahydro-3a,7,9,11,13,15-hexamethyl-11[[(2E)-3-[5-[2-methyl-2H-tetrazol-5-yl)-2-thienyl]-2-propenyl]oxy]-,
(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

619296-62-7 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propynyloxy)-10[3,4,6-trideoxy-3-(dimethylamino)-PD-xylo-hexopyranoxyl)oxy)-,
(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation)
(prepn. of erythromycin oxime macrolides as antibacterial agents)
RN 620155-58-0 CAPLUS

620155-58-0 CAPUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[(3-(3-quinolinyl)2-propynyl)oxy]-10-[(3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexopyranoxyl)oxy]- 14-(0-methyloxime), (3a5,4R,7S,9R,1OR,11R,13R,15R,15a
R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

620155-60-4 CAPLUS 2H-Oxacyclotetradecino(4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-{{3-{5-{2-methyl-2H-tetrazol-5-yl}-2-thlenyl}-2-propynyl]oxyl-10-{[3,4,6-trideoxy-3-(dimethylamino)-#0-P-ylo-hexopyyanosyl]oxyl-7,14-(0-methyloxime), (3as,4R,7s,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

620153-66-0P 620155-68-2P 620155-70-6P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of erythromycin oxime macrolides as antibacterial agents) 620155-66-0 CAPLUS

Page 11

ANSWER 4 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN SION NUMBER: 2003:875124 CAPLUS SENT NUMBER: 139:365172

DOCUMENT NUMBER: 139:365172
Preparation of erythromycin 9-oxime macrolides as antibacterial agents
Searle, Kenia Beebe; Djuric, Stevan; Ma, Zhenkun; Yang, Fan
Abbott Laboratories, USA
PCT Int. Appl., 64 pp.
CODEN: PIXNO2
Patent
English

INVENTOR(S):

PATENT ASSIGNEE(S):

DOCUMENT TYPE: LANGUAGE: English 1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

WO 2003090761 A1 20031106 WO 2003-US12478 20030423
W: CA, JP, MX
RW: AT, BE, BG, CCH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IT, LU, MC, NL, PT, RO, SE, SI, SK, TR
PRIORITY APPLN. INFO.: US 2002-131851 A 20020425
GI APPLICATION NO. DATE

Antibacterial erythromycin 9-oxime macrolides I, wherein XI is H, F; RI is alkyl, -(CH2) alkenyl, -(CH2) alkynyl, R2 is hydrogen, alkyl, -(CH2) alkenyl, -(CH2) alkynyl, R3 is hydrogen or R, in which R is a hydroxyl protecting moiety; one of R4 and R5 is hydrogen and the other is -OH; or R4 and R5 together are -O; and salts, prodrugs, and salts of prodrugs thereof, processes for making the compds. and intermediates used in the processes, compns. containing the compds., and methods for prophylaxis or treatment of bacterial infections using the compds. are disclosed. Thus, I [R1 = 3-(quinolin-3-yl)prop-2-ynyl, R2 = methyl; R3 = hydrogen, R4 and R5 taken together are -O; and X = [luoro] was prepared and tested in vitro as antibacterial agent. Compds. of this invention displayed in vitro antibacterial activity in the range of about 0.008 µg/mL to greater than about 128 µg/mL.

1

L11 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 2H-Oxacyclotetradecino(4,3-d)oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[13-(1,5naphthyridin-3-yl)-2-propynyl)oxyl-10-[13,4,6-trideoxy-3-(dimethylamino)B-D-xylo-hexopyranoxyl)oxyl-10-(perthyloxime),
(3as,4R,7s,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

620155-68-2 CAPLUS
2H-Owacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(6-quinoxalinyl)-2-propynyl]oxy]-10-([3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexpyranoxyl]oxy]-,14-(0-methyloxime),
(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

620155-70-6 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-{{3-{5-(2-pyridinyl)-2-thenyl)-2-propynyl]oxy]-10-{[3,4,6-trideoxy-3-(dimethylamino)-p-0-xylo-hexopyranosyl]oxy]-, 14-(O-methyloxime), (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

L11 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN Double bond geometry unknown. (Continued)

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Absolute stereochemistry.

619296-71-8 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[(2E)-3-[5-(2-methyl-2H-tetrazol-5-yl)-2-thienyl]-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-,
(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown

ANSWER 5 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
SSION NUMBER: 2003:874954 CAPLUS
139:350908
Preparation of antibacterial erythromycin derivatives
with improved pharmacokinetic profiles
TTOR(S): Clark, Richard F.; Djuric, Stevan; Ma, Zhenkun; Phan,
Tyr Buno Michael

List, Nichael F., Djuric, St. Ly; Rupp, Michael USA U.S. Pat. Appl. Publ., 13 pp. CODEN: USXXCO Patent PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

INVENTOR(S):

PATENT NO. KIND DATE APPLICATION NO. DATE US 2003207820 WO 2003093288 20031106 US 2002-136715 20020430 WO 2003-US12970 20030425 A1 A1 20031113

W: CA, JP, MX
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IT, LU, MC, NL, PT, RO, SE, SI, SK, TR
PRIORITY APPLN. INFO: US 2002-136715 A 20020430

A 20020430 A 20030424 US 2003-422384

OTHER SOURCE(S): MARPAT 139:350908

Antibacterial erythromycin derivs. I, wherein R1 is H, Ac, Bz, TMS, triethylsilyl; R2 is -CH=CH-, -C.tplbond.C-; R3 is heterocycle, tetra-zolyl, furanyl, inidazolyl, iso-thizaclyl, iso-azolyl, naphthyl, 1,2,3-oxadiazolyl, oxazolyl, Ph, pyrazinyl, pyrazolyl, pyridazinyl, pyrimdinyl, pyrrolyl, 1,3,4-thiadiazolyl, thiazolyl, pyridyl (pyridinyl), thispyl (thiophenyl), 1,3,5-triazinyl, 1,2,3-triazolyl; X is H, F, heterocycle; with improved pharmacokinetic profiles and salts, prodrugs, and salts of prodrugs thereof, processes for making the compds. and

L11 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

619296-72-9 CAPLUS
2H-Tetrazole-2-propanenitrile, 5-[5-[3-{{(3as, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15a R)-4-ethyl-7-fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetrazox-10-{{(3, 4, 6-trideoxy)-3-(dimethylamino)-8-D-swylo-hexopyranosyl]oxy}-2H-oxacyclotetradecino[4, 3-d]oxazol-11-yl]oxy}-1-propynyl]-2-thienyl}- (9CI) (CA INDEX NAME)

619296-73-0 CAPLUS
2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14 (lH,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[6-(2-methyl2H-tetrazol-5-yl)-3-pyridinyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3(dimethylamino]-8-0-xylo-hexopyranosyl]oxy]-,
(3a5,4R,75,9R,10R,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)

619296-74-1 CAFLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-{[3-(2-methyl-2H-tetrazol-5-yl)-2-propynyl]oxyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxyl-, (3aS,4R,7S,9R,10R,11R,13R,15R,15RR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

619296-75-2 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14 (1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-(2-methyl2H-tetrazol-5-yl)-2-thiazolyl]-2-propnynljoxy]-10-[[3,4,6-trideoxy-3(dimethylamino)-6-D-xylo-hexopyranosyl]oxy](3a5,4R,75,9R,10R,11R,13R,15R,15R)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) tetraoxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl)oxy)-ZH-oxacyclotetradecino[4,3-d)oxazol-11-yl]oxy]-1-propynyl]-2-thienyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

619296-81-0 CAPLUS
2H-Oxacyclotetradecino(4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-[2-(2-propenyl)-2H-tetrazol-5-yl]-2-thionyl]-2-propenyl)-9H-tetrazol-5-yl]-2-thionyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl]oxy]-,
(3a5,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

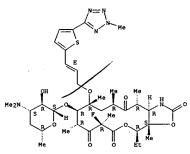
619296-70-7 619296-82-1
RL: RCT (Reactant): RACT (Reactant or reagent)
(preparation of antibacterial erythromycin derivs. with improved pharmacokinetic profiles)
619296-70-7 CAPUS
2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxyl-4-ethyl-7-fluoroocathydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[5-(2-methyl-2H-tetrazol-5-yl)-2-thiazolyl]-2-propyyl]oxyl-,
(3aS,4R,75,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

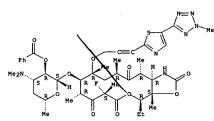
619296-77-4 CAPLUS
2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[(2E)-3-[5-(2-methyl-2H-tetrazol-5-yl)-2-thienyl]-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-,
(3a5,4R,7H,9R,10R,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



619296-80-9 CAPLUS
2H-Tetrazole-2-acetic acid, 5-[5-[3-[[(3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-

L11 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



619296-82-1 CAPLUS
2H-Owacyclotetradecino[4,3-d]owazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[{2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-\$\beta-0-xylo-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-\$\beta-0-xylo-benzoyl-3vy]-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[3-(2-methyl-2H-tetrazol-5-yl)-2-propynyl)oxy]-,
(3aS,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

#12046-92-5P 619296-51-4P 619296-59-2P
619296-60-5P 619296-62-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antibacterial erythromycin derivs, with improved pharmacokinetic profiles)
412046-92-5 CAPLUS
2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propynyloxy)-, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

RN 619296-51-4 CAPLUS
CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[[2-0-benzoyl]-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexopycanosyl]oxy]-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11[[3-6;-(2-methyl-2H-tetrazol-5-yl)-2-thienyl]-2-propynyl]oxyl-,
(3as,4R,7s,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

RN 619296-59-2 CAPLUS

CN Boronic acid, [(1E)-3-{{(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)-10-{{2-o-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-B-0-xylo-hexopyranosyl}oxyl-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-teraoxo-2H-oxacyclotetradecino{4,3-d}oxazol-11-yl]oxyl-1-propenyl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.

L11 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 619296-60-5 CAPLUS
CN ZH-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexopyranosyl]oxy]-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11[(2E)-3-[5-(2-ethyl-2H-tetrazol-5-yl)-2-thienyl]-2-propenyl]oxy]-,
(3a5,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 619296-62-7 CAPLUS
CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propynyloxy)-10[(3,4,6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranosylloxy],
(3a5,4R,75,9R,10R,11R,13R,15R,15a1)-(9C1) (CA INDEX NANE)

ANSWER 6 OF 27
ACESSION NUMBER:
COORDENT NUMBER:
139:139:15 CAPLUS
139:139:6
Preparation of erythromycin A derived amido-macrolides
for use in pharmaceutical compositions for treatment
of bacterial infections
Ashley, Gary; Shaw, Simon James; Li, Yandong
Kosan Biosciences, Inc., USA
PCT Int. Appl., 120 pp.
CODEN: PIXXD2
Patent
LNNGUAGE:
Emglish
1
PATENT INFORMATION:

PATENT NO. KIND DATE

WO 2003061671 A1 20030731 WO 2003-US1398 20030117

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, II, IN, IS, PY, KE, KG, KP, KR, KZ, LC, LK, LS, LT, LU, LV, MA, MD, MG, MK, MM, MV, MZ, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SS, SK, LT, JT, MT, NT, RT, TT, LV, UA, UG, UZ, VC, VN, YU, ZA, ZW, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

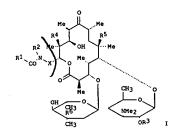
RY: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, ML, MR, NE, SN, TD, TG

US 200319458 A1 20031023 US 2003-347512 20030117

PARIORITY APPLIAL INFO::

MARPAT 139:133786

G1



AB Erythromycin A derived amides, such as I (Rl = alkyl, alkenyl, alkynyl, aryl, arylalkyl, biarylalkyl, etc.; R2 = H, alkyl, alkenyl, alkynyl; R3 = H, COPh, alkanoyl; R4 = H, OH; R5 = H, OH, alkoxy, alkenyloxy, alkynyloxy;

L11 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
R6 - H, OMe: X = (CH2)s: m = 0-2], were prepd. for therapautic use as antibacterial agents. These crythromycin A derivs. are useful for treating bacterial infections resulting from bacteria selected from the group consisting of Gram pos. bacteria, Gram mag. bacteria and anaerobic bacteria, such as Staphylococcus aureus, Streptococcus epidermidis, Streptococcus progenes, enterococci, Morawella catarchalis, and Haemophilus influenzae. Infections and diseases that may treated using the agents include community-acquired pneumonia, acute exacerbated chronic bronchitis, acute sinustis, tonsillitis, pharyngitis, upper respiratory tract infection, lower respiratory tract infection, sin infection, soft tissue infection, meningitis, hospital-acquired infection, bone infection, joint infection and gastric motility diseases, such as gastro-esophageal reflux disease (GERD), postoperative ileus, diabetes, and gastropacesis. Thus, 15-(6-quinolinecarbowanido)erythromycin A I [R1 - 6-quinolinyl, R2 = R3 - H, R4 - R5 - OH, R6 - OMe, X - (CH2)2] was prepd. via a series of steps which included bio-mediated conversion of (i)-(25', R7')-5-chloro-3-hydroxy-2-methylpentanoate
N-propionylcysteamine thioester to 15-chloro-6-deoxyerythronolide B using Streptomyces coelicolor, conversion of the 15-chloro-macrolide to 15-azido-erythromycin hosetser to 15-broloro-6-deoxyerythronolide B. a second bio-mediated conversion of the 15-azido-macrolide to 15-azido-tamic mind a subsequent amidation reaction of 2'-0-acctyl-15-azido-erythromycin A derivs. were tested for anti-microbial activity against organisms, such as S. aureus OC4172 and H. influenzae ArCC49766.

15 86629-20-9P
RL: BRN (Biosynthetic preparation); PRC (Pharmacological activity), RCT (Reactant); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL

568629-20-9P
RL: BPN (Biosynthetic preparation); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES

(Uses)
(preparation of erythromycin A derived amido-macrolides for use in pharmaceutical compns. for treatment of bacterial infections)
56629-20-9 CAPLUS
Benzeneacetamide, N-[2-[(35,48,75,98,108,118,138,158,1588)-10-[[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-9-D--sylo-hexpoyranosyl)oxyl-7-fluorotetradecahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetramox-24-Gwaryelocttradecahydro-14-yl]ethyl]-3-(2-furanyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

568629-96-9 CAPLUS
Benzeneacetamide, N-[2-[{3as,4R,7s,9R,10R,11R,13R,15R,15R},-7-fluorotetradecahydro-11-hydroxy-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[(3,4,6-trideoxy-3-(dimethylamino)-#-D-xylo-hexopyranoxyloxyl-2H-oxacypiclotetradecino[4,3-d]oxazol-4-yl]ethyl]-3-(2-furanyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

568631-10-7 CAPLUS
Benzeneacetamide, N-[2-[(3as,4R,7s,9R,10R,11R,13R,15R,15R)-7-fluorotetradecahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[(3,4,6-trideoxy-3-(dimethylamino)-B-D-mylo-hexopyranosyl]oxyl-2H-oxacyclotetradecino[4,3-d]oxazol-4-yl]ethyl]-2-(2-furanyl)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

568629-24-3P 568629-96-9P 568631-10-7P 568631-18-5P 568631-28-7P

5-68631-18-5p 5-58631-28-7p
RL: BPN (Biosynthetic preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREF (Preparation); USES (Uses) (preparation of erythromycin A derived amido-macrolides for use in pharmaceutical compns. for treatment of bacterial infections)
566629-24-3 CAPLUS
Benzeneacetamide, N-[2-[(3as, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR)-7-fluorotetradecahydro-11-methoxy-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10-[(3, 4, 6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyljoxyl-2H-oxacyptoletradecino[4, 3-d]oxazol-4-yl]ethyl]-3-(2-furanyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

568631-18-5 CAPLUS
1,2-Benzisoxazole-3-acetamide, N-[2-[{3aS,4R,7s,9R,10R,11R,13R,15R,15RR}-7-fluorotetradecahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxyl] oxyl-2H-oxacyclotetradecino[4,3-d] oxazol-4-yl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry

568631-28-7 CAPLUS 2-Oxazoleacetamide, N-[2-[{3a5,4R,75,9R,10R,11R,13R,15R,15aR}]-7-fluorotetradecahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxylloxy]-2H-oxacyclotetradecino[4,3-d]oxazol-4-yl]ethyl]-4-methyl-5-phenyl- (9CI) (CA INDEX NAME)

\$68629-08-3P
RL: BPN (Biosynthetic preparation); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (preparation of erythromycin A derived amido-macrolides for use in pharmaceutical compns. for treatment of bacterial infections)
568629-08-3 CAPLUS
2H-OxacyColotetradecino[4,3-d]oxazole-2.6,8,14(1H,7H,9H)-tetrone,
4-(2-azidoethyl)-10-[[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexpyranoxyloxy]-7-florrooctahydro-11-sethoxy-3a,7,9,11,13,15-hexamethyl-, (3a5,4R,75,9R,10R,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

1

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

The present invention includes erythromycin ketolides I wherein: X is hydrogen or halide; R is hydrogen or a substituted or unsubstituted moiety wherein the moiety is selected from the group consisting of alkyl, alkenyl, alkynyl, aryl, heterocycloalkyl, heterocycloalkyl, heterocycloalkyl, heterocycloalkyl, heterocycloalkyl, is hydrogen or a substituted or unsubstituted moiety wherein the solety is selected from the group consisting of methyl; alkyl, alkenyl, alkynyl, aryl, heterocycloalkyl, arylalkenyl, arylalkenyl, arylalkenyl, arylalkenyl, aryl, alkynyl, aryl, heterocycloalkyl, and heterocycloalkylyl; R2 is hydrogen, acyl, or a hydroxy protecting group; R3 is hydrogen, hydroxyl, or -ORa wherein Ra is a substituted or unsubstituted moiety selected from the group consisting of alkyl, alkenyl, alkynyl, aryl, heterocycloalkyl, heterocycloalkynyl; net consisting of alkyl, alkenyl, alkynyl, aryl, heterocycloalkynyl; heterocycloalkynyl; and the pharmaceutically acceptable salts, esters and pro-drug forms thereof. These compds, posses anti-infective activity and are useful for the treatment of hacterial and protozoal infections. Thus, I (X - F, R = IHF-imidazo(4,5-b)pyridin-1-ylbutyl, R1 = Pr, R2 = Pr, R3 = OHe) was prepared and tested in vitro as antibacterial agent against S. pneumoniae (MIC \$0.015).

300841-16-19 301320-09-29 413592-00-4P 413592-04-9P 413592-07-19 413592-17-39

Absolute stereochemistry.

ANSWER 7 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN SION NUMBER: 2003:524045 CAPLUS 139:69471

COUNTY NUMBER:

Preparation of erythromycin ketolides as antibacterial agents INVENTOR(S):

agents
Hlasta, Dennis: Henninger, Todd C.; Grant, Eugene B.;
Khosla, Chaitin: Chu, Daniel T. W.; Ashley, Gary
Ortho-Mcneil Pharmaceutical, Inc., USA
U.S., 43 pp., Cont. in-part of U.S. Ser. No. 550,045.
CODEN: USXXAM
Pate PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT:

| PATENT INFORMATION: | | | | | | | |
|--|-------------|-----------|--------------------------------|----------|-----------|-----|-----|
| PATENT NO. | KIND DATE | ; | APPLICATION | NO. | DATE | | |
| | | | | | | | |
| US 6590083 US 6399582 | B1 2003 | 0708 | US 2000-691 | | 20001018 | | |
| US 6399582 | B1 2002 | 0604 | US 2000-548 | 568 | 20000413 | | |
| US 6458771 | | | | | | | |
| US 6395710 | | | | | | | |
| US 6451768 | B1 2002 | 0917 | US 2000-551 | 162 | 20000414 | | |
| WO 2002032918 | | | WO 2001-US3 | 20011012 | | | |
| WO 2002032918 | | 0103 | | | | - | ~. |
| | | | BA, BB, BG, E | | | | |
| | | | DZ, EC, EE, E JP, KE, KG, F | | | | |
| | | | MK, MN, MW, P | | | | |
| LS, LT, | LU, LV, MA, | MU, MG, | MK, MN, MW, P SK, SL, TJ, T | M, MZ, | , NO, NZ, | rn, | PL, |
| | | | BY, KG, KZ, M | | | UA, | 00, |
| | | | SL, SZ, TZ, U | | | CH | CV |
| | | | IE, IT, LU, M | | | | |
| | | | GQ, GW, ML, M | | | | ы, |
| AU 2002013214 | | | | | | | |
| EP 1345953 | A2 2003 | 0924 | EP 2001-981 | 580 | 20011012 | | |
| | | | GB, GR, IT, I | | | MC. | PT. |
| | LT, LV, FI, | | | | ,, | | |
| ZA 2001009444 | A 2003 | 0218 | ZA 2001-944 | 4 | 20011115 | | |
| US 2002156028 PRIORITY APPLN. INFO | A1 2002 | 1024 | US 2002-124 | 988 | 20020417 | | |
| PRIORITY APPLN. INFO |). : | U | 5 1999-129729 | PP | 19990416 | | |
| | | U | 5 1999-131383 | P P | 19990428 | | |
| | | | 5 1999-140175 | | | | |
| | | | S 1999-172154 | | | | |
| | | | S 1999-172159 | | | | |
| | | | S 2000-548568 | | | | |
| | | | S 2000-548584 | | 20000413 | | |
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| | | | 5 1999-173804 | | | | |
| | | | s 1999-173805 | | | | |
| | | | 5 2000-691540 | | | | |
| WO 2001-US32119 W 20011012 OTHER SOURCE(S): MARPAT 139:69471 | | | | | | | |
| OTHER SOURCE(S): | MARPAT | 139:694/1 | | | | | |

L11 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

301320-09-2 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14 (1H,7H,9H)-tetrone, 7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-4-propyl-11-[[3-(3-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopycanosyl]oxy]-, (3aS,4R,7S,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

413592-00-4 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tettone, 7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-4-propyl-11-[[3-(6-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-wylo-hexopyranosyl]oxy]-, (3as,4R,7S,9R,10R,11R,13R,15R,15RR)- (9CI) (CA INDEX NAME)

413592-04-8 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-fluorooctahydro-11-[[3-(6-methoxy-3-quinolinyl)-2-propenyl]oxy]-3a,7,9,11,13,15-hexamethyl-4-propyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-6-b-xylo-hexopyranoxyl]oxy]-, (3a5,4R,7S,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

413592-07-1 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-4-propyl-11-[[3-(6-quinoxalinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-B--xylo-hexopycanoxyl]oxy]-, (3as,4R,7s,9R,10R,11R,13R,15R,15aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

413592-17-3 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
11-[[3-(6-holro-3-quinolinyl)-2-propenyl]oxy]-7-fluorooctahydro3a,7,9,11,13,15-hexamethyl-4-propyl-10-[[3,4,6-trideoxy-3-(dimethylamino)6-p-xylo-hexopyranoxyl]oxy]-, (3a5,4R,7S,9R,10R,11R,13R,15R,15R,15AR)(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

413592-22-0 CAPLUS 2H-Oxacyclotetradecino(4,3-d)oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-fluorootahydro-3a,7,9,11,13,15-hexamethyl-4-propyl-11-[[3-(3-pyridinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3a5,4R,7S,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

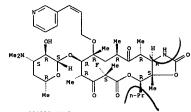
413592-12-8 CAPLUS ZH-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-4-propyl-11-[(3-(7-quinolinyl)-2-propenyl]oxy]-10-[(3,4,6-trideoxy-3-(dimethylamino)-B-0-xylo-hexopycanosyl]oxy]-, (3as,4R,7s,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

413592-15-1 CAPLUS 413592-15-1 CAPLUS
2H-Oxacyclotetradecino(4,3-d)cxazole-2,6,8,14(1H,7H,9H)-tetrone,
7-fluoro-11-[[3-(6-fluoro-3-quinoliny1)-2-propeny1]oxy]octahydro3a,7,9,11,13,15-hexamethy1-4-propy1-10-[[3,4,6-trideoxy-3-(dimethylamino)B-D-xylo-hexopycanoxyl]oxy]-, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

L11 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



301320-11-6
RL: RCT (Reactant): RACT (Reactant or reagent)
(preparation of erythrosycin ketolides as antibacterial agents)
301320-11-6 CAPLUS
2H-Oxacyclotetradecino(4,3-d) oxazole-2,6,8,14 (1H,7H,9H)-tetrone,
10-([2-0-berozyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-heropyranosyl)oxyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propenyloxy)-4-propyl-, (3a5,4R,75,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT REFERENCE COUNT:

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10/075,635
               ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

SSION NUMBER: 2003:472523 CAPLUS

139:53251

EPHPA(S): Preparation of 6-0-acyl ketolide derivatives of erythromycin useful as antibacterials

EPHPA(S): Henninger, Todd C., Macielag, Mark J., Tennakoon, Manomi A.; Xu, Xiaodong

EPHT ASSIGNEE(S): COTHO-Honell Pharmaceutical, Inc., USA

PCT Int. Appl., 199 pp.

CODEN: PIXXO2

PATENT TYPE: PROPRIATION:

ENGINE TYPE: PROPRIATION:
PATENT A SIGNEE (S):
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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PATENT NO. KIND DATE

WO 2003050132 A1 20030619 WO 2002-US37433 20021121

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, 10, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MM, MM, MX, MZ, NW, N, NZ, CM, FL, PT, FT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TH, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, MZ, CM, FT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NS, MS, NS, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CM, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, FT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NS, NN, TD, TG

US 2003220272 A1 20031127

PRIORITY APPLN. INFO::

US 2001-338566P P 20011207

OTHER SOURCE(S): US 2002-301412 20021121 US 2001-338566P P 20011205 US 2002-392513P P 20020628 MARPAT 139:53251 OTHER SOURCE(S):

6-O-Acyl ketolide antibacterials of formula I: wherein Rl is H, halogen, OH; Z is NH(CH2)n, (CH2)n, O-(CH2)n, NH-alkenyl, O-alkenyl, NH-alkynyl,

Lil ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN 545416-08-9 545416-06-69 545416-07-79 545416-08-89 545416-09-89 545416-10-29 545416-11-3P 545416-13-79 545416-13-79 545416-11-3P 545416-13-59 545416-14-69 545416-13-79 545416-13-79 545416-13-99 545416-13-99 545416-21-59 545416-21-59 545416-21-59 545416-21-59 545416-21-59 545416-21-59 545416-21-99 545416-21-59 545416-21-99 545416-21-59 545416-21-99 545416-21-79 545416-21-79 545416-21-79 545416-21-79 545416-21-79 545416-21-79 545416-21-79 545416-21-79 545416-21-79 545416-21-79 545416-21-79 545416-21-79 545416-31-79 545416-31-79 545416-31-79 545416-31-79 545416-31-79 545416-31-79 545416-31-79 545416-31-79 545416-41-79 545416-42-79 545416-31-79 545416-41-79 545416-51-79 545416-51-79 545416-51-79 545416-51-79 545416-51-79 545416-51-79 545416-51-79 545416-51-79 545416-51-79 545416-51-79 545416-51-79 545416-51-79 545416-61-79 545416-61-79 545416-71-79 545417-71-71 545417-71-79 545417-71-79 545417-71-71 545417-71-79 545417-71-79 545417-71-71 545417 (Continued) Separation), THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (prepn. of acyl ketolide derivs. of erythromycin useful as preph. of acyl retolide derivs, or erythromycin useful as antibacterials) 545414-71-9 CAPUS Carbonic acid. (3a5,48,7s,98,108,118,138,158,158,154)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[(3.4,6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranosyl]oxy|-2H-oxacyclotetradecino(4,3-d)oxacy-11-y1 (2E)-3-[4-(2-pyrimidinyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

L11 ANSVER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
O-alkynyl; n is 0-5; R2 is H, aryl, heteroaryl; R3 is H, alkyl, alkenyl,
alkynyl, heteroaryl, heterocyclealknyl, arylalkenyl, arylakynyl,
heterocycloalkyl, heterocycloalknyl, heterocycloalkynyl, cycloalkyl,
cycloalkenyl, alkoxyalkyl, alkylthioalkyl; R4 is H, hydroxy protecting
group; W is substituted pyrcole, alkoxy, oxime, anine, heterocycle; X and
X1 are together with the carbon atom to which they are attached form CO,
CHOM, C:NRS, C:NOR5; Y and Y1 together with the carbon atom to which they
are attached form CO, CHOH, C:NRS, C:NOR5; R5 is independently H, alkyl,
alkenyl, alkynyl; are as described herein and in which the substituents
have the meaning indicated in the description. These compds. are useful
as antibacterial agents. Thus, I (R1 = R4 = H, ZR2 = H, R3 = Et, XX1 = YY1

- O; W is 1-pyrrole) was prepd. and tested in vitro as antibacterial agent
(MIC = 0.06 to >16 µg/alb). Compds. of the present invention were
tested against a variety of Gram pos. and Gram neg, pathogenic bacteria
resulting in a range of activities depending on the organism tested.

17 545414-73-p9 545414-73-p1 545414-74-22

545414-73-p9 545414-73-p2 545414-73-p2

545414-73-p9 545414-73-p3 545414-73-p2

545414-73-p9 545414-73-p3 545414-73-p3

545414-73-p9 545414-73-p3 545414-73-p3

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545413-73-p9 545414-73-p3-p35414-73-p3

545413-73-p9 545413-73-p3-p35414-73-p3

545413-93-p9 545413-93-p3-p35413-13-p3-p3

545413-93-p9 545413-93-p3-p354313-p3-p3

545413-93-p9 545413-93-p3-p354313-p3-p3-p354313-p3-p3-p354313-p3-p3-p354313-p3-p3-p354313-p3-p

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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545414-73-1 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl]oxyl-ZHoxacyclotetradecino[4,3-d]oxazol-11-yl phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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545414-74-2 CAPLUS
Carbonic acid, (3as,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl 2-phenylethyl ester (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

545414-75-3 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorcotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl)oxyl-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl 3-phenyl-2-propynyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545414-78-6 CAPLUS
Carbonic acid, (3a5, 4R, 75, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3, 4, 6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopycanosyl)oxy]-2Hoxacyclotetradecino[4, 3-d]oxazol-11-yl (2E)-3-phenyl-2-propenyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

545414-80-0 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl]oxyl-ZHoxacyclotetradecino[4,3-d]oxacy-11-yl (2E)-3-[4-(4-pyrimidinyl)phenyl]-2propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

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545414-76-4 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl)oxyl-ZHoxacyclotetradecino(4,3-d)oxazol-11-yl 3-phenylpropyl ester (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

545414-77-5 CAPLUS
Carbonic acid, (3a5,48,75,98,108,118,138,158,15a8)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-mylo-hexopyranosyl]oxyl-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl 4-phenylbutyl ester (9CI) (CA

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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(Continued)

545414-81-1 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-0-xylo-hexopycanosyl]oxyl-2Hoxacyclotetradecino[4,3-d]oxaco-11-yl (2E)-3-[4-(5-pyrimidinyl)phenyl]-2propenyl ester (9CI) (CA INDEX NAME)

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

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545414-82-2 CAPLUS
Carbonic actd, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorottertadecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl]oxyl-2Hoxacyclotetradecino[4,3-d]oxaco-1-11-yl (2E)-3-[3-(2-pyrimidinyl)phenyl]-2propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS ON STN

(Continued)

545414-83-3 CAPLUS
Carbonic acid, (3a5,48,75,98,108,118,138,158,15a8)-4-ethyl-7fluorotteradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxaco-11-yl (2E)-3-[4-(2-pyridinyl)phenyl]-2propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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(Continued) PAGE 1-A

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

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545414-84-4 CAPLUS
Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotatradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-9-D-xylo-hexopyranosyl]oxyl-2Hoxacyclotatradecino[4,3-d]oxacycl-11-yl (2E)-3-[4-(3-pyridinyl)phenyl]-2propenyl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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545414-85-5 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotatradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-9-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxacol-11-yl (2E)-3-[4-(4-pyridinyl)phenyl]-2propenyl ester (9CI) (CA INDEX NAME)

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

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545414-86-6 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxacol-11-yl (2E)-3-(4-pyrazinylphenyl)-2propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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545414-88-8 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetcaoxo-10([3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl]oxy]-ZHoxacyclotetradecino[4,3-d]oxazo-11-yl (2E)-3-[4-(1H-pyrazol-1-yl)phenyl]2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

(Continued)

545414-87-7 CAPLUS
Carbonic acid. (3a5.4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydco-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxacyl-11-yl (2E)-3-[4-(3-pyridazinyl)phenyl]-2propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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545414-90-2 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorottertadecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl]oxy]-2Hoxacyclotteradecino(4,3-d]oxaco-11-1yl (2E)-3-[4-(HH-1,2,4-triazol-1yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

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(Continued)

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545414-91-3 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxaco-11-yl [25]-3-[4-(4H-1,2,4-triazol-4yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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545414-93-5 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecin(4,3-d)oxazol-11-yl (2E)-3-[4-(lH-imidazol-1-yl)phenyl]2-propenyl ester (9CI) (CA INDEX NAME)

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Absolute stereochemistry.
Double bond geometry as shown.

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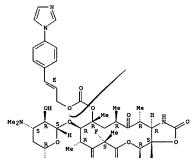
545414-92-4 CAPLUS
Carbonic acid, (3a5,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorottertadecahydro-3a,7,9,11,13,15-hexamethyl-2,6,9,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl]oxy]-2Hoxacyclotteradecino(4,3-d)oxaco-11-yl (2B)-3-[4-(HH-1,2,3-triazol-1yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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S45414-94-6 CAPLUS
Carbonic acid, (3a5,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecin(4,3-d]oxazol-11-yl [2E]-3-(4-(1-methyl-1H-pyrazol-3yl)phenyl)-2-propenyl ester (9CI) (CA INDEX NAME)

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Me 2N

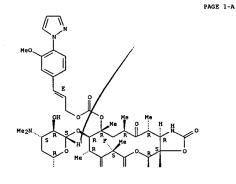
S R S H R P He R R O

Me R S O R Me

RN 545414-95-7 CAPLUS
CN Carbonic acid, (3aS, 4R, 7S, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3, 4, 6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranoxyl]oxy]-2Hoxacyclotetradecino(4, 3-d) oxazol-11-yl (2E)-3-[4-(1-methyl-1H-pyrazol-5yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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RN 545414-97-9 CAPLUS
CN Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxyl-2Hoxacyclotetradecino(4,3-0)oxzol-11-yl (2E)-3-[3-fluoro-4-(1H-pyrazol-1yl)phenyl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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RN 545414-96-8 CAPLUS
CN Carbonic acid, (3aS, 4R, 7S, 9R, 10R, 11R, 13R, 15R, 15aR) -4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosylloxy]-2Hoxacyclotetradecino(4, 3-d) Oxazol-11-yl (2E)-3-[3-methoxy-4-(1H-pyrazol-1yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Me 2N S R R R R Me S

RN 545414-98-0 CAPLUS
Cacbonic acid, (3a5, 4R, 75, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3, 4, 6-trideoxy-3- (dimethylamino)-9-0-xylo-hexopyranosyl)oxy]-ZHoxacyclotetradecino[4, 3-0]oxazol-11-yl (ZE)-3-[2-fluoro-4-(1H-pyrazol-1yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

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545414-99-1 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl)oxy]-2Hoxacyclotetradecino[4,3-d]oxazo-11-1y,1 (25)-3-[3-fluoro-4-(HB-1,2,4triazol-1-yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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545415-02-9 CAPLUS
Carbonic acid, (3a5,48,75,98,108,118,138,158,15a8)-4-ethyl-7fluorotetradacahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4,3-d)oxacyclo

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

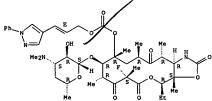
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545415-01-8 CAPLUS
Carbonic acid, (3a5,48,75,98,108,118,138,158,15a8)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-9-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4,3-d)oxaco-1-11-yl (2B)-3-(6-(H-pyrazol-1-yl)-3pyridinyl]-2-propenyl ester (9CI) (CA INDEX NAME)

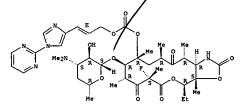
Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



545415-03-0 CAPLUS
Carbonic acid, (3a5,48,7s,98,108,118,138,158,15a8)-4-ethyl-7fluorottardecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl)oxy]-2Hoxacyclottardecino[4,3-d]oxaco-11-ly1 [25]-3-[1-(2-pyrimidinyl)-1Himidazol-4-yl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



545415-04-1 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotteradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-terraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-wylo-hexopyranosyl]oxyl-2Hoxacyclotetradecino(4,3-d]oxazol-11-yl (28)-3-(1-pyrazinyl-1H-imidazol-4yl)-2-propenyl ester (9CI) (CA INDEX NAME)

545415-05-2 CAPLUS
Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15R,1-4-ethyl-7-fluorobetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[{3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecin(4,3-4) oxazol-11-yl (2E)-3-(2-quinolinyl)-2-propenyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

545415-06-3 CAPLUS Carbonic actd, (3a5,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorottaradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2H-

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) oxacyclotetradecino[4,3-d]oxacol-11-yl (2E)-3-(3-quinolinyl)-2-propenyl ester (9CI) (CA INDEX NAME) Absolute stereochemistry.
Double bond geometry as shown.

545415-07-4 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3.4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl)oxy]-2Hoxacyclotetradecin(4,3-d]oxazol-11-yl (2E)-3-(4-quinolinyl)-2-propenyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545415-08-5 CAPLUS
Carbonic acid, (385,4R,75,9R,10R,11R,13R,15R,15R)-4-ethyl-7fluorotetradecahydro-3a,7.9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl (2E)-3-(5-quinolinyl)-2-propenyl
ester (9C1) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

545415-10-9 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15R)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl (2E)-3-(6-quinolinyl)-2-propenyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545415-11-0 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,9,14-tetraoxo-10[[3.4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecin(4,3-d]oxazol-11-yl (2E)-3-(7-quinolinyl)-2-propenyl
ester (9CI) (CA INDEX NAME)

RN 545415-12-1 CAPLUS
CN Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopytanosyl]oxy]-2Hoxacyclotetradecino(4,3-d)oxacol-11-yl (2E)-3-(8-quinolinyl)-2-propenyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 545415-13-2 CAPLUS
CN Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl)oxy]-2Hoxacyclotetradecino(4,3-d)oxazol-11-yl (2E)-3-(2-quinoxalinyl)-2-propenyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 545415-15-4 CAPLUS
CN Carbonic acid, (3as, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3, 4, 6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxyl-2Hoxacyclotetradecino(4, 3-d) oxazo-11-yl (2E)-3-(4-isoquinolinyl)-2-propenyl
ester (9Cl) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 545415-16-5 CAPLUS
CN Carbonic acid, (2E)-3-(6-bromo-3-pyridinyl)-2-propenyl
(3as, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR) -4-ethyl-7-fluorotetradecahydro3a, 7, 9, 11, 13, 15-hexamethyl-2-, 6, 8, 14-tetraoxo-10-[(3, 4, 6-trideoxy-3(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2H-

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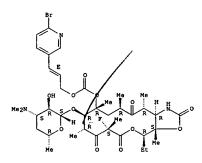
L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 545415-14-3 CAPLUS
CN Carbonic acid, (3a5,48,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxyl)oxyl-2Eoxacyclotetradecino(4,3-d]oxazol-11-yl (2E)-3-(6-quinoxalinyl)-2-propenyl
ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) oxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 545415-17-6 CAPLUS
Carbonic actd, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7flucrotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-mylo-hexopyranosyl]oxy]-ZHoxacyclotetradecino[4,3-4]oxazol-11-yl (2E)-3-[4-(2-oxazolyl)phenyl]-2propenyl ester (9CI) (CA INDEX NAME)

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RN 545415-18-7 CAPLUS
CN Carbonic acid, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4,3-d)oxacyl-11-yl (2E)-3-[4-(5-oxazolyl)phenyl)-2propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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OH O Me Me Me H H

Ne 2N R F Ne R R

Ne Ne R R

Ne R

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RN 545415-21-2 CAPLUS
CN Carbonic acid, (3a5, 48, 75, 98, 108, 118, 138, 158, 1588) -4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3, 4, 6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxyl-2Roxacyclotetradecino(4, 3-d) oxacyl-11-yl (2E)-3-[4-(2-thienyl)phenyl]-2propenyl ester (3CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

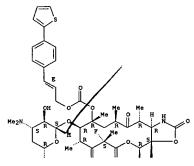
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RN 545415-19-8 CAPLUS
CAPDONIC acid, (3aS.4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-ZHoxacyclotetradecino(4,3-d)oxacol-11-yl (2E)-3-[4-(2-thiazolyl)phenyl]-2propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

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RN 545415-22-3 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4,3-d]oxacol-11-yl (2E)-3-[4-(3-isoxazolyl)phenyl]-2propenyl ester (9CI) (CA INDEX NAME)

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RN 545415-23-4 CAPLUS
Cn Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxacol-11-yl (2E)-3-[4-(1,3,4-oxadiazol-2yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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RN 545415-25-6 CAPLUS
CN Carbonic acid, (3aS, 4R, 75, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3, 4, 6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxyl]oxy]-2Hoxacyclotetradecino(4, 3-d)oxacol-11-yl (2E)-3-(4-(1, 2, 4-oxadiazol-5yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

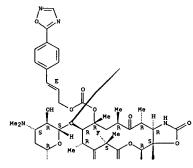
PAGE 1-A

RN 545415-24-5 CAPLUS
CArbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecahor[4,3-djoxazol-11-yl (2E)-3-f(-1/2,4-oxadiazol-3yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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RN 545415-26-7 CAPLUS
CARDONIC acid, (3as, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR) -4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[13, 4, 6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl)oxy]-2Hoxacyclotetradecino(4, 3-djoxazol-11-yl (2E)-3-(1-methyl-1H-benzimidazol-2yl)-2-propenyl ester (9C1) (CA INDEX NAME)

545415-27-8 CAPLUS
Carbonic acid, (2E)-3-(5-bromo-2-pyrimidinyl)-2-propenyl
(3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3(dimethylamino)-8-0-xylo-hexopyraonayl)oyl)-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

545415-28-9 CAPLUS
Carbonic acid, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl (2E)-3-(5-fluoro-2-pyrimidinyl)-2-

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545415-30-3 CAPLUS Carbonic actd, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl)oxyl-2H-oxacyclotetradecino(4,3-d)oxacyclotetradecino(4,3-d)oxacyclotetradecino(4,3-d)oxacyclotetradecino(4),3-d)oxacyclotetradecino(4),3-doxacyclote

Absolute stereochemistry.
Double bond geometry as shown.

545415-32-5 CAPLUS
Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxyl-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl (2E)-3-(4-methoxy-2-pyrimidinyl)-2propenyl ester (9CI) (CA INDEX NAME)

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L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN propenyl ester (9CI) (CA INDEX NAME) (Continued)

Absolute stereochemistry.
Double bond geometry as shown.

545415-29-0 CAPLUS Carbonic acid, (3a5,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorcottardecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2H-oxacyclotetradecino(4,3-d)oxacol-11-yl (2E)-3-(5-ethyl-2-pyrimidinyl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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Absolute stereochemistry.
Double bond geometry as shown.

545415-33-6 CAPLUS
Carbonic actd, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-0-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxaco-11-yl (2E)-3-(6-methyl-3-pyridazinyl)-2propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

545415-34-7 CAPLUS

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,1,1,31,5-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxyl-2Hoxacyclotetradecino(4,3-d)oxazol-11-yl (2E)-3-(6-methoxy-3-pyridazinyl)-2propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 545415-35-8 CAPLUS
CN Carbonic acid, (3a5, 4R, 75, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3, 4, 6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxyl-2Hoxacyclotetradecino(4, 3-d) Oxazol-11-yl (2E)-3-[5-(2-pyridinyl)-2-thienyl]2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 545415-38-1 CAPLUS
CR Carbonic acid, (3as, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3, 4, 6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranomyl]oxyl-2Hoxacyclotetradecino[4, 3-d]oxazol-11-yl/(2E)-3-[4-(2-pyridinyl)-2-thienyl]2-propenyl ester (9Cl) (CA INDEX NAME)

RN 545415-39-2 CAPLUS
CN Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl]oxyl-2Hoxacyclotetradecino[4,3-d]oxacol-11-yl (2E)-3-(4-(2-pyrimidinyl)-2thienyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 545415-36-9 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4,3-d)oxazol-11-y1 (2E)-3-[5-(2-pyrimidinyl)-2thienyl]-2-propenyl ester (9CI) (CA INDEX NAME)

RN 545415-37-0 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetcaoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-ZHoxacyclotetradecino[4,3-d]oxazol-11-yl (2E)-3-(5-pyrazinyl-2-thienyl)-2propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

N 545415-41-6 CAPLUS
Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[3,4,6-trideoxy-3-(dimethylamino)-B-D-sylo-hexopyranosyl)oxyl-2H-oxacyclotetradecino(4,3-d)oxacol-11-yl (2E)-3-(4-pyrazinyl-2-thienyl)-2-propenyl ester (9CI) (CA INDEX NAME)

RN 545415-42-7 CAPLUS
CArbonic acid, (3a5,4R,7S,9R,1OR,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopycanosylloxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl [2E)-3-[5-(2-pyridinyl)-3-thienyl]2-propenyl ester (9CI) (CA INDEX NAME)

RN 545415-43-8 CAPLUS
CN Carbonic acid, (3aS, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR) -4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[(3, 4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4, 3-d) oxazol-11-yl (2E)-3-[5-(2-pyrimidinyl)-3thienyl]-2-propenyl ester (9CI) (CA INDEX NAME)

RN 545415-44-9 CAPLUS
CN Carbonic acid, (3a5, 4R, 75, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3, 4, 6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4, 3-d]oxacol-11-yl (2E)-3-(5-pyrazinyl-3-thienyl)-2propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (dimethylamino) -B-D-sylo-hexopyranosyl) soxyl-ZH-oxacyclotetradecine(4, 3-d] oxazol-11-yl ester (SCI) (CA INDEX NAME)

RN 545415-48-3 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-0-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl (2E)-3-[4-(2-pyrimidinyloxy)phenyl]2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

RN 545415-45-0 CAPLUS
CN Carbonic acid, (3a5, 4R, 75, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 9, 14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4, 3-d) Oxazol-11-yl (2E)-3-(2-phenyl-5-pyrimidinyl)-2propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 545415-46-1 CAPLUS
CN Carbonic acid, (ZE)-3-[2,2'-bithiophen]-5-y1-2-propenyl
(345,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[{3,4,6-trideoxy-3-

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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RN 545415-49-4 CAPLUS
CN Carbonic acid, (3aS, 4R, 75, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3, 4, 6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxyl)oxy]-2Hoxacyclotetradecino[4, 3-d]oxazol-11-yl (2B, 3-]c_fluoro-4-(2pyrimidinyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

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545415-50-7 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-0-xylo-hexopyranosyl)oxyl-2Hoxacyclotetradecino[4,3-d]oxazo-11-yl (3E)-4-[4-(2-pyrimidinyl)phenyl]-3butenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

545415-51-8 CAPLUS
Carbonic acid, (3as, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxyl-2Hoxacyclotetradecino(4,3-djoxazol-11-yl [4-(2-pyrimidinyl)phenyl]methyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545415-53-0 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorcotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl)oxyl-2Hoxacyclotetradecino(4,3-d)oxazol-11-yl (4-pyrazinylphenyl)methyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 545415-54-1 CAPLUS

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L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545415-52-9 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[{3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl}]oxyl-2Hoxacyclotetradecino(4,3-d]oxazol-11-yl {4-(3-pyridazinyl)phenyl]methyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN Carbonic acid, (3a5,48,75,98,108,118,138,158,158)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-haxamethyl-2,6,8,14-tetraoxo-10[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl 3-[4-(2-pyrimidinyl)phenyl]-2propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

545415-55-2 CAPLUS
Carbonic acid, (3as,4m,7s,9m,10m,11m,13m,15m,15am)-4-ethyl-7fluorcotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxacyclo11-yl 3-[4-(4-pyrimidinyl)phenyl]-2propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

545415-56-3 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotteradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[{3,4,6-trideoxy-3-(dimethylamino)-B-O-xylo-hexopyranosyl)cxy}-2Hoxacyclotetradecino[{4,3-d})cxacol-11-yl 3-[4-(5-pyrimidinyl)phenyl}-2propynyl ester (9C1) (CA INDEX NAME)

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) Absolute stereochemistry.

545415-57-4 CAPLUS
Carbonic actd, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorottertadecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl]oxy]-2Hoxacyclotetradecino(4,3-d)oxacol-11-yl 3-[4-(2-pyridinyl)phenyl]-2propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

545415-58-5 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopycanoxyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxacol-11-yl 3-[4-(3-pyridinyl)phenyl]-2propynyl ester (9C1) (CA INDEX NAME)

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN Absolute stereochemistry. (Continued)

545415-61-0 CAPLUS
Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorrottradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl]oxyl-2Hoxacyclottradecino[4,3-d]oxacol-11-yl 3-[4-(3-pyridazinyl)phenyl]-2propynyl estec (9CI) (CA INDEX NAME)

Absolute stereochemistry.

545415-63-2 CAPLUS
Carbonic acid, (3aS,4R,7S,9R,10R,11R,13R,15R,15RR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecin(4,3-d]oxacyl-11-yl 3-[4-(H-pyrazol-1-yl)phenyl]-2propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.

545415-59-6 CAPLUS
Carbonic acid, (3as,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorottetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,9,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxaco-11-yl 3-[4-(4-pyridinyl)phenyl]-2propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

545415-60-9 CAPLUS
Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-tridecxy-3-(dimethylamino)-β-D-wylo-hexopycanosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl 3-(4-pyrazinylphanyl)-2-propynyl
ester (9CI) (CA INDEX NAME)

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545415-64-3 CAPLUS
Carbonic acid, (3a5,48,75,98,108,118,138,158,15a8)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3.4,6-trideoxy-3-(dimethylamino)-9-D-xylo-hexopyranosyl]oxy]-ZHoxacyclotetradecino[4,3-d]oxacyo-11-yl 3-[4-(HH-1,2,4-triazol-1-yl)phenyl]2-propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

545415-65-4 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluornottradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxyl-ZHoxacyclottradecino[4,3-d]oxacycl-11-yl 3-[4-(4H-1,2,4-triazol-4-yl)phenyl]Z-propynyl ester (9CI) (CA INDEX NAME)

RN 545415-66-5 CAPLUS
CN Carbonic acid, (3aS, 4R, 7S, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[(3, 4, 6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4, 3-d)oxacyl-11-yl 3-(4-(1H-1, 2, 3-triazol-1-yl)phenyl)2-propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 545415-67-6 CAPLUS
CN Carbonic acid, (3aS,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl]oxyl-ZHoxacyclotetradecino[4,3-d]oxacol-11-yl 3-[4-(1H-imidazol-1-yl) phenyl]-2propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 545415-70-1 CAPLUS
CN Carbonic acid, (3a5, 4R, 75, 9R, 10R, 11R, 13R, 15R, 15aR) -4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl)oxy]-2Hoxacyclotetradecino(4,3-d)oxacyC-11-yl 3-(1-phenyl-1H-pyrazol-4-yl)-2propynyl ester (9CI) (CA INDEX NAME)

RN 545415-71-2 CAPLUS
CN Carbonic acid, (3a5, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetcaoxo-10[(3, 4, 6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-ZHoxacyclotetradecino(4, 3-d)oxazol-11-yl 3-(2-quinolinyl)-2-propynyl ester
(9C1) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

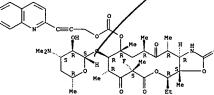
RN 545415-68-7 CAPLUS
CN Carbonic acid, (3a5, 4R, 75, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[(3, 4, 6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranoyyl]oxy]-2Hoxacyclotetradecino(4, 3-d) Oxazol-11-yl 3-[4-(1-hethyl-1H-pyrazol-3yl)phenyl]-2-propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 545415-69-8 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl 3-[4-(1-nechyl-1H-pyrazol-5yl)phenyl]-2-propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 545415-73-4 CAPLUS
CN Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4,3-d)oxazol-11-yl 3-(3-quinolinyl)-2-propynyl ester
(9C1) (CA INDEX NAME)

Absolute stereochemistry.

No of the property of the property

RN 545415-74-5 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranoxyl]oxy]-ZHoxacyclotetradecino(4,3-d)oxazol-11-yl 3-(4-quinolinyl)-2-propynyl ester
(9CI) (CA INDEX NAME)

545415-75-6 CAPLUS
Carbonic actd, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotteradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl 3-(5-quinolinyl)-2-propynyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

545415-76-7 CAPLUS
Carbonic acid, (3as,48,7s,98,108,118,138,158,15a8)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-6-D-xylo-hexopyranosyl]oxyl-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl 3-(6-quinolinyl)-2-propynyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545415-79-0 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorottardecahydro-3a,7,9,11,13,15-héxamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-8-b-xylo-hexopyranosyl]oxyl-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl 3-(2-quinoxalinyl)-2-propynyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

545415-80-3 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15RR)-4-ethyl-7fluorotetradecahydro-3a,7.9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl)oxyl-ZHoxacyclotetradecino[4,3-d]oxazol-11-yl 3-(6-quinoxalinyl)-2-propynyl ester
(GCI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

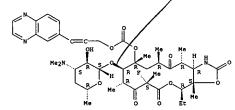
545415-77-8 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4,3-d]oxazol-11-yl 3-(7-quinolinyl)-2-propynyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

545415-78-9 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorcotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-mylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl 3-(8-quinolinyl)-2-propynyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



545415-82-5 CAPLUS
Carbonic actd, (3a5,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4,3-d)oxazol-11-yl 3-(4-isoquinolinyl)-2-propynyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

545415-83-6 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7.9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl 3-[4-(2-oxazolyl)phenyl]-2-propynyl
ester (9CI) (CA INDEX NAME)

RN 545415-84-7 CAPLUS
Cn Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-kylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl 3-[4-(5-oxazolyl)phenyl]-2-propynyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 545415-85-8 CAPLUS
CN Carbonic acid, (3a5,48,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecinof(4,3-d)oxacol-11-yl 3-[4-(2-thiazolyl)phenyl]-2propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 545415-88-1 CAPLUS
Cn Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-8-D-mylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl 3-[4-(1,3,4-oxadiazol-2-yl)phenyl]2-propynyl ester (9C1) (CA INDEX NAME)

RN 545415-89-2 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetracxo-10[(3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl)oxyl-2Hoxacyclotetradecino(4,3-d)oxazol-11-yl 3-[4-(1,2,4-oxadiazol-3-yl)phenyl]2-propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

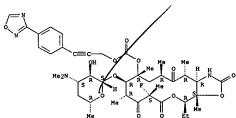
RN 545415-86-9 CAPLUS
CN Carbonic acid, (3aS, 4R, 7S, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[(3, 4, 6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4, 3-d) oxazol-11-yl 3-[4-(2-thienyl)phenyl]-2-propynyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 545415-87-0 CAPLUS
CX Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-6-0-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl 3-[4-(3-isoxazolyl)phenyl]-2propymyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 545415-90-5 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-B-D-mylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxacol-11-yl 3-[4-(1,2,4-oxadiazol-5-yl)phenyl]2-propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

NOTE: The state of the state o

RN 545415-92-7 CAPLUS
Cn Carbonic acid, (3a5,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-6-D-xylo-hexopyranosyl]oxy]-2Eoxacyclotetradecino[4,3-d]oxazol-11-yl 3-(1-methyl-1H-benzimidazol-2-yl)-2propynyl ester (9CI) (CA INDEX NAME)

RN 545415-93-8 CAPLUS
CN Carbonic acid, 3-(5-bromo-2-pyrimidinyl)-2-propynyl
(3a5, 48, 75, 98, 108, 118, 138, 158, 15a8)-4-ethyl-7-fluorotetradecahydro3a, 7, 9, 11, 13, 15-bexamethyl-2, 6, 8, 14-tetraoxo-10-[[3,4,6-trideoxy-3(dinethylamino)-8-D-sylo-bexopyranosyl)swy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

RN 545415-94-9 CAPLUS
CN Carbonic acid, (3a5,48,75,98,108,118,138,158,15a8)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4,3-d)oxacol-11-yl 3-(5-fluoro-2-pyrimidinyl)-2propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 545415-97-2 CAPLUS
Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4,3-d)oxacol-11-yl 3-(4-methoxy-2-pyrimidinyl)-2propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 545415-98-3 CAPLUS
Carbonic acid, (3aS, 4R, 7S, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7-fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10-[[3, 4, 6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranosyl]oxy]-2H-oxacyclotetradecino(4, 3-d) oxacyl-11-yl 3-(6-methyl-3-pyridazinyl)-2-propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER B OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

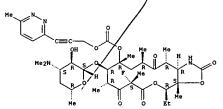
RN 545415-95-0 CAPLUS
CN Carbonic acid, (3a5, 4R, 75, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[(3, 4, 6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl)oxy)-2Hoxacyclotetradecino(4, 3-d)oxacol-11-yl 3-(5-ethyl-2-pyrimidinyl)-2propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 545415-96-1 CAPLUS
CN Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetcaoxo-10[3,4,6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxzol-11-yl 3-(4-methyl-2-pyrimidinyl)-2propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 545415-99-4 CAPLUS
CN Carbonic acid, (3a5, 4R, 75, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3, 4, 6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4, 3-d)oxzol-11-yl 3-(6-methoxy-3-pyridazinyl)-2propynyl ester (9CI) (CA INDEX NAME)

RN 545416-01-1 CAPLUS
CN Carbonic acid, (3aS, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR) -4-ethyl-7fluoroteradecahydro-3a, 7.9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3, 4, 6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoayl]oxy]-2Hoxacyclotetradecino[4, 3-d]oxazol-11-yl 3-[3-(2-pyridinyl)-5-isoxazolyl]-2propynyl ester (9CI) (CA INDEX NAME)

RN 545416-02-2 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl)oxy]-2Hoxacyclotetradecino[4,3-d]oxacol-11-yf 3-[5-(2-pyridinyl)-2-thienyl]-2propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

CEC

OH

Ne 2N

R S

R S

H R F

He

O O ET

Me T

Ne O O O ET

RN 545416-03-3 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3.4,6-trideoxy-3-(dimethylamino)-β-0-kylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl 3-[5-(3-pyridinyl)-2-thienyl]-2propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry

S45416-06-6 CAPLUS
Carbonic acid, (3a5,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy)-2H-oxacyclotetradecaho(4,3-d)oxazol-11-yl 3-(5-pyrazinyl-2-thienyl)-2-propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 545416-07-7 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxacol-11-yl 3-[4-(2-pyridinyl)-2-thienyl]-2propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

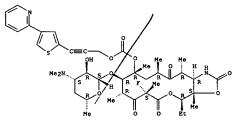
RN 545416-04-4 CAPLUS
CN Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxacol-11-y] 3-[5-(4-pyridinyl)-2-thienyl]-2propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 545416-05-5 CAPLUS
CATODORIC acid, (3aS,4R,75,9R,10R,11R,13R,15R,15R)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4,3-d]oxacol-11-yl3-[5-(2-pyrimidinyl)-2-thienyl]-2propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 545416-08-8 CAPLUS
Carbonic acid, (3as, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[(3.4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxacol-11-yl 3-[4-(3-pyridinyl)-2-thienyl]-2propynyl ester (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

RN 545416-09-9 CAPLUS CN Carbonic acid, (3as, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR) -4-ethyl-7-fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10-[[3, 4, 6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2H-oxacyclotetradecino(4, 3-d)oxacol-11-yl 3-[4-(4-pyridinyl)-2-thienyl]-2-propynyl ester (9CI) (CA INDEX NAME)

RN 545416-10-2 CAPLUS
CN Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl)oxy]-2Hoxacyclotetradecino(4,3-d)oxazol-11-yl 3-[4-(2-pyrimidinyl)-2-thienyl]-2propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry

RN 545416-11-3 CAPLUS
Carbonic acid, (3a5, 4R, 75, 9R, 10R, 11R, 13R, 15R, 15aR) -4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4, 3-d]oxazol-11-yl 3-[5-(2-pyridinyl)-3-thienyl]-2propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

$$\begin{array}{c} \text{S} \\ \text{Ph} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{O} \\ \text{N} \\ \text{O} \\ \text{N} \\ \text$$

RN 545416-15-7 CAPLUS
CN Carbonic acid, 3-{2,2'-bithiophen}-5-y1-2-propynyl
(3a5,4R,75,9R,10R,11R,13R,15R,15aN)-4-ethyl-7-fluorotetradecahydro3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[{3,4,6-trideoxy-3(dimethylamino)-β-D-xylo-hexopyranozyl]oxy]-2Hoxacyclotetradecino(4,3-d]oxacol-1/2-yl ester (9C1) (CA INDEX NAME)

RN 545416-16-8 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxyl-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl 3-[4-(2-pyrimidinyloxy)phenyl]-2-propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 545416-13-5 CAPLUS
CN Carbonic acid, (3aS, 4R, 7S, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4,3-d)oxacol-11yyl 3-[5-(3-pyridinyl)-3-thienyl]-2propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 545416-14-6 CAPLUS
CN Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-9-0-xylo-hexopyranosyl)oxy]-ZHoxacyclotetradecino[4,3-0]oxazol-11-yl 3-[5-(2-phenyl-5-pyrimidinyl)-3thienyl]-2-propynyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 545416-17-9 CAPLUS
CN Carbonic acid, (3aS, 4R, 7S, 9R, 10R, 11R, 13R, 15R, 15aR) -4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[3, 4, 6-trideoxy-3-(dimethylamino) -B-D-xylo-hexopyranosyl]oxy] -2Hoxacyclotetradecino[4, 3-d]oxzol-11-yl 4-[4-(2-pyrimidinyl) phenyl] -3butynyl ester (9CI) (CA INOEX NAME)

Absolute stereochemistry.

RN 545416-18-0 CAPLUS
CN Carbonic acid, (3a5, 4R, 75, 9R, 10R, 11R, 13R, 15R, 15aR) -4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 0, 14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-8-D-wylo-hexopyranosyl)oxy]-2Hoxacyclotetradecino(4,3-d)oxacol-11-yl 5-[4-(2-pyrimidinyl)phenyl]-4pentynyl ester (9CI) (CA INDEX NAME)

545416-19-1 CAPLUS
Carbonic actd, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-ByD-xylo-hexopyranosyl]oxy]-ZHoxacyclotetradecino(4,3-d]oxazol-11/yl 3-[4-(2-pyrimidinyl)phenyl]propyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

545416-20-4 CAPLUS
Carbonic actd, (3as,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxyl-2Hoxacyclotetradecino(4,3-d)oxazol-11-yl 3-(4-pyrazinylphenyl)propyl ester
[9C1) (CA INDEX NAME)

Absolute stereochemistry.

545416-24-8 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15/hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-8-0-xylo-hexopyranosyl]oxyl-ZHoxacyclotetradecino(4,3-d]oxazol-14-yl 3-{4-(1H-pyrazol-1-yl)phenyl]propyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

545416-25-9 CAPLUS
Carbonic acid, (3a5,48,75,98,108,118,138,158,15a8)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4,3-d)oxazol-11-yl 3-[4-(1H-1,2,4-triazol-1yl)phenyl]propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

545416-21-5 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-9-D-xylo-hexopyranosyl]oxyl-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl/3-[4-(3-pyridazinyl)phenyl]propyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

545416-23-7 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorottertadecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl 3-[4-(2-pyridinyl)phenyl]propyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

545416-26-0 CAPLUS
Carbonic acid, (3as,4R,7s,9R,10R,11R,13R,45R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-sylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4,3-d]oxazol-11-yl 3-[4-(1H-1,2,3-triazol-1yl)phenyl]propyl ester (9CI) (CA_MOEX_NAME)

Absolute stereochemistry.

545416-27-1 CAPLUS
Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotteradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2Hoxacyclottacdecino[4,3-d]oxazol-11-yl 3-(4-(1-methyl-1H-pyrazol-3yl)phenyl]propyl ester (9CI) (CA INDEX NAME)

RN 545416-28-2 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,16R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-fexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-9-D-xylo-hexopyranosyl]oxyl-2Hoxacyclotetradecino[4,3-d]oxazol-17-yl 3-(2-quinolinyl)propyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry

RN 545416-29-3 CAPLUS
CN Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-mylo-hexopyranosyl)oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl 3-(3-quinolinyl)propyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 545416-33-9 CAPLUS
CN Carbonic acid, (3aS, 4R, 7S, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7.9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3, 4, 6-trideoxy-3-(dimethylamino)-8-D-mylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4, 3-d]oxazol/11-yl 3-(6-quinolinyl)propyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 545416-34-0 CAPLUS
Cn Carbonic acid, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl 3-(7-quinolinyl)propyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

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RN 545416-31-7 CAPLUS
Carbonic acid, (3aS, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3, 4, 6-tridoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl)oxy]-2Hoxacyclotetradecino[4, 3-d]oxazol-11-yl 3-(4-quinolinyl)propyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 545416-32-8 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-9-0-xylo-hexopyranosyl)oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl 3-(5-quinolinyl)propyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

N 545416-35-1 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl 3-(8-quinolinyl)propyl ester (9CI) (CA INDEX NAME)

RN 545416-36-2 CAPLUS
CN Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluocotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2H-oxacyclotetradecino(4,3-d)oxazol-11-yl 3-(2-quinoxalinyl)propyl ester (9CI) (CA INDEX NAME)

545416-37-3 CAPLUS Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorottertadecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3-(dimethylamino)/ β -D-xylo-hexopyranoxyl]oxy]-2H-oxacyclotetradecino(4,3-d)oxazol/1-yl 3-(6-quinoxalinyl)propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

545416-38-4 CAPLUS
Carbonic acid, (3as, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR) -4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3, 4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4, 3-d]oxazol-11-yl 3-[4-(2-oxazolyl)phenyl]propyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

545416-42-0 CAPUS
Carbonic acid, 3-(1H-benzimidazól-1-yl)propyl
(3a5, 4R, 75, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7-fluorotetradecahydro3a, 7, 9, 11, 13, 15-hexamethyl-2/6, 8, 14-tetraoxo-10-[3, 4, 6-trideoxy-3(dimethylamino)-8-0-xylo-he/gopycanoxyl)oxyl-2Hoxacyclotetradecino[4, 3-d]xxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

545416-43-1 CAPLUS
Carbonic acid, (3a5,48,75,98,108,118,138,158,15a8)-4-ethyl-7fluorottertadecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[(3.4,6-trideoxy-3-(dimethylamino)-8-D-sylo-hexopyranosyl)oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl (22)-2-fluoro-3-[4-(2pyrimidinyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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545416-39-5 CAPLUS
Carbonic acid. (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7.9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4,3-d]oxazol-11-yl 3-[5-(2-pyridinyl)-2-thienyl]propyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

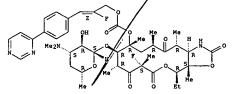
545416-41-9 CAPLUS
Carbonic actd, (3a5,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexasethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(disethylamino)-β-0-xylo-hexpyranosylloxy]-2Hoxacyclotetradecino[4,3-d]oxaco-11-1y-1,3-[5-(2-pyrimidinyl)-2thienyl]propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

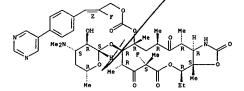
L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545416-44-2 CAPLUS
Carbonic actd, (3a5,4R,75,9R,10R,11R,13R,15R,15AR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexaméthyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-0-xylio-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl (2Z)-2-fluoro-3-[4-(4pyriadinyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



545416-45-3 CAPLUS
Carbonic actd, (3as, 48, 7s, 98, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3, 4, 6-trideoxy-3-(dimethylamino)-#-D-sylo-hexopyranosyl)oxy}-2Hoxacyclotetradecino(4, 3-d) oxaco-11-ly [(22)-2-fluoro-3-[4-(5pyrimidinyl)phenyl)-2-propenyl ester (9CI) (CA INDEX NAME)



RN 545416-46-4 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxyl)oxy}-2Hoxacyclotetradecino(4,3-d)oxazol-11-y1 (22)-2;fluoro-3-[3-(2pyrimidinyl)phenyl}-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

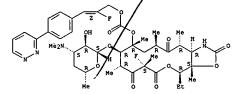
RN 545416-47-5 CAPLUS
CN Carbonic acid, (3a5, 4R, 75, 9R, 10R, 11R, 13R, 15R, 15aR) -4-ethyl-7fluorotetradecahydro-3a, 7, 9, 111, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[(3, 4, 6-trideoxy-3- (dimethylamino) -B-D-xylo-hexopyranoxyl]oxy]-2Hoxacyclotetradecino(4, 3-d)oxacol-11-yl (22) -2-fluoro-3-[4-(2pyridinyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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RN 545416-51-1 CAPLUS
CN Carbonic acid, (3a5,4a,75,9R,10R,11R,13A,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-bekamethyl-2,6,9,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-8/0-sylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4,3-4)oaxol-11/y1 (22)-2-fluoro-3-(4-(3pyridazinyl)phenyl]-2-propenyl esser (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 545416-52-2 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-tridooxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4,3-d)oxazol-11-yl (22)-2-fluoro-3-[4-(1H-pyrazol-1yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown. RN 545416-49-7 CAPLUS
Carbonic acid, (3a5,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10([34,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl)oxyl-2Hoxacyclotetradecino(4,3-d)oxazol-11-yl (2Z)-2-fluoro-3-[4-(3pyridinyl)phenyl]-2-propenyl ester (9Gi) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 545416-50-0 CAPLUS
CN Carbonic acid, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranoxyl]oxy]-2Hoxacyclotetradecino[4,3-d)oxacol-11-yl (22)-2-fluoro-3-[4-(4pyridinyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 545416-53-3 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluoroteradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranoxyl]oxy]-2Hoxacyclotetradecino(4,3-d)oxazol-11-y/(22)-2-fluoro-3-[4-(1R1,2,4triazol-1-yl)phenyl]-2-propenyl estet (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.



RN 545416-54-4 CAPLUS
CN Carbonic acid, (3a5, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR) -4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3, 4, 6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoayl)oxy]-2Hoxacyclotetradecino(4, 3-d)oxacol-11-yl (22)-2-fluoro-3-[4-(4H-1, 2, 4triazol-4-yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

RN 545416-55-5 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,111,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl]oxy]-2Hoxacyclotetradecino(4,3-d)oxazol-11-y1 (22)-2-fluoro-3-[4-(1H-1,2,3triazol-1-yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 545416-57-7 CAPLUS
Cn Carbonic acid, (3a5.4R,75.9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7.9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosylloxy]-2Hoxacyclotetradecino[4,3-d]0xazol-11-yl (22)-2-fluor-3-[4-(1H-imidazol-1yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 545416-60-2 CAPLUS
Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranozyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-y1 (22)-2-fluoro-3-[3-methoxy-4-(1Hpyrazol-1-y1)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 545416-61-3 CAPLUS
Carbonic acid, (3a5, 4R, 75, 9R, 10R, 11R, 13R, 15R, 15aR) -4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[(3, 4, 6-trideoxy-3-(dimethylamino) -β-D-xylo-hexopyranosyl)oxy]-2Hoxacyclotetradecino(4, 3-q10 oxazol-11-y1 (22) -2-fluoro-3-[3-fluoro-4-(1Hpyrazol-1-yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

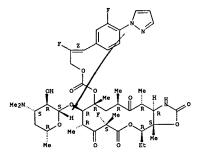
RN 545416-58-8 CAPLUS
CN Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-1/-y1 (2Z)-2-fluoro-3-[4-(1-methyl-1Hpyrazol-3-yl)phenyl]-2-propenyl ster (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 545416-59-9 CAPLUS
Carbonic acid, (3a5, 4R, 7S, 9R, 10R, 11R, 13R, 15R, 15aR) -4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[(3, 4, 6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl)oxy]-2Hoxacyclotetradecino[4, 3-d]oxazol-11-yl (22)-2-fluoro-3-[4-(1-methyl-1Hpyrazol-5-yl)phenyl}-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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RN 545416-62-4 CAPLUS
Carbonic acid, (3a5,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-y1 [22]-2-fluoro-3-[2-fluoro-4-(Hpyrazol-1-yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 545416-63-5 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluocotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl)oxy]-2H-

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) oxacyclotetradecino[4,3-d]oxacol-11-yl (22)-2-fluoro-3-[3-fluoro-4-(1H-1,2,4-triazol-1-yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 545416-64-6 CAPLUS
CN Carbonic acid, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-B-0-xylo-hexopyranoxyl)oxy]-2Hoxacyclotetradecino(4,3-d)oxacol-11-yl (22)-2-fluoro-3-(1-phenyl-1Hpyrazol-4-yl)-2-propenyl ester (9CI) (CA INDEX NAME)

RN 545416-66-8 CAPLUS

RN 545416-69-1 CAPLUS
CN Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-ZHoxacyclotetradecino(4,3-d)oxacol-11-yl (22)-2-fluoro-3-(3-quinolinyl)-2propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 545416-70-4 CAPLUS
Carbonic acid, (3aS, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7-fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10-([3, 4, 6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxyl-2H-oxacyclotetradecino(4, 3-d) oxazol-11-yl (2Z)-2-fluoro-3-(4-quinolinyl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

Lil ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl (22)-2-fluoro-3-[1-(2-pyrimidinyl)H-imidazol-4-yl]-2-propenyl ester (9CI) (CA INDEX NAME)

RN 545416-67-9 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4,3-d)oxazol-11-yl (2Z)-2-fluoro-3-(1-pyrazinyl-1Himidazol-4-yl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

Note that the property of the proper

RN 545416-68-0 CAPLUS
CN Carbonic acid, (3a5, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3, 4, 6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopytanosyl]oxy]-2Hoxacyclotetradecino[4, 3-d]oxazol-11-yl (22)-2-fluoro-3-(2-quinolinyl)-2propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

N 545416-71-5 CAPLUS N Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-mylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxacol-11-yl (2Z)-2-fluoro-3-(5-quinolinyl)-2propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 545416-72-6 CAPLUS
CATBORIC acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-wylo-hexopyranosyl]oxyl-ZHoxacyclotetradecinof(4,3-djoxazol-11-yl (22)-2-fluoro-3-(6-quinolinyl)-2propenyl ester (9CI) (CA INDEX NAME)

545416-73-7 CAPLUS
Carbonic acid, (3a5, 4R, 7S, 9R, 10R, 11R, 13R, 15R, 15aR) -4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3, 4, 6-trideoxy-3-(dimethylamino) -B-D-xylo-hexopyranosyl]oxy] -2Hoxacyclotetradecino[4, 3-d]oxacol-11-yl (2Z) -2-fluoro-3-(7-quinolinyl) -2proponyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

545416-74-8 CAPLUS
Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorottertadecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-9-D-xylo-hexopyranosyl]oxyl-2Hoxacyclotetradecino(4,3-d)oxacol-11-yl (2Z)-2-fluoro-3-(8-quinolinyl)-2propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN Double bond geometry as shown. (Continued)

545416-78-2 CAPLUS
Carbonic acid, (385,48,75,98,108,118,138,158,158)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-mylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxacyl-11-yl (22)-2-fluoro-3-(4-isoquinolinyl)-2propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

545416-79-3 CAPLUS
Carbonic acid, (22)-3-(6-bromo-3-pyridinyl)-2-fluoro-2-propenyl
(385,48,78,98,108,118,138,158,15aR)-4-ethyl-7-fluorotetradecahydro3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[3,4,6-trideoxy-3(dimethylamino)-B-D-xylo-hexopyranosyl]oxy)-2Hoxacyclotetradecino(4,3-d]oxazol-11-yi ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

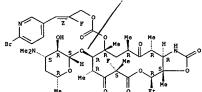
545416-76-0 CAPLUS
Carbonic acid, (3a5, 4R, 75, 9R, 10R, 11R, 13R, 15R, 15aR) -4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-o-xylo-hexopyranosyl]oxy]-ZHoxacyclotetradecino[4,3-d]oxazo-11-yl (2Z)-2-fluoro-3-(2-quinoxalinyl)-2propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

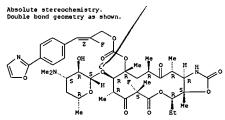
545416-77-1 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-9-D-xylo-hexopyranosyl]oxy]-ZHoxacyclotetradecino[4,3-d]oxazol-11-yl (22)-2-fluoro-3-(6-quinoxalinyl)-2propenyl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



545416-80-6 CAPLUS
Carbonic acid, (3a5,48,75,98,108,118,138,158,15a8)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl)oxy]-2Hoxacylotetradecino[4,3-d]oxazol-11-yl (2Z)-2-fluoro-3-[4-(2oxazolyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)



545416-81-7 CAPLUS
Carbonic acid, (3a5,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorrotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl)oxy]-2Hoxacyclotetradecino[4,3-d]oxaco-11-yl (22)-2-fluoro-3-[4-(5oxacyclotetradecino[4,3-d]oxaco-11-yl (22)-2-fluoro-3-[4-(5oxazolyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

RN 545416-82-8 CAPLUS
CArbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,111,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranoxyl]oxy]-2Hoxacyclotetradecino(4,3-d)oxazol-11-yl (22)-2-fluoro-3-[4-(2thiazolyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 545416-83-9 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4,3-d)oxazol-11-y1 (22)-2-fluoro-3-[4-(2thienyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 545416-86-2 CAPLUS
CR Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15R)-4-ethyl-7flucrotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl (22)-2-fluoro-3-[4-(1,2,4-oxadiazol-3-yl)phenyl]-2-propenyl ester (9C1) (CA INDEX NAME)

N 545416-88-4 CAPLUS
Carbonic acid, (3a5,48,75,98,108,118,138,158,158)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-f[3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl]oxy]-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl (22)-2-fluoro-3-[4-(1,2,4-oxadiazol-5-yl)phenyl]-2-propenyl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown. L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

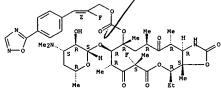
N 545416-84-0 CAPLUS
N Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[(3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl)oxy]-2H-oxacyclotetradecino(4,3-d)oxacol-11-yl (22)-2-fluoro-3-[4-(3-isoxazolyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 545416-85-1 CAPLUS
Carbonic acid, (3aS, 4R, 75, 9R, 10R, 11R, 13R, 15R, 15aR) -4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[(3, 4, 6-trideoxy-3-(dimethylamino) -β-D-xylo-hexopycanosyl]oxy] - 2Hoxacyclotetradecino[4, 3-d]oxazol-11-yl (2Z) -2-fluoro-3-[4-(1, 3, 4-oxadiazol2-yl)phenyl] -2-propenyl ester (9CI) (CA INDEX NAME)

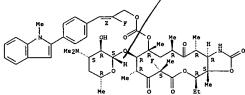
Absolute stereochemistry. Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 545416-89-5 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopycanosyl)oxy]-2Hoxacyclotetradecino(4,3-d]oxazol-11-yl (2Z)-2-fluoro-3-[4-(1-methyl-1Hindol-2-yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.



RN 545416-90-8 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxylloxyl-2Roxacyclotetradecino(4,3-d)oxacol-11-yl (2Z)-2-fluoro-3-[4-(5-fluoro-2pyrimidinyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

RN 545416-91-9 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxylloxyl-2Hoxacyclotetradecino[4,3-d-0xazol-11-yl (22)-2-fluoro-3-[4-(4-methyl-2pyrimidinyl)phenyl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 545416-92-0 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15RR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxacol-11-yl (22)-2-fluoro-3-[4-(4-methoxy-2pyrimidinyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 545416-95-3 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15RR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl]oxyl-2Hoxacyclotetradecino[4,3-d]oxacol-11-yl (22)-2-fluoro-3-[5-(3-pyridinyl)-2thienyl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 545416-96-4 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[{3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyanosyl]oxy]-ZHoxacyclotetradecino[4,3-d)oxacol-11-yl (22)-2-fluoro-3-[5-(4-pyridinyl)-2thienyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 545416-93-1 CAPLUS
CN Carbonic acid, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2.6,8,14-tetraoxo-10[[3.4,6-trideoxy-1-d[dimethylamino)-Po-xylo-hexopyranoxyl]oxyl-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl /(22)-2-fluoro-3-[4-(6-methoxy-3pyridazinyl)phenyl]-2-propenyl ester (SCI) (CA INDEX NAME)

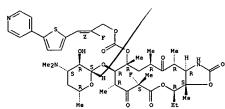
Absolute stereochemistry.
Double bond geometry as shown.

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RN 545416-94-2 CAPLUS
CN Carbonic acid, (3aS, 4R, 7S, 9R, 10R, 11R, 13R, 15R, 15aR) -4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3, 4, 6-trideoxy-3-(dimethylamino) -β-D-xylo-hexopyranosyl)oxy]-2Hoxacyclotetradecino(4, 3-d)oxazol-11-yl, (2Z)-2-fluoro-3-[5-(2-pyridinyl)-2thienyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 545416-98-6 CAPLUS
CN Carbonic acid, (3aS, 4R, 7S, 9R, 10R, 11R, 13R, 15R, 15aR) -4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[(3, 4, 6-trideoxy-3-(dimethylamino) -B-D-xylo-hexopyranosyl)axy] -2Hoxacyclotetradecino(4, 3-d)oxacol-11-y1 (22) -2-fluoro-3-[5-(2-pyrimidinyl) 2-thienyl]-2-propenyl ester (9CI) (CA INDEX NAME)

RN 545416-99-7 CAPLUS
CN Carbonic acid, (3a5, 4R, 75, 9R, 10R, 11R, 13R, 15R, 15aR) -4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3, 4, 6-trideoxy-3- (dimethylamino) -β-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4, 3-d]oxacol-11-yl (22)-2-fluoro-3-(5-pyrazinyl-2thionyl)-2-propenyl ester (9CI) (CA INDEX NAME)

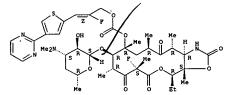
545417-00-3 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7.9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranosyl]oxy]-ZHoxacyclotetradecino[4,3-d]oxacyl-11-yl (22)-2-fluoro-3-[4-(2-pyridinyl)-2thienyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

545417-01-4 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7.9,11,13,15-hexamethyl-2,6.8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxyl-ZHoxacyclotetradecino[4,3-d]oxacy-11-yl (22)-2-fluoro-3-[4-(3-pyridinyl)-2thienyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



545417-04-7 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorottertadecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopycanosylloxyl-2Hoxacyclottradecino[4,3-d]oxaco-11-1y, (22)-2-fluoro-3-(4-pyrazinyl-2thienyl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

545417-05-8 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B--xylo-hexopyranosyl]oxyl-ZHoxacyclotetradecino[4,3-d]oxacol=11-yl (22)-2-fluoro-3-[5-(2-pyridinyl)-3thienyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545417-02-5 CAPLUS Carbonic acid, (3a5,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorobetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranoxyl]oxyl-2H-oxacyclotetradecino(4,3-d)oxacy-1-11-yl (22)-2-fluoro-3-[4-(4-pyridinyl)-2-thienyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

545417-03-6 CAPLUS
Carbonic actd, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorottardecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxacycl-11-yl (22)-2-fluoro-3-[4-(2-pyrimidinyl)-2-thienyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545417-07-0 CAPLUS
Carbonic acid, (3a5,48,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl]oxyl-2Hoxacyclotetradecino(4,3-d)oxacol-11-yl (2Z)-2-fluoro-3-[5-(2-pyrimdinyl)-3thienyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

545417-08-1 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-9-0-xylo-hexopyranosyl]oxyl-2Hoxacyclotetradecino[4,3-d]oxacol-11-yl (22)-2-fluoro-3-(5-pyrazinyl-3thienyl)-2-propenyl ester (9CI) (CA INDEX NAME)

545417-09-2 CAPLUS
Carbonic acid, (3a5, 4R, 75, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotteradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3, 4, 6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl)oxyl-2Hoxacyclotteradecino[4, 3-d]oxaco-11-1y, [22]-2-fluoro-3-[4-(2-phenyl-5pyrimidinyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

545417-10-5 CAPLUS
Carbonic acid, (22]-3-[2,2'-bithiophen]-5-yl-2-fluoro-2-propenyl
(38, 48, 75, 98, 108, 118, 138, 158, 15aR)-4-ethyl-7-fluorotetradecahydro3a, 7, 9, 11, 13, 15-hexamethyl-2,6,8,14-tetraoxo-10-[3,4,6-trideoxy-3(dimethylamino)-8-0-xylo-hexopycanoxyl)oxyl-2EToxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545417-13-8 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-9-D-sylo-hexopyranosyl)oxy]-2Hoxacyclotetradecin(4,3-d)oxacol-11-yl (22)-3-fluoro-3-[4-(2pyrimidinyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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545417-11-6 CAPLUS
Carbonic acid, (3as, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR) -4-ethyl-7fluorottcradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 9, 14-tetraoxo-10[[3, 4, 6-trideoxy-3-(dimethylamino) -β-D-xylo-hexopyranoxyl) oxy]-2Hoxacyclottcradecino[4, 3-d) oxaco-11-yl (22)-2-fluoro-3-[4-(2pyrimidinyloxy)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

545417-12-7 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorottetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl)oxyl-2Hoxacyclotetradecino[4,3-d]oxaco-11-1yl (22)-2-fluoro-3-[2-fluoro-4-(2pyrimidinyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545417-14-9 CAPLUS
Carbonic actd, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorottertadecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl)oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl (22)-3-fluoro-3-(4-(4pyrimidinyl)phenyl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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545417-15-0 CAPLUS
Carbonic acid, (3a5,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl)oxy]-2Hoxacyclottradecino(4,3-d]oxaco-11-1-yl (22)-3-fluoro-3-[4-(5pyrimidinyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545417-18-3 CAPLUS
Carbonic acid, (3a5,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl)oxy]-2Hoxacyclotetradecino[4,3-d]oxaco-1-11-yl (2Z)-3-fluoro-3-[4-(3-pyridinyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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545417-16-1 CAPLUS
Carbonic acid, (3a5,48,7s,98,108,118,138,158,15a8)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl)oxy]-2Hoxacyclotetradecino[4,3-d]oxaco-11-yl (22)-3-fluoro-3-[4-(2pyridinyl)phenyl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

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L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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545417-19-4 CAPLUS
Carbonic acid, (3a5,48,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-sylo-hexopyranosyl)oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl (22)-3-fluoro-3-[4-(4pyridinyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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545417-20-7 CAPLUS
Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-9-D-xylo-hexopyranosyl)oxyl-2Hoxacyclotetradecin(4,3-d)oxacol-11-yl (22)-3-fluoro-3-(4-pyrazinylphenyl)2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545417-22-9 CAPLUS
Carbonic acid, (3a5,48,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-syllo-hexopyranosyl]oxy]-ZHoxacyclotetradecino[4,3-d]oxacol-11-yl [22]-3-fluoro-3-[4-(1H-pyrazol-1yl)phenyl]-2-propenyl ester (9Cl) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

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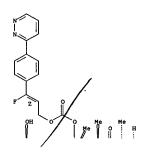
RN 545417-23-0 CAPLUS

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545417-21-8 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorottertadecahydro-3a,7,9,11,13,15-hexamethyl-2,6,9,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl)axy]-2Hoxacyclotteradecinc[4,3-d]oxaco-11-yl (22)-3-fluoro-3-[4-(3-pyridazinyl)phenyl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

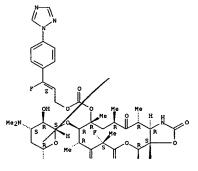
PAGE 1-A



L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[(3,4,6-trideoxy-3-(dimethylamino)-β-D-xyla-hexopyranoxyl]oxyl-2Hoxacyclotetradecino(4,3-d)oxacol-11-yl (221-3-fluoro-3-[4-(1H-1,2,4triazol-1-yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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545417-24-1 CAPLUS
Carbonic acid, (3a5, 4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotteradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxaco-11-yl (22)-3-fluoro-3-(4-(4R-1,2,4triazol-4-yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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545417-25-2 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxaco-1-11-yl (22)-3-fluoro-3-[4-(Hh-1,2,3triazol-1-yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545417-28-5 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15R,15aB)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxacyl-11-yl (22)-3-fluoro-3-[4-[1-methyl-1Hpyrazol-3-yl)phanyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

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545417-27-4 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxyl-2Hoxacyclotetradecino[4,3-d]oxaco-11-1yl (22)-3-fluoro-3-[4-(1H-imidazol-1yl)phenyl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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545417-29-6 CAPLUS
Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-3d]oxacyl-11-yl (22)-3-fluoro-3-(4-(1-methyl-1Hpyrazol-5-yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

(Continued)

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545417-30-9 CAPLUS
Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazo-11-yl (22)-3-fluoro-3-[4-(1-phenyl-lHpyrazol-4-yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545417-32-1 CAPLUS
Carbonic acid, (3a5,48,75,98,108,118,138,158,15a8)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-sylo-hexopyranosyl]oxyl-ZHoxacyclotetradecino[4,3-d]oxacol-11-yl (2Z)-3-fluoro-3-(3-quinolinyl)-2propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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545417-31-0 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-ZHoxacyclotetradecino(4,3-d)oxacol-11-yl (2Z)-3-fluoro-3-(2-quinolinyl)-2propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

15 545417-33-29 545417-34-39 545417-35-49

545417-36-59 545417-43-7-69 545417-38-79

545417-38-89 545417-46-79 545417-42-39

545417-50-39 545417-50-79 545417-51-78

545417-50-39 545417-50-79 545417-51-61-69

545417-50-39 545417-50-79 545417-51-61-69

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545417-63-89 545417-61-79 545417-71-89

545417-72-99 545417-73-79 545417-71-89

545417-72-99 545417-73-79 545417-71-89

545417-78-67 545417-80-79 545417-81-79

545417-86-79 545417-80-79 545417-81-79

545417-88-79 545417-80-79 545417-81-79

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545417-80-79 54618-52-89 545418-50-79

545417-80-79 54618-52-89 54618-79-79

546127-79-0-49 546127-79-59 546131-20-79

RUP (Therapeutic use), BIOL (Biological study), PREP (Preparation), THU (Therapeutic use), BIOL (Biological study), of erythromycin useful as (Uses)

(Uses) (preparation of acyl ketolide derivs. of erythromycin useful as antibacterials) (preparation of acyl ketolide derivs. of erythromycin useful as antibacterials) 545417-33-2 CAPLUS (arbonic acid. (3as, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7-fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10-[[3, 4, 6-trideoxy-3-(dimethylamino)-6-D-xylo-hexopyranosyl]oxyl-ZH-oxacyclotetradecino(4, 3-d)oxacyclotetradecino(4, 3-d)oxacyclotetradecino(4, 3-d)oxacyclotetradecino(4, 3-d)oxacyclotetradecino(4) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

545417-34-3 CAPLUS
Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl)oxyl-ZHoxacyclotetradecino(4,3-d)oxacol-11-yl (2Z)-3-fluoro-3-(5-quinolinyl)-2propenyl ester (9CI) (CA INDEX NAME)

545417-35-4 CAPLUS
Carbonic actd, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorottertadecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxacol-11-yl (2Z)-3-fluoro-3-(6-quinolinyl)-2propenyl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

\$45417-38-7 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3.4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl)oxy]-ZHoxacyclotetradecino[4,3-d]oxazol-11-yl (22)-3-fluoro-3-(2-quinoxalinyl)-2propenyl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

545417-39-8 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-ZHoxacyclotetradecino[4,3-d]oxacyl-11-yl (2Z)-3-fluoro-3-(6-quinoxalinyl)-2propenyl ester (9CI) (CA INDEX NAME)

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L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

S45417-36-5 CAPLUS
CN Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranosyl]oxy]-ZHoxacyclotetradecino(4,3-d)oxaco-11-y-1 (22)-3-fluoro-3-(7-quinolinyl)-2propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

545417-37-6 CAPLUS
Carbonic acid, (3a5, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR) -4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3, 4, 6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4, 3-d]oxacol-11-yl (2Z)-3-fluoro-3-(8-quinolinyl)-2propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.
Double bond geometry as shown.

545417-40-1 CAPLUS
Carbonic acid, (3a5,48,75,98,108,118,138,158,15a8)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideowy-3-(dimethylamino)-8-D-xylo-hexopyranosyl)oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl (2Z)-3-fluoro-3-(4-isoquinolinyl)-2propenyl ester (9CI) (CA INDEX NAME)

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

\$45417-42-3 CAPLUS
CN Carbonic acid, (385,48,75,98,108,118,138,158,15a8)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranoxyl]oxy]-2Hoxacyclotetradecino(4,3-d)oxaco-[11-y] (22)-3-fluoro-3-[4-(2oxazolyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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545417-44-5 CAPLUS
Carbonic acid, (3a5,48,75,98,108,118,138,158,15a8)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl)oxy]-2Hoxacyclotetradecino[4,3-d]oxacol-11-yl (22)-3-fluoro-3-[4-(5oxacyclotetradecino[4,3-d]oxacol-11-yl (22)-3-fluoro-3-[4-(5oxazolyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

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Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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545417-48-9 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-8-D-wylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl (22)-3-fluoro-3-{4-(2-thianyl)phenyl}-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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\$45417-46-7 CAPLUS
Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxaco-11-yl (22]-3-fluoro-3-(4-(2thiazolyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545417-50-3 CAPLUS
Carbonic acid, (3a5.48,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorototradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl)oxy]-2Hoxacyclotetradecinc(4,3-d)oxazol-11-yl (22)-3-fluoro-3-[4-(3isoxazolyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

(Continued)
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RN 545417-52-5 CAPLUS
CN Carbonic acid, (3a5, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl (22)-3-fluoro-3-[4-(1,3,4-oxadiazol2-yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 545417-57-0 CAPLUS
CN Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[(3.4,6-trideoxy-3-d(dimethylamino)-9-D-xylo-hexpyranoxyl]oxyl-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl (2Z)-3-fluoro-3-[4-(1,2,4-oxadiazol5-yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

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RN 545417-54-7 CAPLUS
CN Carbonic acid, (3a5,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraexo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl (2Z)-3-fluoro-3-[4-(1,2,4-oxadiazol3-yl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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RN 545417-59-2 CAPLUS
CN Carbonic acid, (3aS, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[3, 4, 6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4, 3-4] Oxazol-11-y| (22)-3-fluoro-3-(1-methyl-1Hbenzimidazol-2-yl)-2-propenyl ester (9CI) (CA INDEX NAME)

545417-61-6 CAPLUS
Carbonic acid, (22)-3-[4-(5-bromo-2-pyrimidinyl)phenyl]-3-fluoro-2propenyl (3a5, 48, 75, 98, 108, 118, 138, 15a8)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[(3, 4, 6-trideoxy-3- (dimethylamino)-β-D-xylo-hexopyranoxyl)oxy]-2Hoxacyclotetradecino[4, 3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545417-64-9 CAPLUS
Carbonic acid, (3a5,48,78,98,108,118,138,158,15a8)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-mylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4,3-d)oxaco-11-yl (22)-3-[4-(5-ethyl-2pyrimidinyl)phenyl)-3-fluoro-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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545417-63-8 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorottertadecahydro-3a,7,9,11,13,15-hexamethyl-2,6,9,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl)oxyl-ZHoxacyclotteradecino[4,3-d]oxacyl-11-lyl (22)-3-fluoro-3-{4-(5-fluoro-2pyrimidinyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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545417-66-1 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotatradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl)oxyl-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl (2Z)-3-fluoro-3-[4-(4-methyl-2pyrimidinyl)phenyl]-2-propenyl ester (3CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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545417-68-3 CAPLUS
Cacbonic acid, (3s5,4R,75,9R,10R,11R,13R,15R,15R)-4-ethyl-7fluorotetradecahydro-3a,7.9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxyl]oxyl-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl (22)-3-fluoro-3-[4-(4-methoxy-2pyrimidinyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545417-72-9 CAPLUS
Carbonic acid, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxyl)oxy]-2Hoxacyclotetradecino(4,3-d)oxacyl-11-yl (22)-3-fluoro-3-[5-(4-pyridinyl)-2thienyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

545417-73-0 CAPLUS
Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-9-0-xylo-hexopyranosyl]oxy]-ZHoxacyclotetradecino[4,3-d]oxacyl-11-yl (22)-3-fluoro-3-[5-(2-pyrimidinyl)-2-thienyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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\$45417-70-7 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazo-11-yl, (22)-3-fluoro-3-[5-(2-pyridinyl)-2thienyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

545417-71-8 CAPLUS
Carbonic actd, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorottatradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-ZHoxacyclotetradecino[4,3-d]oxaco-11-1yl (22)-3-fluoro-3-[5-(3-pyridinyl)-2thienyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545417-74-1 CAPLUS
Catbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxyl-2Hoxacyclotetradecino(4,3-d) oxaco-11-1yl, (22)-3-fluoro-3-[5-(4-pyrimidinyl)2-thienyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

545417-75-2 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-ZHoxacyclotetradecino[4,3-d]oxacycl-11-yl (22)-3-fluoro-3-[5-(5-pyrimidinyl)2-thiaenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

545417-77-4 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopycanosylloxyl-2Hoxacyclotetradecino[4,3-d]oxaco-11-1yl (22)-3-fluoro-3-(5-pyrazinyl-2thienyl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

545417-78-5 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranoxyl]oxyl-ZHoxacyclotetradecin(el,3-3-d)oxacyl-11-yl (22)-3-fluoro-3-[4-(2-pyridinyl)-2thienyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545417-81-0 CAPLUS
Carbonic acid, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15h.examethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino]-β-D-wylo-hexopyranoxyl]oxyl-ZHoxacyclotetradecino[4,3-d]oxazol-11-yl (22)-3-fluoro-3-[4-(2-pyrimidinyl)-2-thienyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

545417-82-1 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl]oxyl-2Hoxacyclotetradecino[4,3-d]oxaco-11-1yl (22)-3-fluoro-3-[4-(4-pyrimidinyl)-2-thienyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

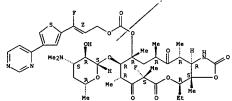
545417-79-6 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxacy-11-yl (22)-3-fluoro-3-[4-(3-pyridinyl)-2thienyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

545417-80-9 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-0-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxacol-11-yl (22)-3-fluoro-3-[4-(4-pyridinyl)-2thienyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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545417-83-2 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(disethylamino)-P-0-xylo-hexopyranosyl]oxy]-ZHoxacyclotetradecino[4,3-d]oxaco-11-yl (22)-3-fluoro-3-[4-(5-pyrimidinyl)-2-thienyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

545417-84-3 CAPLUS
Carbonic acid, [3a5,4R,75,9R,10R,11R,13R,15R,15R]-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-P-0-xylo-hexopyranoxyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl (22,3-fluoro-3-[5-(2-pyridinyl)-3thienyl]-2-propenyl ester (9CI) (CA INDEX NAME)

545417-85-4 CAPLUS
Carbonic acid, (3as,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotatradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl)oxyl-ZHoxacyclotetradecino[4,3-d]oxaco-11-yl (22)-3-fluoro-3-[5-(3-pyridinyl)-3thienyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

545417-86-5 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotatradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexpyranosyl)oxy]-2Hoxacyclotetradecino[4,3-d]oxacycl-11-yl (22)-3-fluoro-3-(2-phenyl-5pyrimidinyl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN Double bond geometry as shown. (Continued)

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545417-89-8 CAPLUS
Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorottardecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopycanosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl 4-[4-(2-pyrimidinyl)phenyl]butyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545417-87-6 CAPLUS
Carbonic acid, (22)-3-[2,2'-bithiophen]-5-yl-3-fluoro-2-propenyl
(3a5,48,75,98,108,118,138,158,15aR)-4-ethyl-7-fluorotetradecahydro3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[(3,4,6-trideoxy-3(dimethylamino)-8-0-xylo-hexopyraonoyl)oyl-2Eloxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

545417-88-7 CAPLUS
Carbonic actd, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorottardecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl)oxy]-2Hoxacyclotetradecino[4,3-d]oxaco-1-11-yl (22)-3-fluoro-3-[4-(2pyrimidinyloxy)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545417-90-1 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxyl-2Hoxacyclotetradecino[4,3-d]oxaco-11-1yl (22)-2-fluoro-3-[3-(2-pyridinyl)-5isoxazolyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

545417-91-2 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2.6,8,14-tetraoxo-10[[3.4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxyl-ZHoxacyclotetradecino[4,3-d]oxazol-11-yl (22)-3-fluoro-3-[3-(2-pyridinyl)-5isoxazolyl]-2-propenyl ester (9CI) (CA INDEX NAME)

RN 545418-52-8 CAPLUS
CN Carbamic acid, [[(2E)-3-[4-(2-pyrimidinyl)phenyl]-2-propenyl]oxy]-,
(3as, 4A, 7s, 9R, 10R, 13R, 15R, 15aR)-4-ethyl-7-fluorotetradechydro3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10-[{3,4,6-trideoxy-3(dimethylamino)-B-D-sylo-hexpyranosyl]oxy)-2H,
oxacyplotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 545418-53-9 CAPLUS
CN Carbamic acid, [[3-(3-quinoliny1)-2-propyny1]oxy]-,
[3a5,48,75,98,108,118,138,158,1548]-4-ethyl-7-fluorotetradecahydro3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3(dimethylamino)-#0-b-xylo-hexopyranoy1]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 546127-97-3 CAPLUS
CN Carbonic acid, (3a5, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[(3, 4, 6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino(4, 3-d]oxazol-11-yl 5-[4-(2-pyrimidinyl)phenyl]pentyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 546127-98-4 CAPLUS
CN Carbonic acid, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7.9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl)oxyl-2Hoxacyclotetradecino(4,3-d)oxazol-11-yl (2Z)-3-fluoro-3-[4-(6-methyl-3pyridazinyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown. L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 545420-57-3 CAPLUS
CN Hydrazinecarboxylic acid, 2-methyl-2-[2-(4-pyrazinylphenyl)ethyl](335,48,98,108,118,138,158,15a8)-4-ethyl-7-fluorotetradecahydro3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[(3,4,6-trideoxy-3(dimethylamino)-Po-sylo-hexopyranoxylloxyl-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 545420-58-4 CAPLUS
CN Hydrazinecarboxylic acid, 2-methyl-2-[2-[4-(3-pyridazinyl)phenyl]ethyl]-,
(3a5, 4R, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7-fluorotetradecahydro3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10-[[3,4,6-trideoxy-3(dimethylamino)-9-D-xylo-hexpyranoxyl)oxyl-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-A

RN 546127-99-5 CAPLUS
CN Carbonic acid, (3a5, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR) -4-ethyl-7fluorotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10[[3, 4, 6-trideoxy-3-(dimethylamino) -B-D-xylo-hexopycanosyl]oxy]-2Hoxacyclotetradecino[4, 3-d] oxazol-11-yl, (22) -3-fluoro-3-[4 (-6-methoxy-3pyridazinyl)phenyl]-2-propenyl ester (9CI) (CA INDEX NAME)

(Continued)

PAGE 1-A

PAGE 2-A

546131-22-0 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-ZHoxacyclotetradecino(4,3-d)oxaco-11-yl (2Z)-2-fluoro-3-(4-pyrazinylphenyl)2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

PAGE 1-A

3

PAGE 2-A

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

545420-80-2P 545423-23-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of acyl ketolide derivs. of erythromycin useful as antibacterials)
545420-80-2 CAFLUS
Carbonic acid, (JaS, 4R, 7S, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7-fluorotetradecahydro-3a, 7.9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10-[[3, 4, 6-trideoxy-3-(dimethylamino)-B-cxylo-hexopyranozyl)oxyl-2H-oxacyclotetradecino(4, 3-d)oxacyclotetradecino(4, 3-d)oxacyclotetradecino(

Absolute stereochemistry.
Double bond geometry as shown.

545423-33-4 CAPLUS
Carbonic acid, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-10-[[2-0-acetyl-3,4,6-trideoxy-3-(dimethylamino)-B-0-xylo-hexopyranosyl)oxy]-4-ethyl-7fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-2Hoxacyclotetradecino[4,3-d]oxaco-1-1-yl (2E)-3-[4-(2-pyrimidinyl)phenyl]-2propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

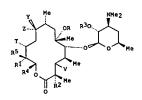
ANSWER 9 OF 27 CAPLUS COPYRIGHT 2004 ACS ON STN SSION NUMBER: 2003:42284 CAPLUS LENT NUMBER: 138:90019

138:90019
Preparation of C12 modified erythromycin macrolides and ketolides having antibacterial activity
Chu, Daniel; Burger, Matthew Lin, Xiaodong; Carroll, Georgia Law: Plattner, Jacobs Rico, Alice
Chiron Corporation, USA
PCT Int. Appl., 252 pp.
CODEN: PIXX02
Patent
1 INVENTOR (S):

PATENT ASSIGNEE(S):

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| • | PATENT NO. | | | | | | DATE | | | APPLICATION NO. | | | | | DATE | | | | |
|------|------------------------|---------------|------|-----|-----|-----|----------|------|-------------------------|--------------------------|------|------|-----|-----|------|------|-----|-----|--|
| | | | | | | | 20030116 | | | WO 2002-US21209 20020703 | | | | | | | | | |
| | WO | WO 2003004509 | | | A3 | | 20030515 | | | | | | | | | | | | |
| | | W: | AE. | AG. | AL, | AM, | AT. | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, | |
| | | | co. | CR. | CU, | CZ. | DE. | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | |
| | | | GM. | HR. | HU, | ID. | IL. | IN, | IS, | JP, | KE, | KG, | KΡ, | KR, | KZ, | LC, | LK, | LR, | |
| | | | LS. | LT. | LU, | LV. | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | OM, | PH, | |
| | | | PL. | PT. | RO. | RU. | SD, | SE. | SG. | SI. | SK. | SL. | TJ. | TM. | TN. | TR, | TT, | TZ, | |
| | | | UA. | UG. | US. | UZ. | VN, | YU. | ZA. | ZM. | ZW. | AM. | AZ. | BY. | KG. | KZ. | MD. | RU, | |
| | | | | TM | , | | | | | | | | | | | | | | |
| | | RW: | | | KE. | LS. | MW, | HZ. | SD. | SL. | SZ. | TZ. | UG. | ZM. | ZW. | AT. | BE. | BG. | |
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| | EP 1404693 | | | | | | | | EP 2002-746862 20020703 | | | | | | | | | | |
| | | | | | | | DK, | | | | | | | | | | | PT. | |
| | | | | | | | FI, | | | | | | | | | | | | |
| PRIO | PRIORITY APPLN. INFO.: | | | | | | | | | | | | | | 2001 | | | | |
| | | | | | • • | | | | | 10 2 | 002- | US21 | 209 | w | 2002 | 0703 | | | |
| OTHE | R S | OURCE | (S): | | | MAF | PAT | 138: | | | - | | | | | | | | |



Antimicrobial macrolide I wherein: V is OCORM, carbonyl, caldinose moiety, Rx is H, alkyl, 10-alkyl, NH-alkyl, N-(alkyl)2, Y and Z taken together define a group X, wherein X is O, N-OH, substituted oxime; Y and Z are

Lil Answer 9 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) independently H, OH, protected hydroxy, amine: T is ether, amine, alky,: R is H, alkyl, alkenyl, alkenyl, alkenyl, alkenyl, alkynyl, R is H, alkyl, alkenyl, alkynyl, R is H, alkyl, alkenyl, alkynyl, R is H, alkyl, alkenyl, alkenyl, alkynyl, R is H, hydroxy protecting group; R 4 is alkyl, halogen, OH, alkoxy, alkenyl, alkynyl: R 5 is OH, amino, alkylamino: RRS are together epoxide, carbonyl, olefin; as well as pharmaceutically acceptable salts, esters or prodrugs thereof; pharmaceutical compns. comprising such compds.; methods of treating bacterial infections by the administration of such compds.; and processes for the prepn. of the compds. Thus, (3as,4R, TR, SH, 118,13R, 158,158H, -3a,4-diethyl-11-methoxy-7,9,11,3,15-pentamethyl-2,6,8,14-tetraoxo-1-(4-quinolin-4-yl-butyl) tetradecahydro-2H-oxacyclotetradecino[4,3-d][1,3]oxazol-10-yl-3,4,6-tridoxy-3-(dimethylamino)-05-Hylo-hexopyranoside was prepd, and tested in vitro as antibacterial agent. The pharmaceutical compns. of this invention can be administered to humans and other animals orally. rectally, parenterally, topically (as by powders, ointments, or drops), or as an oral or nasal spray, or a liq. aerosol or dry powder formulation for inhalation.

11 404670-96-49 484671-20-79 484671-24-1P
484671-38-79 484671-40-1P 484671-33-8P
484671-38-79 484671-40-1P 484671-53-8P
RL: IMF (Industrial manufacture): PAC (Pharmacological activity): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (Uses)
(preparation of c modified erythromycin macrolides and ketolides having antibacterial activity)

RN 484670-96-4 CAPLUS

CN 2H-Oxacyclotetradecinn(4,3-d)oxazole-2,6,8,14(1H,7H,9H)-tetrone, 3a,4-diethyl-7-fluorooctahydro-11-methoxy-7-9, 11,13,15-pentamethyl-1-[4-[4-(3-pyridinyl)-1H-imidazol-1-y])butyl]-10-((3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl)oxy)-, (2as,4R,75,9R,10R,11R,13R,15R,15AR)-9CI)

(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3as,4R,7s,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

484670-98-6 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxacole-2,6,8,14(1H,7H,9H)-tetrone,
3a,4-diethyl-7-fluorooctahydro-1l-methoxy-7,9,11,13,15-pentamethyl-1-[4-(4-quinolinyl)butyl]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7S,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

L11 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

484671-20-7 CAPLUS
2H-Owacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
3a,4-diethyl-7-f[uorooctahydro-11-methoxy-7,9,11,13,15-pentamethyl-1-[4-[4(6-methyl-3-pyridinyl)-1H-imidazol-1-yl]butyl]-10-[[3,4,6-trideoxy-3(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-,
(3a5,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

- Me

484671-24-1 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14 (1H,7H,9H)-tetrone,
3a,4-diethyl-7-fluorooctahydro-11-eethoxy-7,9,11,13,15-pentamethyl-1-[4methyl-4-[4-(3-pyridinyl)-1H-imidazol-1-yl]pentyl]-10-[[3,4,6-trideoxy-3(dimethylamino)-9-D-xylo-hexopyranosyl]oxy]-,
(3a5,4R,75,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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Lil ANSWER 9 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN Absolute stereochemistry. (Continued)

484671-02-5 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(IH,7H,9H)-tetrone,
3a,4-diethyl-7-fluorooctahydro-11-methoxy-7,9,11,13,15-pentamethyl-1-[4-{2-quinolinyl}butyl]-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranozyl]oxy]-, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

484671-14-9 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 3a,4-diethyl-7-fluorooctahydro-1-(4-(1H-imidazo[4,5-b]pyridin-1-yl)butyl}-11-methoxy-7,9,11,13,15-pentamethyl-10-[(3,4,6-trideoxy-3-(dimethylamino)-B--xylo-hexopyranoyl]oxy]-, (3a5,4R,7S,9R,10R,11R,13R,15R,15R,9-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

484671-38-7 CAPLUS 48461-38-7 CAPUS
2H-OxacyClotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
3a,4-diethyl-7-fluorooctahydro-1-[4-(1H-imidazo[4,5-b]pyridin-1-y])-4methylpenty]-11-methowy-7,9,11,3],15-pentamethyl-10-[[3,4,6-trideoxy-3(dimethylamino)-R-D-xylo-hexopyranosyl)oxy]-,
(3a5,4R,75,8R,10R,1H,13R,1SR,15K,15R)-(9CI) (CA INDEX NAME)

484671-40-1 CAPLUS 484671-40-1 CAPBUS Al-Okacyolotetrades(no[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 3a,4-diethyl-7-fluorooctahydro-1-[4-(3H-imidazo[4,5-b]pyridin-3-yl)-4-methylanino)-p-0-xylo-nexopyranosyloxyl-(dimethylanino)-p-0-xylo-nexopyranosyloxyl-, (3as,4R,7S,9R,1DR,1HR,13R,15R,15aR)- (9GI) (CA INDEX NAME)

L11 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 484671-63-8 CAPLUS
CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
3a-ethenyl-4-ethyl-7-fluorooctahydro-11-methoxy-7,9,11,13,15-pentamethyl-1{4-(4-(3-pyridinyl)-1H-imidazol-1-yl]butyl]-10-[[3,4,6-trideoxy-3(dinethylamino)-#D-b-Nylo-hexopyranoxyl]oxy]-,
(3a5,4R,7S,9R,10R,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

484671-83-2 CAPLUS
2H-Oxacyclotetradecino(4,3-d)oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-11-methoxy-7,9,11,13,15-pentamethyl-3a-[(12)-1-propenyl]-1-{4-[4-(3-pyridinyl)-1H-imidazol-1-yl]butyl]-10-[{3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-,
(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

484673-79-2 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-11-methoxy-7,9,11,13,15-pentamethyl-1-[3-(4-phenyl-1H-inidazol-1-y1)propyl]-10-[[3,4,6-trideoxy-3-(dimethylamino)6-D-xylo-hexopyranoxyl)oxy]-, (3as,4R,7s,9R,10R,11R,13R,15R,15R,15aR)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

484671-51-4 CAPLUS 2H-Oxacyclotetradecho(4,3-d)oxazole-2,6,8,14(1H,7H,9H)-tetrone, 3a,4-diethyl-7-fluorooctahydro-11-methoxy-7,9,11,13,15-pentamethyl-1-{4-{3-(4-methylphenyl)-5-isoxazolyl]butyl]-10-[{3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopytanosyl]oxyl-, (3a5,4R,7S,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

∼_{Me}

484673-17-8 CAPLUS

49407-3-17-8 CARZUS 2H-OX2010-2, 6, 8, 14 (1H, 7H, 9H) -tetrone, 4-ethyl-7-fluorooctahydro-11-methoxy-7, 9, 11, 13, 15-pentamethyl-1-[4-(4-quinolinyl) buryl]-10-[[3, 4, 6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl]oxy]-, (3a5, 4R, 75, 9R, 10R, 11R, 13R, 15R, 15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L11 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

484671-08-1P 484671-49-0P 484671-51-4P
484673-17-8P 484673-18-9P 484673-19-0P
484673-20-3P 484673-25-6P 484673-25-9P
484673-27-0P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
(Preparation)
{preparation of c modified erythromycin macrolides and ketolides having antibacterial activity)
484671-08-1 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
3a,4-diethyl-7-fluorooctahydro-1-[4-(3H-imidazo[4,5-b]pyridin-3-yl)butyl]11-methoxy-7,9,11,13,15-pentamethyl-10-[(3,4,6-trideoxy-3-(dimethylamino)P-b-xylo-hexopyranosyl-pentamethyl-10-[(3,4,6-trideoxy-3-(dimethylamino)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

484671-49-0 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 3a,4-ditethyl-7-f[uorooctahydro-11-methoxy-7,9,11,13,15-pentamethyl-1-[4-(3-phenyl-5-isoxazolyl)butyl]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxyl-, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

484673-18-9 CAPLUS 2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-11-methoxy-7,9,11,13,15-pentamethyl-1-[4-(4-phenyl-1H-inidazol-1-yl)butyl]-10-[(3,4,6-trideoxy-3-(dimethylanino)-B-D-xylo-hexopyranoxyl]oxy]-, (3as,4R,7S,9R,10R,11R,13R,15R,15R)-(9CI) (CA INDEX NAME)

484673-19-0 CAPLUS
2H-Owacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorootahydro-11-methoxy-7,9,11,13,15-pentamethyl-1-[4-{2-quinolinyl}butyl]-10-[(3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxyl-, (3as,4R,7s,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

484673-20-3 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-11-methoxy-7,9,11,13,15-pentamethyl-1-[4-[4-(3-pytidinyl)-1H-imidazol-1-yl]butyl-10-[4],4,6-trideoxy-3-[dimethylamino]B-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

484673-25-8 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-11-methoxy-7,9,11,13,15-pentamethyl-1-[[3-(4-quinolinyl)propyl]amino]-10-[(3,4,6-trideoxy-3-(dimethylamino)-β-D-wylo-hexopyranosyl]oxy]-, (3a5,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

484673-13-4P 484673-14-5P 484673-15-6P 484673-16-7P 484673-22-5P 484673-23-6P 484673-24-7P

484673-24-79
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of c modified erythromycin macrolides and ketolides having antibacterial activity)
484673-13-4 CAPLUS
2H-Owacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4-ethyl-7-fluorooctahydro-11-methoxy-7,9,11,13,15-pentamethyl-1-[4-(4-quinolinyl)butyl]-, (JaS,4R,7S,9R,1OR,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

484673-14-5 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 10-[{2-0-bexopy-1},4,6-trideoxy-3-(dimethylamino)-β-D-xylo-bexopyranosyl]oxy]-4-ethyl-7-fluorooctahydro-11-methoxy-7,9,11,13,15-pentamethyl-1-[4-(4-phenyl-1H-imidazol-1-yl)butyl]-(3a5,4R,75,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L11 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

484673-26-9 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 10-[{2-0-bexopyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-bexopyranosyl]oxy]-4-ethyl-7-fluorooctahydro-11-methoxy-7,9,11,13,15-pentamethyl-1-[{3-(4-(3-pyridinyl)-1H-imidazol-1-yl]butyl]amino]-, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

484673-27-0 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-11-methoxy-7,9,11,13,15-pentamethyl-1-[[3-[4-(3-pyridinyl)-1H-imidazol-1-yl]butyl]mino]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,75,9R,10R,11R,33R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

484673-15-6 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-B-D-xylohexopyranosylloxy]-4-ethyl-7-fluorooctahydro-11-methoxy-7,9,11,13,15pentamethyl-1-[4-(2-quinolinyl)butyl]-, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

494673-16-7 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[(2-0-bexopyl-3,4,6-trideoxy-3-(dimethylamino)-B-D-xylohexopyranosyl]oxy]-4-ethyl-7-fluorooctahydro-11-methoxy-7,9,11,13,15pentamethyl-1-[4-[4-(3-pyxidnyl)-1H-imidazol-1-yl]butyl]-(3aS,4R,7S,9R,10R,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)

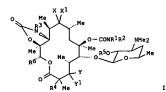
484673-22-5 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[(2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-B-D-xylohexopyranosyl]oxy]-4-ethyl-7-fluorooctahydro-11-methoxy-7,9,11,13,15pentamethyl-1-[3-[4-(3-pyxidinyl)-1H-imidazol-1-yl]propyl]-,
(3as,4R,7s,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

484673-23-6 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-11-methoxy-7,9,11,13,15-pentamethyl-1-{3-[4-(3-pytidinyl)-1H-imidazol-1-yl]propyl]-10-[(3,4,6-trideoxy-3-(dimethylamino)-6-p-xylo-hexopytanoyyl)oxy]-, (3as,4R,7s,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

484673-24-7 CAPLUS
2H-Oxacyclotetradecino(4,3-d)oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexopyranosyl)oxy|-4-ethyl-7-fluorooctahydro-11-methoxy-7,9,11,13,15pentamethyl-1-[(3-(4-quinolinyl)propyl)amino|-,
(3aS,4R,75,9R,10R,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)



6-O-carbamoyl ketolide derivs. of erythromycin antibacterials of the formula I: wherein R1 and R2 are independently H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, provided thar R1 and R2 are not both Hr R1R2 together are N atom to which they are attached, form an optionally substituted N-containing heterocycle: R3 is H, alkylamine, alkyl, alkony, alkenylamine, alkenyl, acyl, alkynylamine R4 is H, halogen, OHr R5 is a H, hydroxy protecting group: R6 is H, alkyl, alkenyl, alkynyl, aryl, heterocycle, arylalkyl, arylalkenyl, arylalkynyl, cycloalkenyl, alkoxyalkyl, alkylthioalkyl; X and X1 are together with the carbon atom to which they are attached, form CO, intene, oxyimine: Y and Y1 are together with the carbon atom to which they are attached, form CO, CHOH, inine, oxyimine; were prepared These compds. are useful as antibacterial agents. Thus, carbamic acid [(2E)-3-(4-(2-yprimidinyl)phenyl]-2-propenyl): (3as.4R.7R.9R.1DR.11R.13R.15R.15R.15aR)-4-athyltetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3-(dimethylamino)beta-D-xylo-hexopyranosyl]oxy]-2H-

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(Continued) L11 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

L11 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) oxacyclotetradecino(4,3-d]oxazol-11-yl ester was prepd. and tested in vitro against E. coll, S. aureus, S. epidernidis, S. pneumoniae, S. pyogenes, Entercoccci, Morakella catarchalis, and H. influenzae MIC values range from 0.03 to > 16 µg/ml. These compds. are particularly useful in the treatment of community-acquired pneumonia, upper and lower respiratory tract infections, skin and soft tissue infections, meningitis, hospital-acquired lung infections, and bone and joint infections.

IT 433919-44-9P 433920-43-3P 433920-43-5P 433920-43-5P 433920-43-78 433920-43-78 433920-51-5P 433920-52-6P 433920-51-5P 433920-51-5P 433920-75-4P 433920-75-4P 433920-75-6P 433920-76-4P 333920-71-1P 433920-75-6P 433920-76-6P 433920-76-4P A33920-71-1P 433920-75-6P BL PAC (Pharmacological activity); SPN (Synthetic preparation); THU

RE: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)
(preparation carbamoyl ketolide derivs. of erythromycin useful as antibacterials)
433919-44-9 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-{[2-O-acetyl-3,4,6-trideoxy-3-(dimethylamino)-6-D-sylo-hexopycanoxylloxy]-11-[(aminocarbonyl)oxy]-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-, (3aS,4R,7S,9R,1OR,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

433920-41-3 CAPLUS 43J92U-41-3 CAPLUS
Carbamic acid, ((2E)-3-[4-(2-pyrimidinyl)phenyl]-2-propenyl]-,
(3aS, 4R, 7R, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7-fluorotetradecahydro3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10-[3, 4, 6-trideoxy-3(dimethylamino)-8-0-xylo-hexopyranoxyl)oyl-2Hoxacyclotetradecino[4, 3-d] oxazol-11-yl ester (9CI) (CA INDEX NAME)

(Continued)

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L11 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

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AN 433920-43-5 CAPLUS
CR Carbanic acid, [(ZE)-3-[4-(2-pyrimidinyl)phenyl]-2-propenyl]-,
(336, R7, 59, R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7-fluorotetradecahydro3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10-[[3, 4, 6-trideoxy-3(dimethylamino)=Po-mylo-hexopyranomylyny]-ZHoxacyclotetradecino[4, 3-d] oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 433920-46-8 CAPLUS
CN Carbamic acid, [(2E)-3-[4-(1H-pyrazol-1-y1)phenyl]-2-propenyl]-,
(3a5,48,75,98,106,118,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[(3,4,6-trideoxy-3(dimethylamino)-p0-pyl)o-hexopyranoxyl)oxyl-2Hoxacyclotetradecino(4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

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RN 433920-45-7 CAPLUS
CN Carbamic acid, ((ZE)-3-(6-quinolinyl)-2-propenyl],
(3a5,48,75,98,108,118,138,158,1548)-4-ethyl-7-fluorotetradecahydro3a,7,9,11,13,15-hexamethyl-2,6,6,14-tetraoxo-10-[[3,4,6-trideoxy-3(dimethylamino)-9-D-xylo-hexopyranoxyl]oxyl-2+
oxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

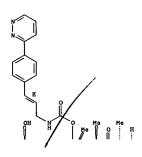


RN 433920-48-0 CAPLUS
Cn Carbamic acid, ([2E)-3-[4-(3-pyridazinyl)phenyl]-2-propenyl]-,
[3as, 4n, 7s, 9n, 10n, 11n, 13n, 15n, 15an]-4-ethyl-7-fluorotetradecahydro3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 9, 14-tetraoxo-10-[[3, 4, 6-trideoxy-3(dimethylamino)-BD-Bylo-hexopyranosyl]oxyl-2Hoxacyclotetradecino[4, 3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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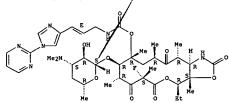
PAGE 2-A

AN 433920-49-1 CAPLUS
Carbamic acid, [(ZE)-3-(4-pyrazinylphenyl)-2-propenyl]-,
(3a5, R, 75, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7-fluorotetradecahydro3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10-[[3, 4, 6-trideoxy-3(dimethylamino)-Po-wylo-hexopyranosyl)ynyl-2Roxacyclotetradecino[4, 3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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L11 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) Double bond geometry as shown.



RN 433920-52-6 CAPLUS
CN Carbamic acid, [[4-(2-pyridinyl)phenyl]methyl]-,
(345,48,75,98,108,118,138,158,1548)-4-ethyl-7-fluorotetradecahydro3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3(dimethylamino)-β-D-mylo-hexopyranoxyl)oxy]-2HοχασγοΙοtetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 433920-57-1 CAPLUS
Carbamic acid, [2-[[4-(2-pyrimidinyl)phenyl]methoxy]ethyl]-,
[335,48,75,98,108,118,138,158,158R)-4-ethyl-7-fluorotetradecahydro3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3(dimethylamino)-BD-mylo-hexopyranosyl]oxy]-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.

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L11 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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RN 433920-50-4 CAPLUS
CN Carbamic acid, [[4-(4-pyrimidinyl)phenyl]methyl]-,
[3a5,48,75,98,108,118,138,158,15aR]-4-ethyl-7-fluorotetradecahydro3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraxoxo-10-[[3,4,6-trideoxy-3(dinethylamino)-B-D-sylo-hexopyranosyl]oxyl-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 433920-51-5 CAPLUS
CN Carbamic acid, [(2E)-3-[1-(2-pyrimidiny1)-1H-imidazol-4-y1]-2-propeny1]-,
(3a5, 4R, 75, 9R, 10R, 11R, 15R, 15R, 15-R)-4-ethyl-7-fluorotetradecahydro3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10-[(3, 4, 6-trideoxy-3(dimethylamino)-#0-oxylo-hexopyranosyl]oxy]-2Hoxacyelotetradecino[4, 3-d]oxazol-11-yl-ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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Me2N R P Ne R R P Ne O R S Ne

RN 433920-72-0 CAPLUS
CN Carbamic acid, [(ZE)-3-[4-(2-pyrimidinyl) phenyl]-2-propenyl]-,
[3as, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7-fluorotetradecahydro-14(hydroxyimino)-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8-trioxo-10-[[3, 4, 6-trideoxy3-(dimethylamino)-8-D-xylo-hexopyranoxyl]oxy]-ZH
oxacyclotetradecino[4, 3-d] oxazol-11-yl ester (9Cl) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as described by E or Z.

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RN 433920-73-1 CAPLUS
CN Carbamic acid, [(ZE)-3-[1-(2-pyrimidinyl)-1H-imidazol-4-yl]-2-propenyl]-,
(3as, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7-fluorotetradecahydro-14(hydroxyimino)-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8-trioxo-10-[(3, 4, 6-trideoxy3-(dimethylamino)-PD-xylo-hexopyranoxyl)oxyj-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as described by E or 2.

L11 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
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RN 433920-75-3 CAPLUS
CN Carbamic acid, [[4-(2-pyrimidinyloxy)phenyl]methyl]-,
(3a5, 4R, 75, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7-fluorotetradecahydro-14(hydroxyimino)-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8-trioxo-10-[[3, 4, 6-trideoxy3-(dimethylamino)-B-D-xylo-hexopyranosylloxyl-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

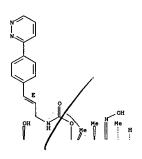
RN 433920-76-4 CAPLUS
CN Carbamic acid, [(ZE)-3-(3-quinolinyl)-2-propenyl)-,
(3as, 4n, 7s, 9n, 10n, 11n, 13n, 15n, 15aR)-4-ethyl-7-fluorotetradecahydro-14(hydroxyimino)-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8-trioxo-10-[(3,4,6-trideoxy3-(dimethylamino)-8-D-sylo-hexopyranoxyl]oxyl-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or 2.

RN 433920-74-2 CAPLUS
Cn Carbamic acid, ((ZE)-3-[4-(3-pyridazinyl)phenyl]-2-propenyl]-,
(3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-14(hydroxyimino)-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-Pb-Pxylo-hexopyranoxylloxyl)-2H
oxacyclotetradecino[4,3-d]oxacol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as described by E or Z.

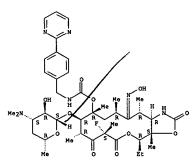
PAGE 1-A



L11 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 433920-77-5 CAPLUS
CN Carbamic acid, [[4-(2-pyrimidinyl)phenyl]methyl]-,
[335,48,75,98,108,118,138,158,1548)-4-ethyl-7-fluorotetradecahydro-14[hydroxyimino)-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy3-(dimethylamino)-8-D-xylo-hexopyranoxyl]oxy]-21oxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 433920-78-6 CAPLUS

L11 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN Carbamic acid, [(2E)-3-phenyl-2-propenyl]-, (3aS,48,7s,98,108,118,138,158,
15aR,4-ethyl-7-fluorotetradecahydro-14-(hydroxyminno)-3a,7,9,11,13,15hexamethyl-2,6,8-trioxo-10-[(3,4,6-trideoxy-3-(dimethylamino)-β-Dxylo-hexopyranoxyl]oxyl-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or 2.

433920-79-7 CAPLUS
Carbamic acid, [(ZE)-3-(6-bromo-3-pyridinyl)-2-propenyl]-,
(3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-14(hydroxyimino)-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[(3,4,6-trideoxy-3-(dimethylmaino)-8-De-xylo-hexopyranoxyl)oxyl-ZHoxacyclotetradecino(4,3-d)oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as described by ${\tt E}$ or ${\tt Z}$.

L11 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (3aS, 4R, 7S, 9R, 10R, 11R, 13R, 15R, 15aR) -4 -ethyl-7-flucrotetradecahydro-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 9, 14-tetradox-10-[[3, 4, 6-trideoxy-3-(dimethylamino)+9-b-xylo-hexopyranosyl]oxy]-2H-oxacyclotetradecino[4, 3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

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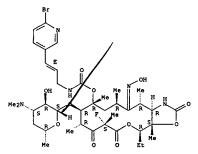
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ΙT

RI: RCT (Reactant); RACT (Reactant or reagent)
(preparation carbamoyl ketolide derivs. of erythromycin useful as antibacterials)
433920-42-4 CAPLUS

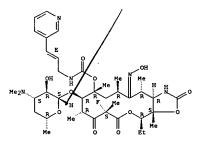
4.33920-42-4 CAPLUS
2H-Oxacyclotetradecino(4,3-d]oxazole-2,6,0,14(1H,7H,9H)-tetrone,
11-[(aminoarbonyl)oxy]-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15hexamethyl-10-[(3,4,6-trideoxy-3-(dimethylamino)-8-D-xylohexopyranosyl]oxy]-, (3a5,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

L11 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



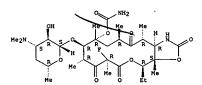
433920-81-1 CAPLUS
Carbamic acid, [(ZE)-3-(3-pyridinyl)-2-propenyl)-,
(335.48, 75, 98, 108, 118, 138, 158, 15aR)-4-ethyl-7-fluorotetradecahydro-14(hydroxyimino)-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8-trioxo-10-[(3,4,6-trideoxy-3-(dimethylamino)-8-b-xylo-hexopyranoxyl)oxyl-2Roxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or 2.



433922-58-8 CAPLUS Carbamic acid, [(2E)-3-[4-(4-pyrimidinyl)phenyl]-2-propenyl]-,

L11 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) Absolute stereochemistry.



433919-43-8P 433920-71-9P 433920-80-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent) (preparation carbamoyl ketolide derivs. of erythromycin useful as antibacterials) 433919-43-8 CAPLUS 2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone, 11-{(aniocarbonyl)oxy]-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-10-[(3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl]oxy]-, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

433920-71-9 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[{2-0-acetyl-3,4,6-trideoxy-3-(dimethylamino)-8-0-sylohexosyranosyl]oxy]-11-{(aminocarbonyl]oxy]-4-ethyl-7-fluorooctahydro3a,7,9,11,31,5-hexmachyl-1,4-(0-acetyloxime),
(3as,4R,75,9R,10R,11R,13R,15R,15RN)- (9CI) (CA INDEX NAME)

433920-80-0 CAPLUS
Carbamic acid, [(ZE)-3-(3-pyridinyl)-2-propenyl]-,
(385,48,75,98,10R,11R,13R,15R,15aR)-14-{(acetyloxy)imino]-10-{[2-0-acetyl-3,4,6-trideoxy-3-(dimethylamino)-8-0-xylo-hexopyranoxyl]oxy]-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8-trixox-2-decoxy-1-2-decoxylocatradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as described by E or Z.

L11 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) infections in mammals comprising administering said compd. Thus, I [R, R3, R4, Y = H7 R1 = CH2CH:CH-(3-quinoly1) [III]) was prepd. via debenzoylation of I [R = benzoyl: R3, R4, Y = H; R1 = CH2CH:CH-(3-quinoly1)]. III was tested in vitro for its antibacterial activity (MIC = 0.01 to > 100).

IT 342036-19-5P 342036-20-8P 342036-21-P9 342036-33-3P 342036-33-3P 342036-31-P 342036-32-2P 342036-33-3P 342036-34-4P 342036-32-2P 342036-36-6P 342036-37-8P 342036-36-8P 342036-37-8P 342036-38-8P 342036-38-8P 342036-38-P 342036-41-3P 342036-42-4P 342036-57-1P 342036-41-5P 342036-58-2P 342036-59-71P 342036-58-2P 342036-59-71P 342036-58-2P 342036-59-71P SA2036-58-P 342036-58-2P 342036-63-9P RL: PAC (Pharmacological activity), SFN (Synthetic preparation); THU

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of 6-O-alkyl-2-nor-2-substituted ketolide derivs. having antibacterial activity)
342036-19-5 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,9,11,13,15-pentamethyl-11-[[3-(3-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

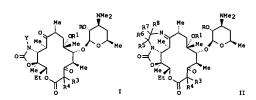
Absolute stereochemistry. Double bond geometry unkno

342036-20-8 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(lH,7H,9H)-tetrone,4-ethyl-7,7-dif[luorooctahydro-3a,9,11,13,15-pentamethyl-11-[(3-quinolinyl)-2-propenyl]oxy]-10-[(3,4,6-trideoxy-3-(dimethylamino)-β-fxylo-hexopyranoxyl]oxy]-, (3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CINDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

ANSWER 11 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN LION NUMBER: 2002:575746 CAPLUS EAN NUMBER: 137:125356 ON NUMBER: 13/1123356
Preparation of 6-0-alky1-2-nor-2-substituted
erythromycin ketolide derivatives as antibacterial
agents
Phan, ly Tam/ Or, Yat Sun: Ma, Zhenkun
IISA, INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: USA
U.S. Pat. Appl. Publ., 43 pp.
CODEN: USXXCO
Patent DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

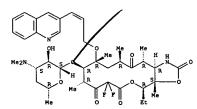
PATENT NO. KIND DATE APPLICATION NO. DATE US 2002103140
US 6569836
PRIORITY APPLN. INFO.:
OTHER SOURCE(5):
GI A1 20020801 B2 20030527 US 2000-727934 20001130 US 1999-168504P P 19991202 MARPAT 137:125356



AB Erythromycin ketolide derivs., such as I and II [R = H, hydromy protecting group: R1 = alkyl, alkenyl, alkenyl-R2, alkynyl, alkynyl-R2; R2 = H, aryl, heteroaryl: R3 = H, OH, HM2, substituted amine, SePh, halogen: R4 = H, OH, F, Cl, Br, I, alkyl alkenyl, alkynyl, ether, ester, alkylamine: R3 and R4 taken together with the atoms to which each is attached forms a 3 = to 6-membered aromatic or non-aromatic ring optionally containing a heteroatom, wherein the non-aromatic ring optionally containing a R4 taken together form a =CH2, epoxide, =O; Y = H, OH, NH2, amine: R5-R8 = H, alkyll, and pharmaceutically acceptable salts, ester, solvate or prodrug threef, were prepared for their use as antibacterial agents. The invention relates to 6-0-alkyl-2-nor-2-substituted ketolide compound or a derivative thereof, a composition comprising the compound and a suitable carrier.

carrier, a method of preparing the compound, and a method of treatment and prevention

L11 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



342036-21-9 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluoro-7-(fluoromethyl)octahydro-3a,9,11,13,15-pentamethyl-11-[[3-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-syl0-hexopyranosyl]oxy]-, (3aS,4R,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

342036-30-0 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,9,11,13,15-pentamethyl-11-(2-propenyloxy)-10[3,4,6-trideoxy-3-(dimethylanio)-β-D-xylo-hexopycanosyl)oxy]-,
(3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

342036-31-1 CAPLUS
2H-Oxacyclotetradecino(4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,9,11,13,15-pentamethyl-11-(2-propynyloxy)-10[3,4,6-trideoxy-3-(dimethylamino)-β-0-wylo-hexopyranosyl)oxy]-,
(3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

342036-32-2 CAPLUS
14.1-(Nitriloethano)-ZH-owacyclotetradecino(4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-3a,9,11,13,15-pentamethyl-11-(2-propenylosy)-10-([3,4,6-trideoxy-3-(dimethylamino)-B-D-sylo-hexopycanosyl]oxy)-, (3a5,48,38,108,118,138,158,158)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

342036-35-5 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7,7-difluorooctahydro-3a,9,11,13,15-pentamethyl-11-(2-propynyloxy)10-[(3,4,6-trideoxy-3-(dimethylamino)-B-D-mylo-hexopyranosyl]oxy)-,
(3a5,4R,9R,10R,11R,13R,15R,15aH)-(9CI) (CA INDEX NAMP)

Absolute stereochemistry.

342036-36-6 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 4-ethyl-7,7-difluoro-3a,4,10,11,12,13,15,15a-octahydro3a,9,11,13,15-pentamethyl-11-(2-propenyloxy)-10-[[3,4,6-trideoxy-3(dimethylamino)-β-D-wylo-hexopyranosyl]oxy](3a5,4R,9R,10R,11R,13R,15R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

342036-33-3 CAPLUS
14,1-(Nitriloethano) -2H-oxacyclotetradecino[4,3-d] oxazole-2,6,8 (7H,9H) trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-3a,9,11,13,15pentamethyl-11-(2-propynylosy)-10-[[3,4,6-trideoxy-3-(dimethylamino)β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

342036-34-4 CAPLUS
2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7,7-difluorooctahydro-3a,9,11,13,15-pentamethyl-11-(2-propenyloxy)10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-,
(3a5,4R,9R,10R,11R,13R,15R,15aR)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

342036-37-7 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 4-ethyl-7,7-difluoro-3a,4,10,11,12,13,15,15a-octahydro3a,9,11,13,15-pentamethyl-11-(2-propynyloxy)-10-[[3,4,6-trideoxy-3(dimethylantno)-B-D-sylo-hexopyranoxyl]oxy]-,
(3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

342036-38-8 CAPLUS
2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,9,11,13,15-pentamethyl-11-{[3-(5-quinoxalinyl)-2-propenyl)oxyj-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxyl]oxyj-, (3a5,4R,9R,10R,11R,13R,15R,15R)- (9CI) (CA
INDEX NAME)

342036-39-9 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-3a,9,11,13,15-pentamethyl-11-{[3-(3-quinolinyl)-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

342036-40-2 CAPLUS 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-3a,9,11,13,15-pentamethyl-11-[[3-(3-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxyl]oxy]-, (3a5,4R,9R,10R,11R,13R,15R,15R,15R)-(9CI) (CA NDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

L11 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

342036-43-5 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7,-difluorooctahydro-3a,9,11,13,15-pentamethyl-11-[[3-(3-quinolinyl)-2-propymyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3a5,4R,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

342036-44-6 CAPLUS
14,1-(Mitriloethano)-2H-oxacyclotetradecino[4,3-d] oxazole-2,6,8 (7H,9H)trione, 4-ethyl-7,7-difluoro-3a,4,10,11,12,13,15,15a-octahydro3a,9,11,13,15-pentamethyl-11-[[3-(3-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6tridosyy-3-(dimethylamino)-8-0-xylo-hexopyranoxyl]oxy](3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

L11 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

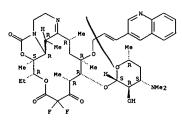
342036-41-3 CAPLUS 14,1-{Nitriloethano}-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 4-ethyl-7-[fluoro-3a,4,10,11,12,13,15,15a-octahydro-3a,9,11,13,15pentamethyl-11-[[3-(3-quinolinyl)-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3(dimethylamino]-6-D-xylo-bexopycanosyl]oxy]-, (3a5,4R,9R,10R,11R,13R,15R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

342036-42-4 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7,7-difluorcoctahydro-3a,9,11,13,15-pentamethyl-11-[[3-(5-quinoxalinyl)-2-propenyl]oxy]-10-[[3,4,5-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl]oxy]-, (3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) INDEX (NAME)

Absolute stereochemistry. Double bond geometry unknown.

L11 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



342036-45-7 CAPLUS 14,1-(Nitriloethano) -2H-oxacyclotetradecino[4,3-d] oxazole-2,6,8 (7H,9H) trione, 4-ethyl-7,7-difluoro-3a,4,10,11,12,13,15,15a-octahydro3a,9,11,13,15-pentamethyl-11-[[3-(3-quinolinyl)-2-propynyl]oxy]-10-[[3,4,6trideoxy-3-(dimethylamino]-6-D-xylo-haxopylcanoxyl]oxy](3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

342036-56-0 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-11-methoxy-3a,9,11,13,15-pentamethyl-7-{2-propenyl}-10-{{3,4,6-trideoxy-3-(dimethylamino)-B-D-mylo-hexopyranosyl}oxyj-, (3a5,4R,9R,10R,11R,13R,15R,15RR}- {9CI} (CA INDEX NAME)

RN 342036-57-1 CAPLUS
CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-11-methoxy-3a,9,11,13,15-pentamethyl-7-(2-propynyl)-10-[{3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopytanosyl]oxy]-, (3as,4R,9R,10R,11R,13R,15R,15RR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 342036-58-2 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy3a,9,11,13,15-pentamethyl-7-(2-propenyl)-10-[[3,4,6-trideoxy-3(dimethylamino)-Po-mylo-hexopyranoxyl]oxy]-,
(3as,4R,9R,10R,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 342036-61-7 CAPLUS
CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-11-methoxy-3a,9,11,13,15-pentamethyl-7-[3-(3-quinolinyl)-2-propynyl]-10-[{3,4,6-trideoxy-3-(dimethylamino]-B-D-xylo-hexopyranosyl]oxy]-, {3a5,4R,9R,10R,11R,13R,15R,15aR}- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 342036-62-8 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy-3a,9,11,13,15-pentamethyl-7-[3-(3-quinolinyl)-2-propenyl]-10-[[3,4,6-trideoxy-3-(dimethylamino)-p0-sylo-hexpoyranosyl)1oxy]-, (3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown. L11 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

RN 342036-59-3 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy3a,9,11,13,15-pentamethyl-7-(2-propynyl)-10-{[3,4,6-trideoxy-3(dimethylamino)-9-D-e-kylo-hexopyranosyl]oxy]-,
(3a5,4R,9R,10R,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)

(Continued)

Absolute stereochemistry.

RN 342036-60-6 CAPLUS
CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-f[uorooctahydro-11-methoxy-3a,9,11,13,15-pentamethyl-7-[3-(3-quinolinyl)-2-propenyl]-10-[(3,4,6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranoxyl]oxy]-, (3a5,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 342036-63-9 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy3a,9,11,13,15-pentamethyl-7-[3-(3-quinolinyl)-2-propyyl]-10-[[3,4,6tridoxy-3-(dimethylamino)-PD-xylo-hxopyycanoxyl)oxy](3as,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 444300-05-4P 444300-06-5P 444300-07-6P 444300-13-4P 444300-14-5P 444300-15-6P 444300-15-7P 444300-17-8P 444300-18-9P 444300-19-0P 444300-29-2P 444300-27-0P 744300-28-1P 444300-29-2P 444300-39-05P RL: RCT (Reactant), SPN (Synthetic preparation), PREP (Preparation), RACT L11 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(Reactant or reagent)
(prepn. of 6-0-alkyl-2-nor-2-substituted ketolide derivs. having
antibacterial activity)
RN 444300-05-4 CAPLUS

444300-05-4 CAPUS
2H-Oxacyclotetradecino(4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-0-xylohexopyranoxyl]oxy]-4-ethyl-7-fluorooctahydro-3a,9,11,13,15-pentamethyl-11[[3-(3-quinolinyl)-2-propenyl]oxy]-, (3aS,4R,9R,10R,11R,13R,15R,15R),15aR)(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

444300-06-5 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-{[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexopyranoxyl]oxy]-4-ethyl-7,7-difluorooctahydro-3a,9,11,13,15-pentamethyl11-[[3-(3-quinolinyl)-2-propenyl]oxy]-, (3aS,4R,9R,10R,11R,13R,15R,15aR)(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) hexppyranosyljoxyl -4-chylx-7-fluoroottahydro-3a,9,11,13,15-pentamethyl-11-(2-propynyloxy)-, (3a5,4R,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

444300-15-6 CAPLUS
14,1-(Mitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)-trione, 10-[[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-9-D-mylo-bexopyranomyl]oxy]-4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-3a,9,11,13,15-pentamethyl-11-[2-propenyloxy]-,
(3aS,4R,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

444300-16-7 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)-trione, 10-[[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-9-0-xylo-bexopyranosyl]oxy]-4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-3a,9,11,13,15-pentamethyl-11-[2-propynyloxy]-,
(3aS,4R,9R,10R,11R,13R,15R,15RR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

444300-07-6 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-{[2-0-bexopy-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexopyranosyl]oxy]-4-ethyl-7-fluoro-7-(fluoromethyl)octahydro3a,9,11,13,15-pentamethyl-11-[13-(3-quinolinyl)-2-propenyl]oxy]-,
(3a5,4R,9R,10R,11R,13R,15R,15aB)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

444300-13-4 CAPLUS 2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone, 10-[[2-O-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl)oxy)-4-ethyl-7-fluorooctahydro-3a,9,11,13,15-pentamethyl-11-(2-propenyloxy)-, (3a,5,48,9R,108,11R,13R,15R,15aR)-9(SI) (CA INDEX NAME)

Absolute stereochemistry.

444300-14-5 CAPLUS 2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone, 10-([2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-P-D-xylo-

L11 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

444300-17-8 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 10-[[2-0-bezoyl-3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl]oxy]-4-ethyl-7,7-difluorooctahydro-3a,9,11,13,15-pentamethyl-11-(2-propenyloxy)-, (3a5,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

444300-18-9 CAPLUS ZH-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 10-[[2-0-benzoyl-3,4,6-trideoxy-3-(disethylamino)-β-0-xylo-hexopyranosyl]oxy]-4-ethyl-7,7-difluorooctahydro-3a,9,11,13,15-pentamethyl-11-(2-propynyloxy)-, (3a5,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

RN 444300-19-0 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino(4,3-d)oxazole-2,6,8(7H,9H)trione, 10-[(2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexopyranosyl]oxy]-4-ehyl-7,7-difluoro-3a,4,10,11,12,13,15-gata3a,9,11,13,15-pentamethyl-11-(2-propenyloxy)-,
(3a5,4R,9R,10R,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)

Abasiuta stanoshamistm:

RN 444300-20-3 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 10-[(2-0-bexcyl-3,4,6-trideoxy-3-(dimethylamino)-β-0-xylohexopyranosyl]oxy]-4-ethyl-7,7-difluoro-3a,4,10,11,12,13,15,15a-octahydro3a,9,11,13,15-pentamethyl-11-(2-proprynloxy)(3a5,4R,9R,10R,11R,13R,15R,15A)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 444300-29-2 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 10-[[2-0-benzoyl-3,4,6-tridoxy-3-(dimethylamino)-β-D-xylohexopyranosyl]oxy]-4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11methoxy-3a,9,11,13,15-pentamethyl-7-(2-propenyl)(3a5,4R,9R,10R,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

AN 444300-30-5 CAPLUS

14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopycanosyl]oxy]-4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy-3a,9,11,13,15-pontamethyl-7-(2-propynyl)-(3a5,4R,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 444300-27-0 CAPLUS
CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[12-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexopycanosyl]oxy]-4-ethyl-7-fluorooctahydro-11-methoxy-3a,9,11,13,15pentamethyl-7-(2-propenyl)-, (3aS,4R,9R,10R,11R,13R,15R,15RR)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 444300-28-1 CAPLUS
CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14 (1H,7H,9H)-tetrone,
10-[[2-o-benzqy1-3,4,6-trideoxy-3-(dimethylamino)-B-D-xylohexopyranosyl]oxy]-4-ethyl-7-fiuorooctahydro-11-methoxy-3a,9,11,13,15pentamethyl-7-(2-propynyl)-, (3a5,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

NSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN ION NUMBER: 2002:466704 CAPLUS NT NUMBER: 137:20549

DOCUMENT NUMBER:

137:20549
Preparation of tricyclic erythromycin derivatives as antibacterial agents %u. Yong-jin U.S. Pat. Appl. Publ., 12 pp. CODEN: USXXCO Patent

INVENTOR(S): PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

US 2002077302 A1 20020620
PRIORITY APPLIN. INFO.:
OTHER SOURCE(S):
CDent APPLICATION NO. DATE 1 20020620 US 2000-557528 20000423 US 1999-130913P P 19990423 CASREACT 137:20549; MARPAT 137:20549

The invention relates to the preparation of tricyclic erythromycin derivs. I wherein R, R5 are independently alkyl, alkenyl, alkynyl, R1-R4 are independently H, alkyl, alkenyl, alkynyl, R2R3 together with the carbon to which they are attached form a 3-10 membered heterocyclic ring; R6 is H, ester, acyl, R7 is H, alkyl, ether, amine, halo, and to pharmaceutically acceptable salts, solvates and prodrugs thereof. The activity of the compds. of the present invention against bacterial and protozoa pathogens is demonstrated by the compound's abhilty to inhibit growth of defined strains of human or animal pathogens (no data). Thus, I wherein R is Me; R2-R4 and R6 are each H, R5 is Me, R7 is F, and R1 is 3-quinolin-5-yl-propyl; was prepared and tested as antibacterial agent. 434321-21**91**40421-88-5**P 434321-84-6**P 434321-85-7**P 434321-84-9**P 434321-95-6**P 434321-90-1**P 434321-97**9 434321-97**9 434321-97**P 434321-98-0***P 434321-98-0***P

L11 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

434321-84-3 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (3aH,7B)-trione, 4-ethyl-7-fluorooctahydro-11-methoxy-3a,7,9,11,13,15hexamethyl-18-[3-(4-quinolinyl)propyl]-10-[[3,4,6-trideoxy-3(dimethylamino)-β-0-xylo-hexopyrtanosyl]oxy]-,
(3aS,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Page 78

RN 434321-85-4 CAPLUS CN 4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole-

L11 ANSVER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
434322-03-9P 434322-04-0P 434322-05-1P
434322-06-2P 434322-07-3P 434322-08-4P
434322-09-5P 434322-13-1P 434322-11-9P
434322-12-0P 434322-13-1P 434322-14-2P
434322-18-0P 434322-13-7P 434322-20-0P
434322-18-6P 434322-13-7P 434322-23-3P
434322-24-4P 434322-25-P 434322-25-6P
434322-27-7P 434322-28-P 434322-23-3P
434322-30-2P 434322-33-3P
434322-30-2P 434322-33-3P
434322-30-2P 434322-33-5P
8L: BSU (Biological study, unclassified); IMF (Industrial manufacture);
SPM (Synthetic preparation); IMF (Therapeutic use); BIOL (Biological study); PREP (Perparation); USES (Uses)
(prepn. of tricyclic erythromycin derivs. as antibacterial agents)
RN 44321-82-1 CAPLUS
RN 414-1[minomethanimino)-2H-oxacyclotetradecino(4,3-d)oxazole2,6,8(3aH,7H)-trione, 4-ethyl-7-fluorooctahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-18-[3-(4-3-yr)dinyl)-1H-imidazol-1-1-ylpropyl)-10-[(3,4,6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranosylloxy)-,
(3aS,4R,9R,10x,11R,13R,15S,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

434321-83-2 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino(4,3-d)oxazole2,6,8 (3H,7H)-trione, 7-fluorooctahydro-11-methoxy-3a,4,7,9,11,13,15heptamethyl-18-[3-(4-quinolinyl)propyl)-10-[(3,4,6-trideoxy-3(dimethylamino)-8-D-xylo-hexopyranosyl)oxy]-,
(3a5,4R,9R,10R,11R,13R,15S,15SR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 2,6,8 (3aH, 7H)-trione, 7-fluorooctahydro-11-methoxy-3a,4,7,9,11,13,15-heptamethyl-18-[3-(5-quinolinyl)propy]]-10-[(3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl]oxy]-, (3a5,48,9R,10R,1HR,13R,185,155AR)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

434321-86-5 CAPLUS
4H-1,14-[Iminomethanimino]-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (34H,78]-tcione, 4-ethyl-7-fluorooctahydro-11-methoxy-3a,7,9,11,13,15hexamethyl-18-[3-(5-quinolinyl)propyl)-10-[[3,4,6-trideoxy-3(dimethylamino)-8-0-xylo-hexopyranoxyl)oxyl-,
(3as,4R,9R,10R,11R,13R,155,15aR)- (9CI) (CA INDEX NAME)

RN 434321-87-6 CAPLUS
CN 4H-1,14-[[minomethanimino]-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (3aH,7H)-trione, 7-fluocooctahydco-11-methoxy-3a,4,7,9,11,13,15heptamethyl-18-[3-(8-quinolinyl)propyl]-10-[[3,4,6-trideoxy-3(dimethylamino]-9D-xylo-hexopycanosyl]oxyl-,
(3a5,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continu

RN 434321-89-8 CAPLUS
CN 4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8(3aH,7H)-trione, 7-fluorooctahydro-11-methoxy-18-[3-(7-methoxy-4quinolinyl)pcopyl)-3a,4,7,9,11,13,15-heptamethyl-10-[[3,4,6-trideoxy-3(dimethylamino)-β-D-xylo-hexopyranosyl)oxyl-,
(3as,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 434321-90-1 CAPLUS

Page 79

L11 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 434321-88-7 CAPLUS
CN 4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (34H,7H)-trione, 4-ethyl-7-fluorooctahydro-11-methoxy-3a,7,9,11,13,15hexamethyl-18-[3-(8-quinolinyl)propyl)-10-[(3,4,6-trideoxy-3(dimethylamino)-Po-sylo-hexopyranosyl)oxy]-,
(3as,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,9 (34H,7H)-trione, 4-ethyl-7-fluorooctahydro-1l-methoxy-18-[3-(7methoxy-4-quinolinyl)propyl]-3a,7,9,11,13,15-hexamethyl-10-[{3,4,6trideoxy-3-(diethylamino)-8-D-xylo-bexopyranoyyl]oxy]-,
(3aS,4R,9R,10R,11R,13R,15S,15aR)-(GCI) (CA INDEX NAME)

Absolute stereochemistry.

RN 434321-91-2 CAPLUS
CN 4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (3AH,7H)-trione, 7-fluorooctahydro-11-methoxy-3m,4,7,9,11,13,15heptamethyl-18-[3-(4-phenyl-1H-imidazol-1-yl)propyl]-10-[(3,4,6-trideoxy-3(dimethylamino)-PD-vylo-hexopyranopyl)oxy]-,
(3aS,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA INDEX NAME)

L11 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 434321-92-3 CAPLUS
CN 4H-1,14-(iminomethanimino)-2H-oxacyclotetradecino[4,3-d] oxazole2,6,8 (3aH,7H)-trione, 4-ethyl-7-fluorooctahydro-11-methoxy-3a,7,9,11,13,15hexamethyl-18-(3-(4-phenyl-1H-imidazol-1-yl)propyl)-10-[(3,4,6-trideoxy-3ddimethylamino)-9-D-xylo-hexopyranoxyl)sxy}-,
(3as,4R,9R,10R,11R,13R,15s,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

434321-93-4 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (3aH,7H)-trione, 7-fluorooctahydro-11-methoxy-3a,4,7,9,11,13,15-heptamethyl-18-[3-(4-pyridinyl)propyl]-10-[[3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl]oxy]-,
(3aS,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

434321-94-5 CAPLUS 4H-1,14-(Tmino----

4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(3aH,7H)-trione, 4-ethyl-7-fluorooctahydro-11-methoxy-3a,7,9,11,13,15-

L11 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.

434321-97-8 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (3aH,7B)-trione, 7-fluorooctahydro-11-methoxy-3a,4,7,9,11,13,15heptamethyl-18-[3-(2-pyridinyl)propyl]-10-[[3,4,6-trideoxy-3(dimethylanino)-8-D-xylo-hexopyranosyl]oxy]-,
(3aS,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

434321-98-9 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (3aH,7h)-trione, 4-ethyl-7-fluorooctahydro-11-methoxy-3a,7,9,11,13,15hexamethyl-18-{3-(2-pyridinyl)propyl}-10-[(3,4,6-trideoxy-3(dimethylamino)-β-D-xylo-hexopyranosyl)oxyl-,
(3aS,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Conthexamethyl-18-[3-(4-pyridinyl)propyl]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexpyranoxyl]oxy]-, (3a5,4R,9R,10R,11R,13R,15S,15aN)- (9CI) (CA INDEX NAME) (Continued)

Absolute stereochemistry.

434321-95-6 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (3AH,7B)-trione, 7-fluorooctahydro-11-methoxy-3a,4,7,9,11,13,15-heptamethyl-18-[3-(3-pyridinyl)propyl)-10-[(3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl)oxy]-, (3a5,48,98,108,118,13R,155,155R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

434321-96-7 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino(4,3-d)oxazole2,6,8 (3AH,7B)-trione, 4-ethyl-7-fluorooctahydro-1l-methoxy-3a,7,9,11,13,15hexamethyl-18-[3-(3-pyridinyl)propyl]-10-[[3,4,6-trideoxy-3(dimethylamino)-β-0-kylo-hexopyranosyl)oxyl-,
(3aS,4R,9R,10R,11R,13R,13S,15S,15AR)- (9C1) (CA INDEX NAME)

L11 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

434321-99-0 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino(4,3-d)oxazole2,6,8 (3AH,7H)-trione, 7-fluorooctahydro-11-methoxy-3a,4,7,9,11,13,15heptamethyl-18-(3-(4-(3-pyridinyl)-H-imidazol-1-yl)propyl]-10-[[3,4,6trideoxy-3-(dimethylamino)-B-D-wylo-hexopy (anoxyl)oxyl)
(3aS,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

434322-00-6 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino(4,3-d)oxazole2,6,8(3aH,7H)-trione, 7-fluorooctahydro-11-methoxy-3a,4,7,9,11,13,15-heptamethyl-18-(3-phenylpropyl)-10-[(3,4,6-trideoxy-3-(dimethylamino)-6p-sylo-hexopyranosyl]oxy]-, (3aS,4R,9R,10R,11R,13R,15S,15aR)- (9CI)
(CA INDEX NAME)

434322-01-7 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (3aH,7H)-trione, 4-ethyl-7-fluorooctahydro-11-methoxy-3a,7,9,11,13,15hexamethyl-18-(3-phenylpropyl)-10-[(3,4,6-trideoxy-3-(dimethylamino)β-D-xylo-hexopyranosyl]oxyl-, (3aS,4R,9R,10R,11R,13R,155,15aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry (CH₂) 3

434322-02-8 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d] oxazole2,6,8 (3H,7H)-trione, 7-fluoro-18-[3-(3-fluorophenyl)propyl)octahydro-11methoxy-3a,4,7,9,11,13,15-heptamethyl-10-[[3,4,6-trideoxy-3(dimethylamino)-8-D-sylo-bexopyranosyl)oxy]-,
(3aS,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

434322-05-1 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (34H,7m)-trione, 18-{3-(1,2-dihydro-3H-imidazo[4,5-b]pyridin-3yl)propyl]-4-ethyl-7-fluorooctahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl10-{[3,4,6-trideoxy-3-cidimethylamino)-B-D-xylo-hexpyranosyl]oxy]-,
(3aS,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

434322-06-2 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (3aH,7H)-trione, 7-fluorooctahydro-11-methoxy-3a,4,7,9,11,13,15heptamethyl-18-[3-(2-phenyl-5-thiazolyl)propyl]-10-[[3,4,6-trideoxy-3(dimethylamino)-P-D-mylo-hexopyranosyl]oxy]-,
(3aS,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

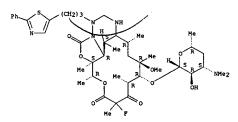
434322-03-9 CAPLUS
4H-1,14-([minomethanimino)-2H-oxacyclotetradecino(4,3-d) oxazole2,6,8 (34H,7H)-trione, 4-ethyl-7-fluoro-18-[3-(3fluorophenyl)propyl]octahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-10[(3,4,6-trideoxy-3-(dimethylamino)-8P-0-tylon-bexopyranosyl]oxy]-,
(3a5,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

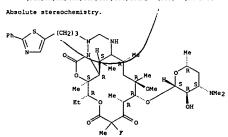
434322-04-0 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (3AH,7H)-trione, 18-[3-{1,2-dlhydro-3H-imidazo[4,5-b]pyridin-3yl)propyl]-7-fluorooctahydro-11-methoxy-3a,4,7,9,11,13,15-heptamethyl-10[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl]oxy]-,
(3as,4R,9R,10R,11R,13R,15S,15SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



434322-07-3 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (3aH,7H)-trione, 4-ethyl-7-fluorooctahydro-11-methoxy-3a,7,9,11,13,15hexamethyl-18-[3-(2-phenyl-5-thiazolyl)propyl)-10-[(3,4,6-trideoxy-3(dimethylamino)-8-0-xylo-hexopylpranosyl)oxyl-,
(3as,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA INDEX NAME)



434322-08-4 CAPLUS
4H-1,14-([minomethanimino)-2H-oxacyclotetradecino[4,3-d] oxazole2,6,8 (3AH,7B)-tcione, 7-fluorooctahydro-11-methoxy-3a,4,7,9,11,13,15heptamethyl-18-(3-(2-(2-pyridinyl)-4-thiazolyl)propyl]-10-[(3,4,6-trideoxy3-(dimethylamino)-8-0-xylo-hexopyranosyl)oxyl-,
(3aS,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA INDEX NAME)

434322-09-5 CAPLUS
4H-1,14-[[minomethanimino]-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (38H,7H)-trione, 4-ethyl-7-fluorooctahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-18-[3-(2-(2-pyridinyl)-4-thiazolyl]propyl]-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxyl]oxy]-,
(3a5,48,98,108,118,138,155,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

434322-10-8 CAPLUS
4H-1,14-(Iminomino)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8(3H,7H)-trione, 18-[3-(1H-benzimidazol-1-yl)propyl]-7fluorooctahydro-11-methoxy-3a,4,7,9,11,13,15-heptamethyl-10-[(3,4,6trideoxy-3-(dimethylamino)-8-0-xylo-hexopyranoxyl)oxyl

L11 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN Double bond geometry unknown. (Continued)

434322-13-1 CAPLUS
4H-1,14-([minomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (3mH,7H)-trione, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl11-[(3-(4-pyridinyl)-2-propenyl]oxy]-10-[(3,4,6-trideoxy-3-(dimethylamino)B-D-xylo-hexopyranosyl]oxy]-, (3a5,4R,9R,10R,11R,13R,155,15aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

434322-14-2 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole26,8 (3H,7H)-trione, 7-fluorooctahydro-3a,4,7,9,11,13,15-heptamethyl-11[3-(4-pyridinyl)propoxy]-10-[(3,4,6-trideoxy-3-(dimethylamino)-β-0xylo-hexopyranosyl]oxyl-, (3as,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA
INDEX INME)

Absolute stereochemistry.

L11 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (3as, 4R, 9R, 10R, 11R, 13R, 15s, 15aR) - (9CI) (CA INDEX NAME)

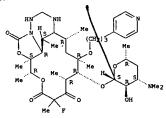
434322-11-9 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino{4,3-d}oxazole-2,6,8 (3AH,7B)-trione, 18-{3-(1H-benzimidazol-1-yl)propyl}-4-ethyl-7-fluorcoctahydro-1l-methoxy-3a,7,9,11,13,15-hexamethyl-10-{[3,4,6-trideoxy-3-(dimethylamino)-6-D-xylo-hexopyranoxyl]oxy]-, (3AS,4R,8R,1DR,11R,13R,15S,15AR)- {9CI} (CA INDEX NAME)

Absolute stereochemistry.

434322-12-0 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (34H,7H)-trione, 7-fluorooctahydro-3a,4,7,9,11,13,15-heptamethyl-11[[3-(4-pyridinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,9R,10R,11R,13R,15S,15aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



434322-15-3 CAPLUS 4H-1,14-(Iminosethanimino)-2H-oxacyclotetradecino [4,3-d] oxazole-2,6,8 (3AH,7H)-crione, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[3-(4-pycidinyl)propoxy]-10-[(3,4,6-trideoxy-3-(dimethylamino)-β-D-wylo-hexopytanoxyl]oxy]-, (3aS,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

434322-16-4 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (3aH,7H)-trione, 7-fluorooctahydro-3a,4,7,9,11,13,15-heptamethyl-11[3-(4-quinolinyl)propoxy]-10-[(3,4,6-trideoxy-3-(dimethylamino)-9-Dxylo-hexopyranosyl]oxy]-, (3a5,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA INDEX NAME)

434322-17-5 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (3aH, 7H)-trione, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl11-[3-(4-quinolinyl)propoxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β0-xylo-hexopyranosyl]oxy]-, (3a5,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

434322-20-0 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (3AH,7H)-trione, 7-fluorooctahydro-3a,4,7,9,11,13,15-heptamethyl-11[3-(5-quinolinyl)propoxy]-10-[(3,4,6-trideoxy-3-(dimethylamino)-8-Dxylo-hexopyranosyl]oxy)-, (3aS,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA
INDEX NNE)

Absolute stereochemistry.

434322-21-1 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (3aH,7H)-trione, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl11-[3-(5-quinolinyl)propoxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-βD-wylo-hexopyranosyl]oxy]-, (3aS,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA

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L11 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN Absolute stereochemistry.
Double bond geometry unknown. (Continued)

434322-19-7 CAPLUS 4H-1,14-([minomethanimino)-2H-oxacyclotetradecino[4,3-d] oxazole-2,6,8 (3aH,7H)-trione, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(4-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-, (33,4,8,9,1R,1R,1R,18,1Ss,1SaH,19S,1)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN INDEX NAME) (Continued)

Absolute stereochemistry.

434322-22-2 CAPLUS
4H-1,14-(Iminomethanimino)-2H-σxacyclotetradecino[4,3-d]σxazole-2,6,8 (βaH,7H)-trione, 7-fluorooctahydro-3a,4,7,9,11,13,15-heptamethyl-11-[(3-(5-quinolinyl)-2-propenyl)σxy]-10-[(3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranozyl]σxy]-, (3aS,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

434322-23-3 CAPLUS $\begin{array}{lll} 434322-23-3 & \text{CAPLUS} \\ 4H-1, 14-(Iminomethanimino)-2H-oxacyclotetradecino(4,3-d]oxazole-2,6.8 (3aH,7H)-trione, 4-ethyl-7-fluorooctahydro-3a,7.9,11,13,15-hexamethyl-11-[[3-6-quinolinyl]-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-<math>\beta$ -D-xylo-hexopyranosyl]oxy]-,

L11 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (3a5,4R,9R,10R,11R,13R,15S,15aR) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

434322-24-4 CAPLUS
4H-1,14-{Iminomethanimino}-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8(3aH,7H)-trione, 7-fluorooctahydro-11-[3-(1H-indol-4-yl)propoxy]3a,4,7,9,11,13,15-heptamethyl-10-{[3,4,6-trideoxy-3-(dimethylamino)-βD-xylo-hexopyranosyl]oxy]-, (3aS,4R,9R,10R,11R,13R,155,15aR)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

434322-25-5 CAPLUS
4H-1,14-[Iminomethanimino]-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8[34H,7H]-tcione, 4-ethyl-7-fluorooctahydro-11-[3-(1H-indol-4yl)propoxy]-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-

L11 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 2,6,8(3aH,7H)-trione, 4-ethyl-7-fluorooctahydro-11-[{3-(1H-indol-4-yl)-2-propenyl]oxy]-3a,7,9,11,13,15-hexamethyl-10-[{3,4,6-trideoxy-3-(dimethylamino)-9-D-xyl)-hexpoyryanosyl]oxy]-, (3as,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

434322-28-8 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (3aH,78)-trione, 7-fluorooctahydro-3a,4,7,9,11,13,15-heptamethyl-11[3-(8-quinolinyl)propoxy]-10-[(3,4,6-trideoxy-3-(dimethylamino)-P-Dxylo-hexopyranosyl]oxy]-, (3a5,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

434322-29-9 CAPLUS
4H-1,14-[[minomethanimino]-2H-oxacyclotetradecino[4,3-d]oxazole2.6,8 (3aH,7H)-trione, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl11-[3-(8-quinolinyl)propoxy]-10-[{3,4,6-trideoxy-3-(dimethylamino)-β-

Page 84

L11 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN ((dimethylamino)-β-D-xylo-hexopyranosyl] oxyl-, (3aS, 4R, 9R, 10R, 11R, 13R, 15S, 15aR)- (9CI) (CA INDEX NAME) (Continued)

434322-26-6 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (3H,7H)-trione, 7-fluorooctahydro-11-[[3-(1H-indol-4-y1)-2-propeny1]oxy]-3a,4,7,9,11,13,15-heptamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-6D-oxylo-bexopyranosy1]oxy](3aS,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

434322-27-7 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole-

ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
D-wylo-hexopyranosyl]oxy]-, (3as,4x,9x,10x,11x,13x,15s,15ax)- (9CI) (CA INDEX NAME)

434322-30-2 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (3aH,78)-trione. 7-fluorooctahydro-3a,4,7,9,11,13,15-heptamethyl-11[[3-(8-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)β-D-wylo-hexopyranosyl]oxy]-, (3aS,4R,9R,10R,11R,13R,15S,15aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown

434322-31-3 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8(3aH,7H)-trione, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-

L11 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 11-[[3-(8-quinoliny1)-2-propeny1]oxy]-l0-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3a5,4R,9R,10R,11R,13R,15S,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

Absolute stereochemistry.

L11 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
2,6,8(3aH,7H)-trione, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl11-[2-[(4-quinolinylmethyl)amino]ethoxy]-10-[[3,4,6-trideoxy-3(dimethylamino)-9-D-xylo-hexopyranoxyl]oxy]-,
(3as,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

A34321-81-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tricyclic erythromycin derivs. as antibacterial agents)
434321-81-0 CAPLUS
41-1.14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole2.6,8(3aH,7H)-trione, 10-[[2-0-acetyl-3,4,6-trideoxy-3-(dimethylamino)6-0-xylo-hexpyranoxyljoxyl-4-ethyl-7-fluorooctahydro-11-methoxy3a,7,9,11,13,15-hexamethyl-18-[3-[4-(3-pyridinyl)-1H-imidazol-1-yl]propyl](3a5,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L11 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 434322-33-5 CAPLUS
CN 4H-1,14-([minomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (34H,7H)-trione, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl11-[2-[(4-pyridinylmethyl) amino]ethoxy]-10-[[3,4,6-trideoxy-3(dimethylamino)-9-D-svly0-hexopyranosyl]oxy]-,
(3as,4R,9R,10R,11R,13R,15S,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

434322-34-6 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (3aH,7B:-trione, 7-fluorooctahydro-3a,4,7,9,11,13,15-heptamethyl-11-[2-[(4-quinolinylmethyl)amino)ethoxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxyl]oxy]-, (CA INDEX NAME)

Absolute stereochemistry.

434322-35-7 CAPLUS
4H-1,14-(Iminomethanimino)-2H-oxacyclotetradecino[4,3-d]oxazole-

L11 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

10/0/15,635

NSWER 13 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN ION NUMBER: 2002:449692 CAPLUS NT NUMBER: 137:20548

137:20548
Preparation 6-O-carbamoyl ketolide derivatives of erythromycin useful as antibacterials Henninger, Todd C., Yu, Xiaodong C. Ortho-McNeil Pharmaceutical, Inc., USA PCT. Int. Appl., 162 pp. CODEN: PIXXD2 INVENTOR (5) :

PATENT ASSIGNEE(S): English 2

DOCUMENT TYPE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| | | NO. | | KI | ND | DATE | | | | | | | | DATE | | | |
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| wo. | O 2002046204 | | | A | 1 | 2002 | 0613 | | WO 2001-US47530 20011205 | | | | | | | | |
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| | | GM, | HR, | HU, | ID, | IL. | IN, | IS, | JP, | ΚE, | KG, | ΚP, | KR, | ΚZ, | LC, | LK, | LR, |
| | | LS, | LT, | LU, | LV, | MA. | MD, | MG, | MX, | MN, | MW, | MX, | MZ, | NO, | NZ, | PH, | PL, |
| | | PT, | RO, | RU. | SD, | SE, | SG, | SI, | SK, | SL, | TJ, | TM, | TR, | TT, | TZ, | UA, | UG, |
| | | UZ, | VN, | YU, | ZA, | ZM. | ZW. | AM, | AZ, | BY, | KG. | KZ. | MD, | RU, | TJ. | TH | |
| | RW: | GH, | GM, | KE, | LS. | MW. | MZ, | SD, | SL. | SZ. | TZ. | UG. | ZM. | ZW. | AT. | BE. | CH, |
| | | CY. | DE. | DK. | ES, | FI. | FR, | GB, | GR. | IE. | IT. | LU. | MC. | NL. | PT. | SE. | TR. |
| | | BF. | BJ. | CF. | CG, | CI, | CM, | GA, | GN, | GO. | GV. | ML. | MR. | NE. | SN. | TD. | TG |
| US | 6472 | 372 | | В | 1 | 2002 | 1029 | | Ü | s 20 | 01-7 | 7378 | 9 . | 2001 | 0201 | | |
| US | 2003 | 0136 | 63 | A | 1 | 2003 | 0116 | | | | | | | | | | |
| ΑU | 2002 | 0307 | 08 | A | 5 | 2002 | 0618 | | A | J 20 | 02-3 | 0708 | | 2001 | 1205 | | |
| EP | 1343 | | | | | | | | EP 2001-990950 20011205 | | | | | | | | |
| | R: | AT, | BE. | CH, | DE. | DK, | ES, | FR, | GB. | GR. | IT. | LI. | LU. | NL. | SE. | MC. | PT. |
| | | | | | | FI. | | | | | | | | | | | |

US 2000-251547P P 20001206 US 2001-773788 A 20010201 WO 2001-US47530 W 20011205 PRIORITY APPLN. INFO.:

OTHER SOURCE(S):

MARPAT 137:20548

I 6-O-carbamoyl ketolide derivs. of erythromycin antibacterials of the formula I: wherein Rl and R2 are independently H, alkyl, alkenyl, alkynyl,

ANSWER 13 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 433920-41-3 CAPLUS Carbamic acid, ((2E)-3-(4-(2-pyrimidinyl)phenyl]-2-propenyl]-, (3a5,4R,7R,9R,10R,11R,13R,15R,15R)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[(3,4,6-trideoxy-3-(disethylamino)-8-D-sylo-hexopyranosyl)oxyl-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

PAGE 2-A

433920-43-5 CAPLUS
Carbamic acid, [(2E)-3-[4-{2-pyrimidinyl)phenyl]-2-propenyl]-,
(3a5,48,75,98,108,118,138,158,15aR)-4-ethyl-7-fluorotetradecahydro3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[{3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxyl]oxyl-2Hoxacyclocetradecino(4,3-d]oxacol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L11 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) cycloalkyl, cycloalkenyl, provided thar R1 and R2 are not both Hr R1R2 together are N atom to which they are attached, form an optionally substituted N-contg, heterocycler R3 is H, alkylamine, alkyl, alkowy, alkenylamine, alkenyl, acyl, alkynylamine R4 is H, halogen, CH1 R5 is a H, hydroxy protecting group; R6 is H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclo, arylalkyl, arylalkenyl, alkynyl, cycloalkenyl, alkomyalkyl, alkylthioalkyl; X and X1 are together with the carbon atom to which they are attached, form CO, imine, oxyimine; Y, and Y1 are together with the carbon atom to which they are attached, form CO, CHOH; mine, oxyimine; were prepd. These compds, are useful as antibacterial agents. Thus, carbamic acid ([22]-3-{4-(2-pyrimidinyl)phenyl]-2-propenyl]-(3a5,4R,7R,9R,10R,11R,13R,15R,15AR)-4-ethyltetradecahdydro-3a,79,1l]-(3a5,4R,7R,9R,10R,11R,13R,15R,15AR)-4-ethyltetradecahdydro-3a,79,1l]-(3a5,4R,7R,9R,10R,11R,13R,15R,15AR)-4-ethyltetradecahdydro-3a,79,1l]-(3a5,4R,7R,9R,10R,11R,13R,15R,15AR)-4-ethyltetradecahdicno(4,3-d)oxazol-11-yl ester was prepd. and tested in vitro against E. coll. S. aureus, S. epideraidis, S. pneumoniae, S. pyogenes, Enterococci, Moraxella catarchalis, and H. influenzae M1C values range from 0.03 to > 16 µg/ml. These compds. are particularly useful in the treatment of community-acquired pneumonia, upper and lower respiratory tract infections, skin and soft tissue infections, meningitis, hospital-acquired lung infections, and bone and joint infections. 433919-44-9P 433920-41-3P 433920-43-5P 433920-45-7P 433920-41-3P 433920-51-5P 433920-45-7P 433920-51-49 433920-51-5P 433920-51-7P 433920-75-9P 433920-75-9P 433920-75-8-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); TRU (Menapeutic use); BIOL (Biological study); PREP (Preparation); USES

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation carbamoyl ketolide derivs. of erythromycin useful as

(preparation carbamoyi ketolide derivs. of erythromycin useful as antibacterials) 433919-44-9 CAPUS 2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone, 10-[[2-0-acetyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl] oxy]-11-[(aminocarbonyl) oxy]-4-ethyl-7-fluorooctahydro-3a,7,9,11,3,15-hexamethyl-, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

11 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN ouble bond geometry as shown. (Continued)

PAGE 1-A

PAGE 2-A

433920-45-7 CAPLUS
Carbamic acid, [(ZE)-3-(6-quinoliny1)-2-propeny1]-,
(3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethy1-7-fluorotetradecahydro3a,7,9,11,13,15-hexamethy1-2,6,8,14-tetraoxo-10-[(3,4,6-trideoxy-3(dimethylamino)-6-D-xylo-hexopycanosyl)oxyl-2Hoxacyclotetradecinol(4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-A

RN 433920-49-1 CAPLUS
CN Carbamic acid, ([ZE]-3-(4-pyrazinylphenyl)-2-propenyl]-,
[3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3(dimethylamino)-BD-sylo-hexopyranoyl]cxyl-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-A

RN 433920-48-0 CAPLUS
CN Carbamic acid, [(2E)-3-[4-(3-pyridazinyl)phenyl]-2-propenyl]-,
(3a5,48,75,98,108,118,138,158,15a8)-4-ethyl-7-fluorotetradecahydro3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3(dimethylamino)-#D-sylo-hexopyranoyl]oxyl-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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RN 433920-50-4 CAPLUS

CN Carbamic acid, [[4-(4-pyrimidinyl)phenyl]methyl]-,
(3a5,4R,75,9R,10R,11R,13R,15R,15RR)-4-ethyl-7-fluorotetradecahydro3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3(dimethylamino)-8-D-xylo-hexopyranoxyl]oxyl-27Hoxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

433920-51-5 CAPLUS
Carbamic acid, [(2E)-3-[1-(2-pyrimidinyl)-1H-imidazol-4-yl]-2-propenyl]-,
(3a5,4R,75,9R,10R,11R,13R,15R,15AR)-4-ethyl-7-fluorotetradecahydro3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[{3,4,6-trideoxy-3(dimethylamino)-8-0-xylo-hexopyranoxyl)oxyl-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl/ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

433920-52-6 CAPLUS
Carbamic acid, [[4-(2-pyridinyl)phenyl]methyl]-,
(3a5,48,75,98,108,11R,138,15R,15aR)-4-ethyl-7-fluorotetradecahydro3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-([3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxyl-2Hoxacyclotetradecinol(4,3-d]oxazoi-11-yl ester (9CI) (CA INDEX NAME)

L11 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) Absolute stereochemistry.

433920-57-1 CAPLUS
Carbamic acid, [2-[[4-(2-pyrimidinyl)phenyl]methoxy]ethyl]-,
(3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3(dimethylamino)-8-0-xylo-hexopyraonayl)psyl-2moxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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L11 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-A

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433920-72-0 CAPLUS
Carbamic acid, [(ZE)-3-[4-(2-pyrimidiny1)pheny1]-2-propeny1]-,
(385,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-14(hydroxyimino)-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-8-p-xylo-hexopyranoxyl)oxyl-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or 2.

PAGE 2-A

433920-73-1 CAPLUS
Carbamic acid, [(2E)-3-[1-(2-pyrimidinyl)-1H-imidazol-4-yl]-2-propenyl]-,
(3as, 4R, 7s, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7-fluorotetradecahydro-14(hydroxyimino)-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6,8-trioxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy)-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

433920-74-2 CAPLUS
Carbamic acid, [(ZE)-3-[4-(3-pyridazinyl)phenyl]-2-propenyl]-,
(3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-14(hydroxyimino)-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-{(3,4,6-tridecxy-3-(dimethyl)amino)-9-D-xylo-hexopyranoxyl)oxyl-ZHoxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or 2.

PAGE 1-A

L11 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN Double bond geometry as described by E or 2. (Continued)

433920-77-5 CAPLUS
Carbamic acid, [[4-(2-pyrimidinyl)phenyl]methyl]-,
(3a5, 4R, 75,9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7-fluorotetradecahydro-14(hydroxyimino)-3a, 7, 9, 11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy3-(dimethylamino)-P-D-xylo-hexopyranosyl)oxyl-2Hoxacyclotetradecino[4,3-d)oxazol-11-yl ester [9CI] (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued) PAGE 2-A

433920-75-3 CAPLUS
Carbamic acid, [[4-(2-pyrimidinyloxy)phenyl]methyl]-,
(3a5,48,75,98,108,118,138,158,15a8)-4-ethyl-7-fluorotetradecahydro-14(hydroxyimino)-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[[3,4,6-trideoxy3-(dimethylamino)-9-D-xylo-hexopyranoxyl]oxyl-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

433920-76-4 CAPLUS
Carbamic acid, [(ZE)-3-(3-quinoliny1)-2-propeny1]-,
(3a, 4R, 75, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7-fluorotetradecahydro-14(hydroxyimino)-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8-trioxo-10-[[3, 4, 6-trideoxy-3-(dimethylamino)-8-p-xylo-hexopyyranoxyl) oxyl-2Hoxacyclotetradecino[4, 3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

433920-78-6 CAPLUS
Carbamic acid, [(2E)-3-phenyl-2-propenyl]-, (3as,4R,75,9R,10R,11R,13R,15R, 15R,15R)-4-4-thyl-7-fluorotetradecahydro-14-(hydroxyimino)-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[(3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxyl)oyl-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as described by E or Z.

433920-79-7 CAPLUS
Carbamic acid, [(2E)-3-(6-bromo-3-pyridinyl)-2-propenyl]-,
(3a5,4R,75,9R,10R,11R,13R,15R,15R)-4-ethyl-7-fluorotetradecahydro-14(hydroxyimino)-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[{3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl}oxy]-2H-

L11 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) oxacyclotetradecino[4,3-d]oxacycl-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or 2.

433920-81-1 CAPLUS
Carbamic acid, [(ZE)-3-(3-pyridinyl)-2-propenyl)-,
(3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-14(hydroxyimino)-3a,7,9,11,13,15-hexamethyl-2,6,8-trioxo-10-[(3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl)oxyl-2Roxacyclotetradecinol(4,3-d)oxazol-11-yl-ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as described by E or Z.

L11 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

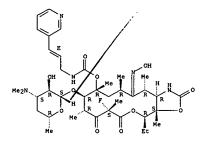
PAGE 1-A

PAGE 2-A

43920-42-4
RL: RCT (Reactant): RACT (Reactant or reagent)
(preparation carbamoyl ketolide derivs. of erythromycin useful as
antibacterials)
43920-42-4 CAPLUS
2H-Oxacyclotetradecino(4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
11-[(aminocarbonyl) oxy]-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15hexamethyl-10-[[3,4,6-tctdeoxy-3-(dimethylamino)-B-D-xylohexogyranosyl]oxy]-, (3a5,4R,7R,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

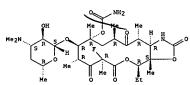
L11 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



433922-58-8 CAPLUS
Carbamic acid, [(ZE)-3-[4-(4-pyrimidinyl)phenyl]-2-propenyl]-,
(3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetracxo-10-[(3,4,6-trideoxy-3(dimethylamino)-β-0-xylo-hexopyranoxyl)oxyl-2Hoxacyclotetradecino[4,3-d]oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



433919-43-8P 433920-71-9P 433920-80-0P
RL: RCT (Reactant) SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) [PREP (Preparation carbamoyl ketolide derivs. of erythromycin useful as antibacterials) [PREP (Preparation carbamoyl ketolide derivs. of erythromycin useful as antibacterials) [PREP (PREP (PREP) PREP (PREP) PREP (PREP) [PREP (PREP) [PREP) [PREP (PREP) [PREP (PREP) [PREP) [PREP (PREP) [PREP) [PREP (PREP) [PREP (PREP) [PREP) [PREP (PREP) [PREP) [PREP (PREP) [PREP (PREP) [PREP) [PREP) [PREP (PREP) [PREP) [PREP) [PREP (PREP) [PREP) [PREP (PREP) [PREP) [PREP) [PREP) [PREP (PREP) [PREP) [

Absolute stereochemistry.

433920-71-9 CAPLUS

433920-71-9 CAPLUS
2H-OxacyClotetradecino [4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[[2-0-acetyl-3,4,6-trideoxy-3-(dimethylamino)-β-0-xylohexopyranosyl] oxy]-11-[(aminocarbonyl) oxy]-4-ethyl-7-fluorooctahydro3a,7,9,11,73,15-hexamethyl-,14-(0-acetyloxime),
(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

10/075,635

L11 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

433920-80-0 CAPLUS
Carbamic acid, [(2E)-3-(3-pyridinyl)-2-propenyl]-,
(3a5,4R,75,9R,10R,11R,13R,15R,15aR)-14-(acetyloxy)imino]-10-{{2-0-acetyl-3,4,6-trideoxy-3-(dimethylamino]-β-D-xylo-hexopyranoxyl]oxyl-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,3,15-hexamethyl-2,6,8-trioxo-2H-oxacyclotetradecino{4,3-d}oxazol-11-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as described by ${\tt E}$ or ${\tt Z}.$

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT REFERENCE COUNT:

L11 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

(Uses)
(prepn. of tricyclic erythromycin derivs. as Protozoacides and antibacterial agents)
42572-03-0 CAPLUS
1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-3a,4,7,9,11,13,15-heptamethyl-11-[3-(8-quinolinyl)propoxy]-10-[(3,4,6-trideoxy-3-(dimethylamino)-8-D-exylo-hexopyranosyl)oxyl,
(3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

422572-05-2 CAPLUS
1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8(7H,9H)-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro3a,7,9,11,13,15-hexamethyl-11-[3-(8-quinolinyl)propoxy]-10-[(3,4,6trideoxy-3-(dimethylamino)-9-D-xylo-hexopyranoxyl)oxyl-,
(3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

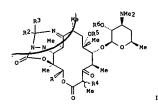
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ANSWER 14 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
2002:39227 CAPLUS
100CHQNT NUMBER: 2002:39227 CAPLUS
11TILE: 15:369948
Preparation of tricyclic erythromycin derivatives as Protozoacides and antibacterial agents
Wu, Yong-Jin
USA
U.S. Pat. Appl. Publ., 12 pp.
CODEN: USAXCO
Patent

DOCUMENT TYPE: Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

US 2002061856 A1 20020523
PRIORITY APPLN. INFO:
OTHER SOURCE(S): MARPAT 135-7 APPLICATION NO. 20000424



Tricyclic erythromycins I wherein R is alkyl, alkenyl, alkynyl, wherein one or two carbons of said alkyl, alkenyl, and alkynyl groups are optionally replaced by a heteroatom; RI-R3 are independently H, alkyl, alkenyl, alkynyl, heterocycle; R2 and R3 together with the carbon to which they are attached form a 3 to 10 membered carbocyclic ring in which one or two carbons are optionally replaced by a heteroatom; R4 is H, alkyl, OH, alkoxy, aryloxy, maine, halo; R5 is alkyl, alkenyl, and alkynyl; R6 is H, ester, acyl; were prepared and used in the treatment of bacterial and Protozoa infections. Thus, I (R = Me; R1 = 3-quinolin-4-yl-propyl; R2, R3, R4, R6 = H; R5 = Me) was prepared and tested in mice for its antibacterial activity (no data).

422572-03-09 422572-05-29 422572-07-49 422572-07-69 422572-07-99 422572-03-29 422572-05-99 42572-05-99 422572-05-99 42572-05-99 42572-05-99 42

ANSWER 14 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 422572-07-4 CAPLUS 1,14-[Iminometh-thanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (PH,9H)-trione, 7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-3a,4,7,9,11,13,15-heptamethyl-11-[[3-(8-quinolinyl)-2-propenyl)oxy]-10-[[3,4,6-trideoxy-3-(dimethylamio)-β-D-xylo-hexopyranoxyl]oxy]-, (3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

422572-09-6 CAPLUS
1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8(7R,9H)-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro3a,7,9,11,13,15-hexamethyl-11-[[3-(8-quinolinyl)-2-propenyl]oxyl-10[[3,4,6-trideoxy-3-(dimethylanino)-B-D-xylo-hexopyranosyl]oxyl-,
(3a5,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 422572-13-2 CAPLUS
CN 1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8(7H,9H)-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro3a,7,9,11,13,15-hexamethyl-1-1[-2[(4-pyridinylmethyl)amino]ethoxyj-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-,
(3a5,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 422572-15-4 CAPLUS
CN 1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (7H,9H)-trione, 7-fluoro-3a,4,10,11,12,13,15,15a-octahydro3a,4,7,9,11,13,15-heptamethyl-11-[2-[(4-quinolinylmethyl)amino]ethoxy]-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranomyl]oxy]-,
(3a5,4R,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

L11 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
422571-57-19 422571-59-39 422571-61-79
422571-63-99 422571-57-19 422571-67-39
422571-63-95 422571-71-99 422571-73-19
422571-73-39 422571-77-59 422571-73-79
422571-81-19 422571-83-39 422571-83-55
422571-81-79 422571-83-79 422571-91-39
422571-93-59 422571-93-79 422571-97-99
422571-93-59 422571-95-79 422571-97-99
R1: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)
(prepn. of tricyclic erythromycin derivs. as protozoacides and antibacterial agents)
N 422571-16-2 CAPLUS
1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy-3a,4,7,9,11,13,15-heptamethyl-18-[3-(4-quinolinyl)propyl)-10-[[3,4,6-trideoxy-3-(dimethylamino)-Po-xylo-hexopyranosyl]oxyl-, (3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 422571-18-4 CAPLUS
CN 1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino(4,3-d)oxazole2,6,8 (7H,9H)-troine, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11methoxy-3a,7,9,11,13,15-hexamethyl-18-[3-(4-quinoliny!)propyl]-10-[[3,4,6tridoxy-3-[dimethylamino]-9-D-sylo-hexopyranosyl]oxy]-,
(3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.

Me R Me R NNMe2

OH OH

422572-17-6 CAPLUS

RN 422572-17-6 CAPLUS
CN 1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (7H,9H)-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro3a,7,9,11,13,15-hexamethyl-11-[2-[(4-quinolinylmethyl)amino]ethoxy]-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl]oxy]-,
[335,44,89,R,108,11R,13R,15S,15aR)-(9Cx)) (CA INDEX NAME)

Absolute stereochemistry.

IT 422571-16-2P 422571-18-4P 422571-20-8P 422571-22-0P 422571-26-4P 422571-26-4P 422571-28-6P 422571-30-0P 422571-32-2P 422571-34-4P 422571-36-6P 422571-34-6P 422571-46-8P 422571-46-8P 422571-48-6P 422571-48-6P 422571-51-5P 422571-51-5P 422571-51-5P

L11 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 422571-20-8 CAPLUS
CN 1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (7H,9H)-trione, 7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy3a,4,7,9,11,13,15-heptamethyl-18-[3-(5-quinolinyl)propyl)-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl)oxy]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 422571-22-0 CAPLUS

L11 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1,14-{Iminomethanonitrilo}-2H-oxacyclotetradecino[4,3-d] oxazole2,6,8 [7H,9H]-trione, 4-ethyl-7-f[uoro-3a,4.10,11,12,13,15,15a-octahydro-11methoxy-3a,7,9,11,13,15-hexamethyl-18-[3-(5-quinolinyl)propyl]-10-[[3,4,6trideoxy-3-(dimethylamino)-B-D-xylo-hexopycanosyl]oxy]-,
(3a5,48,9R,10R,11R,13R,15R,15R,15AR)-(SCI) (CA INDEX NAME)

Absolute stereochemistry.

RN 422571-24-2 CAPLUS
CN 1,14-{[Iminomethanonitrilo}-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (7H,9H)-trione, 7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy3a,4,7,9,11,13,15-heptamethyl-18-[3-(8-quinolinyl)propyl]-10-[[3,4,6trideoxy-3-(dimethylamino)-PD-sylo-hexopyranosyl]oxyl(3as,4R,9R,10R,11R,13R,15R,15R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 422571-28-6 CAPLUS
CN 1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole2,6.8 (7H,9H)-trione, 7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy18-[3-(7-methoxy-4-quinolinyl)propyl]-3a,4,7,9,11,13,15-heptamethyl-10[[3.4,6-trideoxy-3-(dimethylamino)-9D-oxylo-hexopyypranosyl]oxy]-,
(3as,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 422571-30-0 CAPLUS

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L11 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 422571-26-4 CAPLUS
CN 1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 [7H,9H]-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11methoxy-3a,7,9,11,13,15-hexamethyl-18-[3-(8-quinolinyl)propyl]-10-[[3,4,6trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl]oxy](3a5,4R,9R,10R,11R,13R,15R,15RR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d)oxazole2,6,8 [7H,9H)-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11methoxy-18-(3-(7-methoxy-4-quinolinyl)propyl]-3a,7,9,11,13,15-hexamethyl10-[(3,4,6-trideoxy-3-(dimethylamino)-B-0-xyl-hexopytanosyl]oxy]-,
(3as,4R,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 422571-32-2 CAPLUS
CN 1.14 - (Iminomethanonitrilo) - 2H-oxacyclotetradecino[4, 3-d] oxazole2.6, 8 (7H, 9H) - trione, 7-fluoro-3a, 4, 10, 11, 12, 13, 15, 15a-octahydro-11-methoxy3a, 4, 7, 9, 11, 13, 15-heptamethyl-18-[3-(4-phenyl-1H-imidazol-1-γl)propyl]-10[[3, 4-6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl]oxy]-,
(3aS, 4R, 9R, 10R, 11R, 13R, 15R, 15aR)- (9CI) (CA INDEX NAME)

ANSWER 14 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 422571-34-4 CAPLUS 1,14-{Ininomethanonitrilo}-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H]-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-18-{3-(4-phenyl-1H-imidazol-1-yl)propyl]-10-[[3,4,6-trideoxy-3-(dimethylamino)-9-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

422571-36-6 CAPLUS
1,14-[Iminomethanonitrilo]-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8(7H,9H)-trione, 7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy3a,4,7,9,11,13,15-heptamethyl-18-[3-(4-pyridinyl)propyl]-10-[{3,4,6trideoxy-3-(dimethylamino]-B-p-xylo-hexopyranoxyl]oxy]-,
(3as,4R,9R,10R,11R,13R,15R,15RR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

422571-38-8 CAPLUS
1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole-

L11 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (3as,4R,9R,10R,11R,13R,15R,15aR) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

422571-44-6 CAPLUS
1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8(7H,9H)-trione, 7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy3a,4,7,9,11,13,15-heptamethyl-18-[3-(2-pyridinyl)propyl]-10-[{3,4,6-tridoxy-3-(dimethylamino)-B-D-xylo-hexopyranoyl]oxyl,
(3a,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

422571-46-8 CAPLUS
1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8(7R,9H)-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11methoxy-3a,7,9,11,13,15-hexamethyl-18-[3-(2-pyridinyl)propyl]-10-[[3,4,6trideoxy-3-d(dimethylamino]-B-O-xylo-hexopyranosyl]oxyl-,
(3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 2,6,8 (7H,9H)-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-18-(3-(4-pyridinyl)propyl)-10-({3,4,6-trideory-3-(dimethylamino)-p8-pxylo-hexpyranosyl)oxy}-, (3aS,4R,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

422571-40-2 CAPLUS
1,14 (Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (H),9H)-trione, 7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy3a,4,7,9,11,13,15-heptamethyl-18-[3-(3-pyridinyl)propyl)-10-[[3,6,6-trideoxy-3]-d(dimethylamino)-B-D-xylo-hexopyrenoxyl)oyl-[9C1] (CA)

Absolute stereochemistry.

422571-42-4 CAPLUS
1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (7H,9H)-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,5,15a-octahydro-11methoxy-3a,7,9,11,13,15-hexamethyl-18-[3-(3-gyridinyl)propyl]-10-[[3,4,6trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-,

L11 ANSWER 14 OF 27 CAPLU COPYRIGHT 2004 ACS on STN (Continued)

422571-48-0 CAPLUS
1,14-{Iminomethanonitrilo}-ZH-oxacyclotetradecino[4,3-d]oxazole2,6,8(7H,9H)-trione, 7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy3a,4,7,9,11,3,15-heptamethyl-118-{3-{4-(3-pyridinyl)-1H-imidzol-1yl]propyl]-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylohexopyranosyl]oxy]-, (3a5,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

422571-50-4 CAPLUS
1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d] oxazole2,6,8 (7H,9H)-trione, 7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy3a,4,7,9,11,13,15-heptamethyl-18-(3-phenylpropyl)-10-[[3,4,6-trideoxy-3(dimethylamino)-β-D-xylo-hexopyranosyl] oxyl-,
(3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

422571-51-5 CAPLUS
1,14-{Iminomethanonitrilo}-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8(7H,9H)-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11methoxy-3a,7,9,11,13,15-hexamethyl-18-[3-[4-(3-pyridinyl)-HH-imidazol-1yl]propyl]-10-[[3,4,6-trideoxy-3-(dimethylamino)-P-D-xylohexopyranosyl]oxy]-, (3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

422571-53-7 CAPLUN
1,14-[Imin-thanonitrilo]-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8(7H,9H)-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11methoxy-3a,7,9,11,13,15-hexamethyl-1B-(3-phenylpropyl)-10-[(3,4,6-trideoxy3-(dimethylamino]-6-D-xylo-hexopycanosyl)oxyl-,
3a,44,9,8,108,118,13a,158,15ah]-(9CI) (CA INDEX NAME)

L11 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

422571-59-3 CAPLUS
1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)-trione, 7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-18-[3-(3H-imidazo[4,5-b]pyridin-3-yl)propyl)-11-methoxy-3a,4,79,91,13,15-heptamethyl-10-[(3,4,6-trideoxy-3-(dimethylamino)- β -D-xylohexopyranosyl]oxy]-, (3a5,4R,9R,10R/11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

422571-61-7 CAPLUS
1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (7H,9H)-trione, 7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy3a,4,7,9,11,13,15-heptamethyl-18-[-3-(2-phenyl-5-thiazoly1)propyi]-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-,
(3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

L11 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN Absolute stereochemistry. (Continued)

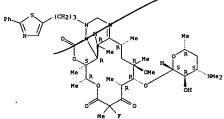
422571-55-9 CAPLUS
1,14-{Iminomethanonitrilo}-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8(7H,9H)-trione, 7-fluoro-18-[3-(3-fluorophenyl)propyl]3,4,10,11,12,13,15,15a-octahydro-11-methoxy-3a,4,7,9,11,13,15-heptamethyl10-[(3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-,
(3aS,4R,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

422571-57-1 CAPLUS
1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d] oxazole2,6,8(7H,9H)-trione, 4-ethyl-7-fluoro-18-{3-(3-fluorophenyl)propyl]3a,4,10,11,12,13,15,15a-octahydro-11-methoxy-3a,7,9,11,13,15-bexamethyl-10[(3,4,6-trideoxy-3-(dimethylamino)-8-D-vylo-hexopycanosyl]oxy]-,
(3aS,4R,9R,10R,11R,13R,15R,15RR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2084 ACS on STN (Continued)



422571-63-9 CAPLUS
1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8(7H,9H)-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-183a-(3H-imidazo[4,5-b]pyridin-3-yl)propyl]-11-methoxy-3a,7,9,11,13,15hexamethyl-10-{[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexopyranosyl]oxy]-, (3a5,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

422571-65-1 CAPLUS
1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (7H,9H)-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11methoxy-3a,7,9,11,13,15-hexamethyl-18-[3-(2-phenyl-5-thiazolyl)propyl]-10[3,4,6-trideoxy-3-(dimethylamio)-B-D-xylo-hexopyranosyl]oxy]-,
(3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

422571-67-3 CAPLUS
1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (7H,9H)-trione, 7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy3a,4,7,9,11,13,15-heptamethyl-18-[3-[2-(4-pyidinyl)-4-thiazolyl]propyl]10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-wylo-hexopycanosyl]oxy]-,
(3aS,4R,9R,10R,11R,13R,13R,15R,15R)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

422571-69-5 CAPLUS

4225/1-16)-9-5 CAPLIN 1,14-[in-th-hanonitrilo]-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 18-[3-(1H-benzimidazol-1-yl)propyl]-7-fluoro-3a,4,10,11,12,13,15,15-a-octahydro-11-methoxy-3a,4,7,9,11,13,15-heptamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino]-P-D-xylo-hexopyranosyl]oxy]-, (3as,44,8),10,11,11,138,158,15aN, [3CH] (SCH) (CA INDEX NAME)

L11 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.

422571-75-3 CAPLUS
1,14-{Iminomethanonitrilo}-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8(7H,9H)-trione, 7-fluoro-3a,4,10,11,12,13,15,15a-octahydro3a,4,7,9,11,13,15-heptamethyl-11-{[3-(4-pyridinyl)-2-propenyl]oxy]-10[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopycanoxyl]oxy]-,
(3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

422571-77-5 CAPLUS
1,14-{Iminomethanonitrilo}-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8(7H,9H)-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro3a,7,9,11,13,15-hexamethyl-11-[[3-(4-pyridinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-dimethylamino]-B-D-xylo-hexopyranoxyl]oxyl(JaS,4R,9R,10R,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

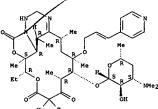
L11 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN Absolute stereochemistry. (Continued)

422571-71-9 CAPLUS
1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8(7H,9H)-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11methoxy-3a,7,9,11,13,15-hexamethyl-18-[3,-[2-(4-pyridinyl)-4thiazolyl]propyl]-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylohexopyranosyl]oxy]-, (3as,4R,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

422571-73-1 CAPLUS
1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8(7H,9H)-trione, 18-[3-(1H-benzimidazol-1-yl)propyl]-4-ethyl-7-fluoro3,4,10,11,12,13,15,15a-octahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-10[[3,4,6-trideoxy-3-(dimethylamio)-PD-xyl)-hexopyranoxyl]oxy]-,
(3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

L11 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



422571-79-7 CAPLUS
1,14-{Iminomethanonitrilo}-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (7H,9H)-trione, 7-fluoro-3a,4,10,11,12,13,15,15a-octahydro3a,4,7,9,11,13,15-heptamethyl-11-{3-(4-quinolinyl)propoxy}-10-[{3,4,6-trideoxy-3-(dimethylamino}-8-0-xylo-hexopyranosyl)oxyl-(3a,4,6-trideoxy-3-(dimethylamino)-8-0-(dimethyl-11,6-trideoxy-3-(dimethyl-11,78,15R,15AR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

422571-81-1 CAPLUS
1,14-(Iminosethanonitrilo)-ZH-oxacyclotetradecino [4,3-d] oxazole2,6,8 (7M,8)+trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro3a,7,9,11,13,15-hexamethyl-11-[3-(4-quinolinyl)propoxy]-10-[{3,4,6trideoxy-3-(dimethylamino)-B->-xylo-hexopy(zanoxyl)loxy]-,
(3aS,4R,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

422571-83-3 CAPLUS
1,14-{Iminomethanonitrilo}-2H-oxacyclotetradecino(4,3-d)oxazole2,6,8(7H,9H)-trione, 7-fluoro-3a,4,10,11,12,13,15,15a-octahydro3a,4,7,9,11,13,15-heptamethyl-11-[[3-(4-quinolinyl)-2-propenyl]oxy]-10[[3,4,6-trideoxy-3-(dimethylamino)-B-D-wylo-hexopyranoxyl]oxy]-,
(3aS,4R,9R,10R,11R,13R,15R,15RR)- (9CI) (CA INDEX NAME)

422571-85-5 CAPLUS
1,14- (Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (7H,9H)-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-

L11 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 3a,7,9,11,13,15-hexamethyl-11-[(3-(4-quinolinyl)-2-propenyl]oxy]-10-[(3,4,6-trideoxy-3-(dimethylamino)-β-D-rxylo-hexopyranoxyl]oxy]-, (3a5,48,98,108,118,138,158,158)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

422571-87-7 CAPLUS
1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8(PR,9H)-trione, 7-fluoro-3a,4,10,11,12,13,15,15a-octahydro3a,4,7,9,11,13,15-heptamethyl-11-[3-(5-quinolinyl)propoxy)-10-[(3,4,6-trideoxy-3-dimethylamino)-6D-oxylo-bxeopycanoxyl]oxy]-,
(3a5,4R,9R,10R,11R,13R,15R,15RN)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

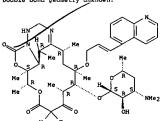
422571-89-9 CAPLUS
1.14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (7H,9H)-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro3a,7,9,11,13,15-hexamethyl-11-[3-(5-quinolinyl)propoxy]-10-[(3,4,6trideoxy-3-(dimethylamino)-B-0-xylo-hexopyranoxyl)oxyl-,
(3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

422571-91-3 CAPLUS $1,14-\{Iminomethanonitrilo\}-2H-oxacyclotetradecino[4,3-d] oxazole-2.6,8 (7H,9H)-trione, 7-fluoro-3a,4,10,11,12,13,15-a-otahydro-3a,4,7,9,11,13,15-heptamethyl-11-[{3-(5-quinolinyl)-2-propenyl]oxy]-10-[{3,4,6-trideoxy-3-(dimethylamino}-<math>\beta$ -D-xylo-hexopyranosyl]oxy]-,

L11 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (3as, 4R, 9R, 10R, 11R, 13R, 15R, 15aR) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



422571-93-5 CAPLUS
1,14-[Iminomethanonitrilo]-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8[7],8]-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro3a,7,9,11,13,15-hexamethyl-11-[[3-(5-quinolinyl)-2-propenyl]oxy]-10[[3,4,6-trideoxy-3-(dimethylamino]-8-D-svylo-hexopyranosyl]oxy]-,
[3aS,4R,9R,10R,1]R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

422571-95-7 CAPLUS
1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino(4,3-d]oxazole2,6,8(7M,9H)-trione, 11-{3-(1H-benzimidazol-4-yl)propoxyl-7-fluoro3a,4,10,11,12,13,15,15a-octahydro-3a,4,7,9,11,13,15-heptamethyl-10-[[3,4,6trideoxy-3-(dimethylamino)-B-D-xylo-hexopycanoxyl)goxyl-,
(3a5,4R,9R,10R,11R,13R,15R,15RR)- (9CI) (CA INDEX NAME)

422571-97-9 CAPLUS
1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d] oxazole2,6,8(7R,9H)-trione, 11-{3-(1H-benzimidazol-4-yl)propoxy}-4-ethyl-7-fluoro3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6trideoxy-3-(dimethylamino)-8-0-ylo-hexopytanoxyl)oxyl,
(3aS,4R,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

422571-99-1 CAPLUS
1,14-{Ininomethanonitrilo}-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8(7M,9H)-trione, 11-[[3-{IH-benzimidazol-4-yl}-2-propenyl]oxy]-7fluoro-3a,4,10,11,12,13,15,15a-octahydro-3a,4,7,9,11,13,15-heptamethyl-10[[3,4,6-trideoxy-3-(dimethylamino)-p-D-xylo-hexopyranoxyl]oxy]-,
(3a5,4R,9R,10R,11R,13R,15R,15R)-(9CI) (CA INDEX NAME)

L11 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) and antibacterial agents)
RN 422572-11-0 CAPLUS
CN 1,14-(Iminomethanonitrilo)-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8 (7H,9H)-trione, 7-fluoro-3a,4,10,11,12,13,15,15a-octahydro3a,4,7,9,11,13,15-heptamethyl-11-[2-[(4-pyridinylmethyl)amino]ethoxy]-10[[3,4,6-trideoxy-3-(dimethylamino]-6-bxylo-hexopyranoxyl]oxy]-,
(3as,4R,9R,10R,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN Absolute stereochemistry.
Double bond geometry unknown. (Continued)

422572-01-8 CAPLUS
1,14-[Iminomethanonitrilo]-2H-oxacyclotetradecino[4,3-d]oxazole2,6,8(7M,9H)-trione, 11-[[3-(IH-benzimidazol-4-yl)-2-propenyl]oxy]-4-ethyl7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-3a,7,9,11,13,15-hexamethyl-10[[3,4,6-trideoxy-3-(dimethylamino]-6P-D-xylo-hexopyranosyl]oxy]-,
(3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

IT 422572-11-0P
RJ: BSU (Biological study, unclassified): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (Uses)
(reduction agent; preparation of tricyclic erythromycin derivs. as Protozoacides

ANSWER 15 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN SION NUMBER: 2002:314957 CAPLUS ENT NUMBER: 136:310123 CAPIUS

136:310123

Preparation of antibacterial 6-0-substituted erythromycin derivatives having improved gastrointestinal tolerance
Ma, Zhenkun; Pham, Ly Tam; Clark, Richard F.; Zhang, Souming; Djuric, Stevan
Abbott Laboratories, USA
PCT Int. Appl., 73 pp.
CODEN: PIXXD2

Patent
English
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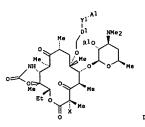
INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PA | ATENT | NO. | | KI | ND | DATE | | | A | PPLI | CATI | ON N | ٥. | DATE | | | |
|-------|-------|-------|-----|-----|-----|------|------|-----|-----|------|------|------|-----|------|------|-----|-----|
| WC | 200 | | | A | 2 | 2002 | 0425 | | W | 0 20 | 01-U | 5322 | 78 | 2001 | 1016 | | |
| WC | 200 | 20329 | 19 | A | 3 | 2002 | 0919 | | | | | | | | | | |
| | W: | AE, | AG, | AL. | AM. | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
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| | | UZ. | VN. | YU. | ZA. | ZW, | AM, | AZ, | BY, | KG, | KZ, | MD, | RU, | TJ. | TM | | |
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| | | BJ. | CF. | CG. | CI. | CH, | GA. | GN. | GO. | GW. | ML. | MR. | NE. | SN. | TD. | TG | |
| AL | 200 | | | | | 2002 | | | | | | | | | | | |
| EF | 132 | 8534 | | A | 2 | 2003 | 0723 | | E | P 20 | 01-9 | 8163 | 7 | 2001 | 1016 | | |
| | R: | AT. | BE. | CH. | DE. | DK. | ES. | FR. | GB. | GR. | IT. | LI. | LU. | NL. | SE. | MC. | PT. |
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WO 2001-US32278 W 20011016 CASREACT 136:310123; MARPAT 136:310123 OTHER SOURCE(S):



Macrolide erythromycins I wherein D1 is C.tplbond.C, CH=CH, Y1 soxazole, oxazole, isothiazole, dihydroisoxazole, dihydroixazole; X is H, F; Al is

Lil Answer 15 of 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) aryl, hetercaryl; Rl is H, hydroxyl protecting group, were prepd. for treating bacterial infections while avoiding the concomitant liability of gastrointestinal intolerance. Thus, I wherein Dl is C.tplbond.C, Yl is isoxazol-3,5-diyl, Al is pyrid-2-yl, X is fluoride, Rl is hydrogen was prepd. and demonstrated an unexpected gastrointestinal tolerance. The total daily dose of the compds. administered to a patient in single or divided doses can be in ants. from about 0.1 to about 200 mg/kg body vt. or preferably from about 0.25 to about 100 mg/kg body vt. This enhanced gastrointestinal tolerability represents a significant advantage for the compds. of this invention. These compds. will have an improved side effect profile when compared with the erythromycin derivs, of the prior art. Patients consuming these compds. will experience a reduced incidence of nausea, vomiting, gastrointestinal discomfort, cramping, and other GI side effects typically assocd with erythromycin therapy. The compds. showed antibacterial activity in vitro with MIC's in a range of about 0.004 µg/ml. to about 128-µg/ml, in a more preferred range, the compds, inhibited the growth of bacteria with MIC's in a range of about 0.004 µg/ml. to about 2 µg/ml, and in a most preferred range, the compds, inhibited the growth of bacteria with MIC's in a range of about 0.004 µg/ml. to about 4 µg/ml. I.
1 412045-93-69 412047-92-09 412047-30-99
RL: PAC (Pharmacological activity): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (Uses)
(preparation of antibacterial 6-0-substituted erythromycin derivs, having improved gastrointestinal tolerance)

(Uses)
(preparation of antibacterial 6-O-substituted erythromycin derivs. having improved gastrointestinal tolerance)
412046-93-6 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,3,15-hexamethyl-11-{[3-[3-(2-py:idinyl)-5-isoxazolyl]-2-propynyl]oxyl-10-[[3,4,6-trideoxy-3-(dimethylamino]-8-D-xylo-hexopyranopyl]oxyl(3aS,4R,7S,9R,1OR,11R,13R,15R,15gR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

412047-22-4 CAPLUS 2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone, 11-[[3-[3-(3-chloroimidazo[2,1-b]thiazol-2-yl]-5-isoxazolyl]-2-

L11 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

412047-28-0 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
11-[[3-[3-3,4-difluorophenyl]-5-isoxazolyl]-2-propynyl]oxy]-4-ethyl-7fluorooctahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3(dimethylamino)-B-D-xylo-hexopycanosyl)oxy]-,
(3a5,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

412047-30-4 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[{3-{3-(5-pyrimidinyl)-5-isoxazolyl)-2-propynyl]oxyl-10-[{3,4,6-trideoxy-3-(dimethylamino)-6-pxylo-hexopyranosyl]oxyl-, (3a5,4R,75,9R,10R,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) propynyl]owy]-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-10-[(3.4.6-tridoxy-3-(dimethylamino)=Po-P-xylo-hexpyqranoxyl[oxy]-, (Jas,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

412047-24-6 CAPLUS 2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[3-(5-thiazolyl)-5-isoxazolyl)-2-propyhyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-(36,48,75,9R,10R,11R,13R,15%,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Me₂N

412047-26-8 CAPLUS 2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[(3-[3-(2-thiazolyl)-5-isoxazolyl)-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-wylo-hexopyranosyl]oxy]-(35,48,75,9R,10R,11R,13R,15R,15R)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

412047-34-8 CAPLUS 2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14 (1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[{3-{3-{2-methyl-2H-tetrazol-5-yl}-5-isoxazolyl}-2-propynyl]oxy]-10-[{3,4,6-trideoxy-3-(dimethylamino)-8-D-sylo-hexopyranosyl]oxy]-, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

412047-42-8 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[3-(3-quinoliny)]-5-isoxazolyl]-2-pcopynylloxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopytanosyl]oxy]-,
(3a5,4R,75,9R,10R,11R,13R,15R,15RR)- (9CI) (CA INDEX NAME)

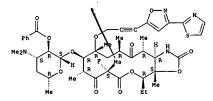
412046-92-5 412047-23-5 412047-25-7
412047-27-9 412047-29-1 412047-31-5
412047-33-9 412047-43-9
RL: RCT (Reactant) RACT (Reactant or reagent)
(preparation of antibacterial 6-0-substituted erythromycin derivs. having improved gastrointestinal tolerance)
412046-92-5 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[[2-0-bexocyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propynyloxy)-, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

412047-23-5 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[{2-0-bexoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexopyranosyl]oxy]-11-[[3-[3-(3-chloroimidazo[2,1-b]thiazol-2-yl)-5isoxazolyl]-2-propynyl]oxy]-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15hexamethyl-, (3a5,8R,75,9R,10R,11R,13R,15R,15aN)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



412047-29-1 CAPLUS
2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(IH,7H,9H)-tetrone,
10-[[2-0-bexoyl-3,4,6-trideoxy-3-(dimethylamino)-B-D-xylohexopyranosyl] oxyl-11-[[3-[3-(3,4-difluorophenyl)-5-isoxazolyl]-2propynyl] oxyl-4-ethyl-7-fluorocotahydro-3a,7,9,11,3,15-hexamethyl-,
[3a5,4R,75,9R,10R,11R,13R,15R,15aB)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

L11 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

412047-25-7 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-0-xylohexopyranosyl]oxy]-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11[[3-[3-[5-thiazolyl]-5-siaoxazolyl]-2-propyyl]oxy](3aS,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

412047-27-9 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[{2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexopyranosyl]oxy]-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11[[3-[3-(2-thiazolyl)-5-isoxazolyl]-2-propynyl]oxy]-,
3as,4R,7s,9R,10R,11R,13R,15R,15aB)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 2-A

412047-31-5 CAPLUS
2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[(2-0-benzoyl-3,4,6-tridecxy-3-(dimethylamino)-β-D-xylohexopyranosyl]oxyl-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11[[3-[3-(5-pyrimidinyl)-5-isoxazolyl]-2-propynyl]oxyl-,
(3aS,4R,7S,9R,10R,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)

412047-35-9 CAPLUS
2H-Owacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[{2-0-bexopyl-3,4,6-crideoxy-3-(dimethylamino)-β-D-xylohexopyranosyl]oxy]-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11[[3-[3-(2-methyl-2H-tetrazol-5-yyl)-5-isoxazolyl]-2-propynyl]oxy]-,
[3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

412047-43-9 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-{{2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexopyranoxyl]oxyl-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11[[3-{3-(3-quinolinyl)-5-isoxazolyl]-2-propynyl]oxyl-,
(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

412046-91-4P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

USES (Uses)
(preparation of antibacterial 6-O-substituted erythromycin derivs. having improved gastrointestinal tolerance)
412046-91-4 CAPLUS
2H-Oxacyclotetradecino(4,3-d) oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[(2-O-benzoyl-3,4,6-trideoxy-3-d(dimethylamino)-B-D-xylo-hexopyranoxyloxy|-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11[(3-[3-(2-pyridinyl)-5-isoxazolyl]-2-propynyloxy|-,
(3as,4R,7s,9R,10R,11R,13R,15R,15aR)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 16 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN ESSION NUMBER: 2002:314956 CAPLUS 136:340937

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

136:340937
Preparation of erythromycin ketolides as antibacterial agents
Hlasta, Dennis; Henninger, Todd C.; Grant, Eugene B.;
Khosla, Chaitin; Chu, Daniel T. W.; Ashley, Gary
Ortho-McNeil Pharmaceutical, Inc., USA
PCT Int. Appl., 108 pp.
CODEN: PIXXD2
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LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English

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| | WO | 2002 | 20329 | 18 | A. | 2 | 2002 | 0425 | | | WO | 200 | 01-U | 5321 | 19 | 2001 | 1012 | | |
| | WO | 2002 | 20329 | 18 | A | 3 | 2003 | 0103 | | | | | | | | | | | |
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L11 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L11 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

The present invention includes erythromycin ketolides I wherein: X is hydrogen or halide; R is hydrogen or a substituted or unsubstituted moiety wherein the moiety is selected from the group consisting of alkyl, alkenyl, alkynyl, aryl, heterocyclo. arylalkyl, arylalkenyl, arylalkenyl, haterocycloalkyl, heterocycloalkynyl, heterocycloalkyl, heterocycloalkynyl, R1 is hydrogen or a substituted or unsubstituted moiety wherein the molety is selected from the group consisting of methyl; alkyl, alkenyl, arylalkynyl, aryl, heterocycloalkynyl, aryl, heterocycloalkynyl, and heterocycloalkynyl; R2 is hydrogen, acyl, or a hydroxy protecting group; R3 is hydrogen, hydroxyl, or -ORa wherein Ra is a substituted or unsubstituted moiety selected from the group consisting of alkyl, alkenyl, alkynyl, aryl, heterocycloalkynyl; R2 is hydrogen, acyl, or a hydroxyn, arylalkynyl, heterocycloalkyn, arylalkyn, aryl

Absolute stereochemistry

OTHER SOURCE(S):

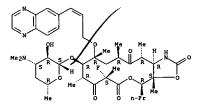
301320-09-2 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-fluorootahydro-3a,7,9,11,13,15-hexamethyl-4-propyl-11-[[3-(3-quinolinyl)-2-propenyl)oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-9-Draylo-hexopycanosyl]oxy]-, (3a5,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CAINDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

413592-00-4 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-4-propyl-11-[(3-(6-quinolinyl)-2-propenyl)oxy]-10-[(3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



413592-12-8 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-4-propyl-11-[[3-(7-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3as,4R,7s,9R,10R,11R,13R,15R,15aR)- (9CI) (CAINDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown

413592-15-1 CAPLUS 2H-Oxacyclotetradecino(4,3-d)oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-fluoro-11-[[3-(6-fluoro-3-quinoliny1)-2-propeny1]oxy]octahydro-3a,7,9,11,13,15-hexamethy1-4-propy1-10-[[3,4,6-trideoxy-3-(dimethylamino)-6-p-xylo-hexopyranoxyl)oxy]-, (3a5,4R,75,9R,10R,11R,13R,15R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

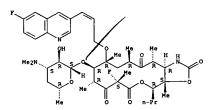
413592-04-8 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-fluorooctahydro-11-[[3-(6-methoxy-3-quinoliny1)-2-propeny1]oxy]-3a,7,9,11,13,15-hexamethy1-4-propy1-10-[(3,4,6-trideoxy-3-(dimethylamino)-6-0-xylo-hexopyranosy1]oxy]-, (3a5,4R,7S,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

413592-07-1 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-4-propyl-11-[[3-6-quinoxalinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-xylo-hexopyrannoxyl]oxy]-, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

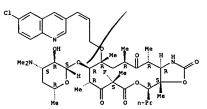
Absolute stereochemistry. Double bond geometry unknown.

L11 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN



413592-17-3 CAPLUS
2H-Oxacyclotetradecino(4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
11-[(3-(6-holoro-3-quinolinyl)-2-propenyl)oxy]-7-fluorooctahydro3a,7,9,11,13,15-hexamethyl-4-propyl-10-[(3,4,6-trideoxy-3-(dimethylamino)6-p-sylo-hexopyranoxyl)oxy]-, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.



413592-22-0 CAPLUS 2H-Oxacyclotetradacino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-4-propyl-11-[[3-(3-pyridinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranoxyl]oxy]-, (3a5,4R,7s,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

301320-11-6

301320-11-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of erythromycin ketolides as antibacterial agents)
301320-11-6 CAPLUS
2H-Oxacyclotetradecino(4, 3-d) oxazole-2, 6, 8, 14 (1H, 7H, 9H) -tetrone,
10-[[2-0-bexoy1-3, 4, 6-trideoxy-3-(dimethylamino)-B-D-xylohexopyranosylloxy)-7-fluorooctahydro-3a, 7, 9, 11, 13, 15-hexamethyl-11-(2propenyloxy)-4-propyl-, (3aS, 4R, 75, 9R, 10R, 11R, 13R, 15R, 15AR)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) alkenyl, alkynyl, ether, ester, alkylamine; R2 and R3 taken together with the atoms to which each is attached forms a 3-to 6-membered arom, or non-arom, ring optionally contains one to two double bonds or R2 and R3 taken together form a ~CH2, penyide, or ~0, Y is H, OH, NH2, amine were prepd. as antibacterial agents. The present invention relates to 6-0-alkyl-2-nor-2-substituted ketolide compd. or a deriv. thereof, a compn. comprising the compd. and a suitable carrier, a method of prepg. the compd.; and a method of treatment and prevention of in mammals comprising administering said compd. Thus, I (R = Bz, Rl = CH2C.tplbond.C-(3-quinolyl); PRZB = CH2: Y = H) was prepd. and tested in vitro for its antibacterial activity (MIC = 0.01 to > 128).

17 342036-19-59 342036-20-89 342036-21-89 342036-33-39 342036-33-39 342036-31-19 342036-32-29 342036-33-39 342036-33-77 342036-31-19 342036-33-59 342036-45-79 342036-41-39 342036-41-39 342036-45-79 342036-45-79 342036-45-79 342036-51-79 34

Absolute stereochemistry.
Double bond geometry unknown

342036-20-8 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7,7-difluorooctahydro-3a,9,11,13,15-pentamethyl-11-[[3-(3-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-xylo-hexopyranosyl]oxy]-, (3a5,4R,9R,10R,11R,13R,15R,15R)- (9CI)
INDEX NAME)

Page 103

ANSWER 17 OF 27
SSION NUMBER:
2001:416950 CAPLUS
135:19971
Preparation of macrolide erythromycin
6-0-alkyl-2-nor-2-substituted ketolide derivatives as
antibacterial agents
Phan, Ly Tam: Or, Yat Sun: Ma, Zhenkun
Abbott Laboratories, USA
EE:
PCT Int. Appl., 101 pp.
CODEN: PIXXD2
PAENT TYPE:
Patent

INVENTOR(S): PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

> PATENT NO. KIND DATE APPLICATION NO. DATE A2 A3 20010607 WO 2001040241 WO 2001040241 WO 2000-US32767 20001201

WO 2001040241 A3 20011213
W: CA, JP, MX
RW: AT, BE, CH, CY, DE, DX, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR
EP 1233971 A2 20020828 EP 2000-982357 20001201
R: AT, BE, CH, DE, DX, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR
JP 2003527347 T2 20030916 JP 2001-540996 20001201
BITT ADDIN INFO. 1 151 1991-453261 A 1991202

2 20030916 JP 2001-540996 20001201 US 1999-453261 A 19991202 WO 2000-US32767 W 20001201 MARPAT 135:19871 PRIORITY APPLN. INFO.:

OTHER SOURCE(S):

Macrolide erythromycins I R is hydrogen or a hydroxy protecting group: RI is selected from the group consisting of optionally substituted alkyl, alkenyl-q salkynyl: and alkynyl-q of s selected from the group consisting of: hydrogen: aryl: substituted aryl: heteroaryl: substituted heteroaryl: RZ is H, OH, NHZ, substituted maine, Seph, halogen: RS is selected from the group consisting of: hydrogen: OH; F, Cl, Br or I: alkyl

L11 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

342036-21-9 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14 (lH,7H,9H)-tetrone, 4-ethyl-7-fluoro-7-(fluoromethyl)octahydro-3a,9,11,13,15-pentamethyl-11-[(3-quinolinyl)-2-propenyl)oxy]-10-[(3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

342036-30-0 CAPLUS 2H-Okacyclotetradecino(4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-3a,9,11,13,15-pentamethyl-11-(2-propenyloxy)-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-O-xylo-hexopyranoxyl]oxyl-, 3as,4k,9R,10k,11k,13k,15k,15kn] (9CI) (CA INDEX NAME)

342036-31-1 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,9,11,13,15-pentamethyl-11-(2-propynyloxy)-10[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopycanosyl]oxy]-,
(3aS,4R,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

342036-32-2 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-3a,9,11,13,15pentamethyl-11-(2-propenyloxy)-10-[[3,4,6-trideoxy-3-(dimethylamino)β-D-sylo-hexopyranosyl]oxy]-, (3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

342036-35-5 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7,7-difluorooctahydro-3a,9,11,13,15-pentamethyl-11-(2-propynyloxy)[0-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-,
(3a5,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

342036-36-6 CAPLUS
14,1-(Nitriloethano)-2H-oxacyvolotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 4-ethyl-7,7-difluoro-3a,4,10,11,12,13,15,15a-octahydro3a,9,11,13,15-pentamethyl-11-(2-propenyloxy)-10-[{3,4,6-trideoxy-3(dimethylamino)-B-0-xylo-hexopyranoxyl]oxy]-,
(3a5,4R,9R,10R,11R,13R,15R,15R)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

342036-33-3 CAPLUS 14,1-(Nitriloethano)-2H-oxacyclotetradecino(4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-3a,9,11,13,15-pentamethyl-11-(2-propynyloxy)-10-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy)-, (3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

342036-34-4 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7,7-difluorooctahydro-3a,9,11,13,15-pentamethyl-11-(2-propenyloxy)10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl)oxy]-,
(3a5,4R,9R,10R,11R,1SR,1SR,1SR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

342036-37-7 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 4-ethyl-7,7-difluoro-3a,4,10,11,12,13,15,15a-octahydro3a,9,11,13,15-pentamethyl-11-(2-propsynyloxy)-10-[[3,4,6-trideoxy-3(dimethylamino)-β-0-xylo-hexopyrganoxyl]oxy]-,
(3aS,4R,9R,10R,11R,13R,15R,15RN)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

342036-38-8 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-3a,9,11,13,15-pentamethyl-11-[[3-(5-quinoxalinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-B-xylo-hexopyranosyl]oxy]-, (3as,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 342036-39-9 CAPLUS

ZH-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,9,11,13,15-pentamethyl-11-f[3-(3-quinolinyl)2-propynyl]oxyl-10-f[[3,4,6-trideoxy-3-(dimethylamino)-p-D-sylohexopyranosyl]oxy]-, (3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

RN 342036-40-2 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-3a,9,11,13,15pentamethyl-11-[[3-(3-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-,
(3a5,4R,9R,10R,11R,13R,15R,15aR)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 342036-43-5 CAPLUS
CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7,7-dif[burooctahydro-3a,9,11,13,15-pentamethyl-11-[[3-(3-quinolinyl)-2-propynyl]oxy]-10-[[3,4,6-tridecxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl]oxy]-, (3a5,4R,9R,10R,11R,13R,15R,15RR)- (9CI) (CA
INDEX NAME)

RN 342036-44-6 CAPLUS
CN 14,1-(Ritriloethano)-2H-oxacyclotetradecino(4,3-d) oxazole-2,6,8 (7H,9H)trione, 4-ethyl-7,7-difluoro-3a,4,10,11,12,13,15,15a-octahydro3a,9,11,13,15-pentamethyl-11-[[3-(3-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6trideoxy-3-(dimethylamino)-P-0-xylo-bexopycanosyl]oxy]-,
(3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 342036-41-3 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-3a,9,11,13,15pentamethyl-11-[[3-(3-quinolinyl)-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3(dinethylamino]-9D--xylo-hexopyranosyl]oxy]-,
(3as,4R,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 342036-42-4 CAPLUS
CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7,7-diflourooctahydro-3a,9,11,13,15-pentamethyl-11-[[3-(5-quinoxalinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3as,4R,9R,10R,11R,13R,15R,15R) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 342036-45-7 CAPLUS
CN 14,1-(Nitrileethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)trione, 4-ethyl-7,7-difluoro-1a,4,10,11,12,13,15,15a-octahydro3a,9,11,13,15-pentamethyl-11-[[3,(3-quinolinyl)-2-propynyl]oxy]-10-[[3,4,6trideoxy-3-(dimethylanino)-B-b-xylo-hexopyranoxyl]oxy]-,
(3as,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 342036-56-0 CAPLUS
CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-11-methoxy-3a,9,11,13,15-pentamethyl-7-(2-propenyl)-10-[[3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,9R,10R,11R,13R,15R,15R)-(9CI) (CA INDEX NAME)

342036-57-1 CAPLUS 2H-Oxacyclotetradecino [4,3-d] oxazole-2,6,8,14 (1H,7H,9H)-tetrone, 4-ethyl-7-fluorootchydro-11-methoxy-3a,9,11,13,15-pentamethyl-7-(2-propynyl)-10-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylohexopyranosyl]oxyl-, (3as,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

342036-58-2 CAPLUS
14,1-(Mitriloethano)-2H-oxacyclotetradecino[4,3-d] oxazole-2,6,8 (7H,9H)trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy3a,9,11,13,15-pentamethyl-7-(2-propenyl)-10-[{3,4,6-trideoxy-3(dimethylanino)-β-D-xylo-hexopyranosyl]oxy]-,
(3a5,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

342036-61-7 CAPLUS 2H-Oxacyclotetradecino(4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-11-methoxy-3a,9,11,13,15-pentamethyl-7-[3-{3-quinolinyl}-2-propynyl]-10-[{3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3a5,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

342036-62-9 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy3a,9,11,13,15-pentamethyl-7-[3-dinolinyl)-2-propenyl]-10-[[3,4,6trideoxy-3-d(dimethylamino)-B-D-eylo-hexopycanosyl]osyl,
(3a5,4R,9R,10R,11R,13R,15R,15RR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

L11 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

342036-59-3 CAPLUS
14.1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy-3a,9,11,13,15-pentamethyl-7-(2-propynyl)-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopycanosylloxy)-,(3aS,4R,9R,10R,11R,13R,15R,15R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

342036-60-6 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-11-methoxy-3a,9,11,13,15-pentamethyl-7-[3-(3-quinolinyl)-2-propenyl]-10-[(3,4,6-trideoxy-3-(dimethylamino)-B-Dxylo-hexopyranosyl)oxy]-, (3a5,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

342036-63-9 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy-3a,9,11,13,15-pentamethyl-7-[3-(3-quinolinyl)-2-propyyl]-10-[{3,4,6-trideoxy-3-(dimethylamino)-9-b-xylo-hexopyranoxyl]oxyl,3-(3a,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

L11 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2004 ACS ON STN 2000:756722 CAPLUS DOUBLEST 133:296621 133:296621
Preparation of erythromycin macrolides as potential antiinfective agents
Chu, Daniel T. W.; Ashley, Gary W.
Kosan Biosciences, Inc., USA
PCT Int. Appl., 104 pp.
CODEN: PIXXD2
Patent

INVENTOR(S): PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PATENT NO. | | APPLICATION NO. DATE |
|----------------------|-----------------|---|
| | | |
| WO 2000063224 | A2 20001026 | |
| WO 2000063224 | A3 20010118 | |
| | | BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, |
| | | FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, |
| IN, IS, | JP, KE, KG, KP, | KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, |
| MD, MG, | MK, MN, MW, MX, | NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, |
| SK, SL, | TJ, TM, TR, TT, | TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, |
| AZ, BY, | KG. KZ. MD. RU. | TJ, TM |
| RW: GH. GM. | KE, LS, MW, SD, | SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, |
| DK. ES. | FI. FR. GB. GR. | IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, |
| | | ML, MR, NE, SN, TD, TG |
| | | AU 2000-42379 20000414 |
| RP 1171446 | A2 20020116 | EP 2000-922149 20000414 |
| | | FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, |
| | LT, LV, FI, RO | |
| BB 2000010600 | N 20020210 | 95 2000-10600 20000414 |
| UE 6305710 | B1 2002021 | US 2000-550045 200000414 JP 2000-612314 20000414 NZ 2000-515027 20000414 US 2000-750470 20001227 |
| 10 0353710 | T2 20020320 | JP 2000-612314 20000414 |
| N7 E1E027 | A 20030011 | N7 2000-515027 20000414 |
| WE 313027 | A1 20030E0 | 116 2000-750470 2000177 |
| US 2002033412 | B2 20020303 | 03 2005 130410 20001221 |
| 73 2001000444 | 3 20030201 | ZA 2001-9444 20011115 |
| VC 2001003444 | A 20030210 | US 2002-75466 20020213 |
| US 2002113330 | P2 20020021 | 03 2002-73400 20020213 |
| US 03933UZ | 2003071 | HE 2002-124088 20020417 |
| US 2002130028 | A1 20021021 | US 2002-124988 20020417 US 2003-357792 20030203 |
| PRIORITY APPLN. INFO | WI 50031100 | US 1999-129729P P 19990416 |
| PRIORITY APPLN. INFO | • • | US 1999-140175P P 19990618 |
| | | US 1999-172154P P 19991217 |
| | | US 1999-172159P P 19991217 |
| | | US 1999-172139F F 19991217 |
| | | US 1999-173804P P 19991230 |
| | | US 2000-550045 A 20000414 |
| | | |
| | | US 2000-551162 A1 20000414 |
| | | WO 2000-US9914 W 20000414 US 2000-750470 A1 20001227 |
| | | |
| | MARPAT 133: | 530051 |
| GI | | |

L11 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

301320-09-2P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) actant or reagent)
(preparation of erythromycin macrolides as potential antiinfective

(preparation of eythromycin macrolides as potential antiinfective
agents)
RN 301320-09-2 CAPLUS
CN 2H-Oxacyclotetradecino(4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-4-propyl-11-[[3-(3-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-[xylo-hexopyranosyl]oxy]-, (3a5,4R,75,9R,10R,11R,13R,15R,15R)- (9CI)
INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Title compds. I, wherein R1 is H; substituted or unsubstituted alkyl (1-10C); substituted or unsubstituted alkynyl (2-10C); substituted or unsubstituted alkynyl (2-10C); substituted or unsubstituted aryl (4-14C); substituted or unsubstituted arylalkyl (5-20C); or 0.01 is replaced by H; R2 is H or halogen; R3 is H or a protecting group; R4 is Me, unsubstituted alkynyl (2-10C); substituted arylalkyl (3-20C); substituted or unsubstituted alkynyl (2-10C); substituted or unsubstituted alkynyl (2-10C); substituted or unsubstituted arylalkynyl (5-20C); substituted or unsubstituted anidarylalkyl (5-20C); or substituted or unsubstituted anidarylalkynyl (5-20C); or on or in substituted anidarylalkynyl (5-20C); or on or in substituted or unsubstituted or unsubstituted or unsubstituted anidarylalkynyl (5-20C); or on or in or protection or a protection or or an animo necessarylalkynyl (5-20C); or or on or in or

(preparation of erythromycin macrolides as potential antiintective agents)

RN 301320-11-6 CAPLUS

CN 2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone, 10-[(2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranosylloxy]-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-{2-proparyloxy}-4-propyl-, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

OTHER SOURCE(S):

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ANSWER 19 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN SION NUMBER: 2000:756529 CAPLUS 133:296619
                                                                                                                           133:296619
Preparation of ketolide erythromycins as antibacterial agents
Hlasta, Dennis; Henninger, Todd; Grant, Eugene;
Khosla, Chaitin; Chu, Daniel
Ortho-McNeil Pharmaceutical, Inc., USA; Kosan
Biosciences, Inc.
PCT Int. Appl., 112 pp.
CODEN: PIXXD2
Patent
English
6
INVENTOR(S):
PATENT ASSIGNEE(S):
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                         PATENT NO.
                                                                                                                 KIND DATE
                                                                                                                                                                                                                                APPLICATION NO. DATE
                       PATENT NO. KIND DATE APPLICATION NO. DATE

W0 2000062783 A3 20010215

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CR, CD, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MM, MW, MM, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GH, KE, LS, MW, SD, SI, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NI, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, NS, TD, TG

EP 1171447 A2 20020116

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, LE, SI, LT, LV, FI, RO

JP 2002542197 T2 20021210

JP 2002542197 T2 20021210

US 2002-12498 2002017

RITY APPLN. INFO:
                                                                                                                                                                                                            JP 2000-611919 20000413
ZA 2001-9444 2011115
US 2002-124988 20020417
US 1999-129729P P 19990428
US 1999-140175P P 19990428
US 1999-172154P P 19991217
US 1999-172154P P 19991217
US 1999-1731383 A 19990428
US 1999-173804P P 19991230
US 1999-173805P P 19991230
US 2000-551162 Al 20000414
PRIORITY APPLN. INFO.:
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MARPAT 133:296619

Ketolide antibacterials I wherein, X is H.halogen; R is selected from H,
aryl, heterocyclo, cycloalkyl, alkyl, alkenyl; Rl is selected from H,
alkyl, alkenyl, alkynyl; R2 is selected from H, COCH3 and Bz; RJ is
selected from H and alkoxy; were prepared as antibacterial agents. These
compds. are erythromycin analogs useful in the treatment of bacterial and
protozoal infections and in the treatment of other conditions involving
gastric motility. Thus, I (R = R4, R1 = Me, R2 = X = H, R3 = OMe) was
prepared and tested for its in vitro antibacterial activity (MIC range is
from 0.03 to > 16 \muffamily, all of the property of the property
300841-16-1P 300841-32-1P 300841-33-2P
300841-16-1P 300841-37-6P 300841-39-9P
300841-6-PP 300841-47-8P 300841-49-9P
300841-50-3P 300841-55-8P 300841-55-9P
300841-50-3P 300841-55-8P 300841-55-9P
300841-50-1P 300841-69-9P 300841-55-9P
300841-78-1P 300841-69-9P 300841-78-3P
300841-78-1P 300841-79-1P 300841-78-1P
300841-78-PP 300841-79-9P 301322-09-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of ketolide ecythromycins as antibacterial agents)
300841-16-1 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,

L11 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

300841-35-4 CAPLUS
ZH-Owacyclotetradecino(4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
7-fluorooctahydro-11-hydroxy-3a,4,7,9,11,13,15-heptamethyl-1-(4-(4-phenyl-1H-indiazol-1-yl)butyl]-10-[(3,4,6-trideoxy-3-(dimethylamino)-P-o-xylo-hexopyranosyl)oxy]-, (3a5,4R,75,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

300841-37-6 CAPLUS 2H-Oxacyclotetradecino(4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-fluorooctahydro-11-methoxy-3a,4,7,9,11,13,15-heptamethyl-1-[4-(4-phenyl-1H-imidazol-1-yl)butyl]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-yl)-heyoyranosyl]oxy]-, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
7-fluorooctahydro-1-[4-(1H-imidazo[4,5-b]pyridin-1-y1)butyl]-11-methoxy3a, 7, 9, 11, 13, 15-hexamethyl-4-propyl-10-[[3,4,6-trideoxy-3-(dimethylamino)B-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7S,9R,10R,11R,13R,15R,15R,15aR)(9C1) (CA INDEX NAME)

Absolute stereochemistry.

300841-32-1 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-fluorooctahydro-11-hydroxy-3a,4,7,9,11,13,15-heptamethyl-1-[4-{4-quinolinyl}butyl]-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-, (3as,4R,7s,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

300841-33-2 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-fluorooctahydro-11-methoxy-3a,4,7,9,11,13,15-heptamethyl-1-[4-(4-quinolinyl)butyl]-10-[(3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

300841-39-8 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-fluorooctahydro-11-hydroxy-3a,4,7,9,11,13,15-heptamethyl-1-[4-[4-(3-pyridinyl)-1H-imidazol-1-yl]butyl}-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-wylo-hexopyranoxyl]oxy]-, (3aS,4R,7S,9R,10R,11R,13R,15R,15R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

300841-40-1 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-fluorocotahydro-11-methoxy-3a,4,7,9,11,13,15-heptamethyl-1-[4-(4-(3-pyridinyl)-1H-imidazol-1-yl]butyl]-10-[[3,4,6-trideoxy-3-(dimethylamino)-p-0-xylo-hexopyranozyl]oxy]-, (3aS,4R,7S,9R,10R,11R,13R,15R,15RR)-(SCI) (CA INDEX NAME)

300841-42-3 CAPLUS 2H-Oxacyolotetradecino(4,3-d)oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-fluorooctahydro-11-hydroxy-3a,4,7,9,11,13,15-heptamethyl-1-[4-(4-pyridinyl)butyl)-10-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylohaxopyranosyl)oxyl-, (3as,4R,7s,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

300841-44-5 CAPLUS 2H-Owacyclotetradecino(4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-fluorooctahydro-11-methoxy-3a,4,7,9,11,13,15-heptamethyl-1-[4-(4-pyridinyl)butyl]-10-[{3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3as,4R,7s,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

300841-46-7 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
7-fluorooctahydro-11-hydroxy-1-[4-(3H-imidazo[4,5-b]pyridin-3-y1)butyl]3a,4,7,9,11,13,15-heptamethyl-10-[(3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosy1]oxy]-, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

300841-50-3 CAPLUS 2H-Oxacyclotetradecino [4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-fluorooctahydro-1-[4-(1H-imidazo[4,5-b]pyridin-1-yl]butyl]-11-methoxy 3a,4,7,9,11,13,15-heptamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-wylo-hexopyranosyl]oxy]-, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

300841-51-4 CAPLUS
2H-Oxacyclotetradecino(4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,7-fluorooctahydro-11-hydroxy-3a,7,9,11,13,15-hexamethyl-4-propyl-1-[4-[4-[3-pyridinyl)-1H-imidazol-1-yl]butyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-,(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

300841-47-8 CAPLUS

JOURNAL OF CAPLOS
ZH-ONACYCLOCETRAGECINO [4,3-d] ONAZOLE-2,6,8,14(1H,7H,9H) - tetrone,
7-fluorooctahydro-1-[4-(3H-imidazo[4,5-b] pyridin-3-yl) butyl]-11-methoxy3a,4,7,9,11,13,15-heptamethyl-10-[(3,4,6-trideoxy-3-(dimethylamino)-βD-xylo-hexpyranosyl]oxy]-, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

300841-49-0 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-flucrocotahydro-11-hydroxy-1-[4-(1H-imidazo[4,5-b]pyridin-1-yl)butyl]-3a,4,7,9,11,13,15-heptamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

300841-52-5 CAPLUS
2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone,
7-fluorooctahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-4-propyl-1-[4-{4-(3-pyridinyl)-1H-inidazol-1-yl]butyl]-10-[[3,4,6-trideoxy-3-(dimethylanino)-B-0-xylo-hexopyranosyl)oxyl-,
(3a5,4R,75,9R,10R,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

L11 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

300841-55-8 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-fluocooctahydro-1-[4-(3H-imidazo[4,5-c]pycidin-3-yl]butyl]-11-methoxy-3a,7,9,11,13,15-hexamethyl-4-propyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-6-b-sylo-hexopyranoyyl]oxy]-, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

300841-56-9 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-fluorooctahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-4-propyl-1-[4-(9H-purin-9-yl)butyl]-10-[[3,4,6-trideoxy-3-(dimethylamino)-6-D-xylo-hexopyranosyl]oxy]-, (3as,4R,7s,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

300841-61-6 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-fluorooctahydro-11-hydroxy-3a,7,9,11,13,15-hexamethyl-4-propyl-1-[(2E)-4-[4-(5-pyriaidinyl)-1H-imidazol-1-yl)-2-butenyl]-10-[(3,4,6-trideoxy-3-(dimethyllanino)-β-0-xylo-bexopyranoxyl)oxyl-(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-B

PAGE 1-B

300841-62-7 CAPLUS

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L11 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

300841-58-1 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
7-fluorooctahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-4-propyl-1-[4-(7H-purin-7-yl)butyl)-10-([3,4,6-tridoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl)oxy]-, (3a5,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX

Absolute stereochemistry.

300841-59-2 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-fluorooctahydro-1-[(2E)-4-(1H-imidazo[4,5-b]pyridin-1-y1)-2-butenyl]-11-methoxy-3a,7,9,11,13,15-hexamethyl-4-propyl-10-[[3,4,6-trideoxy-3-(dimeth)Jamino)-B-D-wylo-hexopyranosyl]oxy)-, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L11 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone,
-7-fluorooctahydro-11-hydroxy-1-[4-(1H-inidazo[4,5-b] pyridin-1-y])butyl]3a,7,9,11,13,15-hexamethyl-4-propyl-10-[[3,4,6-trideoxy-3-(dimethylamino)B-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7S,9R,1OR,11R,13R,15R,15aR)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

300841-64-9 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-fluorooctahydro-11-hydroxy-1-[4-(3H-imidazo[4,5-b]pyridin-3-yl]butyl]-3a,7,9,11,13,15-hexamethyl-4-propyl-10-[{3,4,6-trideoxy-3-(dimethylamino)-6-b-xylo-hexopyranoxyl]oxy]-, (3a5,4R,75,9R,10R,11R,13R,15R,15aB)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

300841-65-0 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-fluorocotahydro-1-[4-(3H-imidazo[4,5-b]pyridin-3-yl]butyl]-1l-methoxy-3a,7,9,11,13,15-hexamethyl-4-propyl-10-[(3,4,6-trideoxy-3-(dimethylamino)-6-b-xylo-hexopyranoxyl]oxy]-, (3a5,4R,7S,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

L11 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

300841-67-2 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethenyl-7-fluorooctahydro-11-hydroxy-3a,7,9,11,13,15-hexamethyl-1-[4-(4-phenyl-1H-inidazol-1-yl]butyl]-10-[(3,4,6-trideoxy-3-(dimethylamino)-9-D-xylo-hexopyranoxylloxy]-, (3a5,4R,7S,9R,10R,11R,13R,15R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

300841-69-4 CAPLUS 300841-69-4 CAPLUS
2H-Oxacycloteradecino(4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethenyl-7-fluorooctahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-1-[4-(4-phenyl-1H-inidazol-1-yl)butyl]-10-[[3,4,6-trideoxy-3-(dimethylamino)β-D-wylo-hexopyranosyl]oxyl-, (3a5,4R,75,9R,10R,11R,13R,15R,15RR)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

300841-74-1 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethenyl-7-fluorooctahydro-11-hydroxy-3a,7,9,11,13,15-hexamethyl-1-[4-[4-[5-pyrlindinyl-1H-indiazol-1-yl]butyl]-10-[[3,4,6-trideoxy-3-(dimethylanino)-β-D-xylo-hexopyranosyl]oxy]-,
(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

300841-76-3 CAPLUS
2H-Oxacyclotetradecino(4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethenyl-7-fluorooctahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-1-[4-(4-(5-pyr.taid.inyl)-1H-indidazol-1-yl.butyl)-10-[(3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl)oxy]-,
(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

300841-71-8 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethenyl-7-fluorooctahydro-11-hydroxy-3a,7,9,11,13,15-hexamethyl-1-[4-{4-(3-pyridinyl)-1H-imidazol-1-yl]butyl]-10-[{3,4,6-trideoxy-3-(dimethylamino)-B-D-sylo-hexopycanosyl]oxy]-, (3aS,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

300841-72-9 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethenyl-7-fluorooctahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-1-[4-[4-(3-pyridinyl)-1H-imidazol-1-yl]butyl]-10-[[3,4,6-trideoxy-3-(dimethylamino]-#D-oxylo-hexopyranosyl]oxy]-, (3aS,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

300841-78-5 CAPLUS 2H-Oxacyclotetradecino(4,3-d)oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethenyl-7-fluorooctahydro-11-hydroxy-1-[4-(3H-inidazo[4,5-b)pyridin-3-yl)butyl]-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-6-b-xylo-hexpyranoxyl)oxy]-, (3a5,4R,7S,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

300841-79-6 CAPLUS 2H-Oxacyclotetradecino(4,3-d)oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethenyl-7-fluorooctahydro-1-[4-(3H-imidazo[4,5-b)pyridin-3-yl)butyl]-11-methoxy-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-6-0-xylo-hexopyranoxyl]oxy]-, (3as,4R,7s,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

L11 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

301320-09-2 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 7-fluoroocathydro-3a,7,9,11,13,15-hexamethyl-4-propyl-11-[[3-(3-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyramosyl]oxy]-, (3as,4R,7s,9R,10R,11R,13R,15R,15RR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

IT

301320-11-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of ketolide erythromycins as antibacterial agents)
301320-11-6 CAPLUS
2H-Oxacyclotetradecino{4,3-d} oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[{2-0-bexoyl-3,4,6-tridecoxy-3-(dimethylamino)-β-D-xylo-bexopyranosylloxy|-7-fluorooctahydro-3a,7,9,11,13,15-bexamethyl-11-(2-propenyloxy)-4-propyl-, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2004 ACS ON STN ACCESSION NUMBER: 2000:680385 CAPLUS DOCUMENT NUMBER: 133:23249 Preparation of Color 133:238249
Preparation of 2-halo-6-O-substituted erythromycin ketolides as antibacterial agents
Phan, Ly Tam: Or, Yat Sun; Chu, Daniel T.; Platter, Jacob J.; Chen, Yan; Clark, Richard F.
Abbott Laboratories, USA
U.S., 27 pp.
CODEN: USXXXM
Patent

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

Patent English

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

US 6124269 A 20000926
PRIORITY APPLN. INFO:
OTHER SOURCE(S): MARPAT 133:2 PATENT NO. KIND DATE APPLICATION NO. DATE 20000926 US 1998-154294 19980916 US 1997-63700P P 19971029 MARPAT 133:238249

2-Halo-6-O-substituted ketolide derivs. I (R = H, hydromy protecting group: R1 = alkyl, aryl, heteroaryl, substituted amine, CH2CH:CHY, CH2C.tplbond.cf(Y Y = H, aryl, heteroaryl, vinyl, substituted vinyl: X = H, Y = O: XY = CH2CH2) and pharmaceutically acceptable saits and esters thereof having antibacterial activity having a formula STR1 compns. comprising a therapeutically effective amount of a compound of the invention in combination with a pharmaceutically acceptable carrier, a method for treating bacterial infections by administering to a mammal a pharmaceutical composition containing a therapeutically-effective amount of mompound

a compound of the invention, and processes for their preparation Thus, I (R = X = H,

CH2CH:CH2, Y = 0) was prepared and tested for its antibacterial activity (MIC = 0.003 to > 128).
22350-97-99 223508-01-8P 223508-03-0P 223508-04-1P 223508-05-0P 223508-04-1P 223508-16-9P 223508-12-1P 223508-12-1P 223508-12-1P 223508-13-5P 223508-13-69 223508-17-6P 223508-18-5P 223508-19-2P 223508-18-5P 223508-19-2P 223508-21-2P 223508-22-3P 223508-23-4P 223508-24-5P 223508-24-5P 223508-24-5P 223508-24-5P 223508-24-5P 223508-24-5P

Page 112

L11 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
223508-26-7F 223508-28-9P 223508-29-0P
223508-30-3P 223508-31-4P 223508-32-5P
223508-33-5P 223508-34-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); TRU (Therapeutic use);
BIOL (Biological study); PREF (Preparation); USES (Uses)
(prepn. of 2-halo-6-0-substituted erythromycin ketolides as antibacterial agents)
RN 223507-97-9 CAPLUS
CN 14,1-(Nitriloethano)-2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-1-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-10-[(3,4,6-trideoxy-3-(dimethylamino)-β-Dryxlo-hexopycanosyl)oxyl-, (3as,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

223508-01-8 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione,7-chloro-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-10-[(3,4,6-trideoxy-3-(dimethylamino)-6-D-wylo-hexopyranosyl]oxy]-, (3a5,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CAINDEX NAME)

L11 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

223508-03-0 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione,7-bromo-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxyl-, (3a5,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

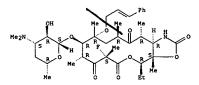
233508-04-1 CAPLUS
2H-Oxacyclotetradecino(4,3-d)oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propenyloxy)-10[[3,4,6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranosylloxy]-,
3as,4R,75,9R,10R,1HR,13R,15R,15R,15ah- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

223508-06-3 CAPLUS 2H-Oxacyclotetradecino(4,3-d)oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[(3-(3-quinolinyl)-2-propenyl]oxy]-10-[{3,4,6-trideoxy-3-(dimethylamino)- β -D-xylohexopyranosyl]oxy]-, (3a5,4R,75,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



223508-11-0 CAPLUS
Carbamic acid, [3-[3-[[(3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-3a,7.9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-P-D-xylo-hexopyranosyl]oxy]-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]osyl-1-propenyl]-6-quinolinyl]-1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

223508-12-1 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 11-[[3-(6-anino-3-quinolinyl)-2-propenyl]oxy]-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-10-{[3,4,6-trideoxy-3-(dimethylamino)-β-D-ylo-hexopyranosyl]oxy]-, (3a5,4R,7S,9R,10R,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

L11 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN Double bond geometry unknown. (Continued)

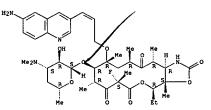
223508-09-6 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(6-nitro-3-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxyl]oxy]-, (3a5,4R,7s,9R,10R,11R,13R,15R,15R)- (9CI) (CA
INDEX INME)

Absolute stereochemistry. Double bond geometry unknown.

223508-10-9 CAPLUS 2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[(3-phenyl-2-propenyl)oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylohexopyranosyl]oxy]-, (3as,4R,7s,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



223508-13-2 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazola-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[(3-(6-quinolinyl)-2-propenyl)ayy]-10-[(3,4,6-trideoxy-3-(dimethylanino)-9-0-xylo-hexopyranosyl]oxy]-, (3a5,4R,75,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

223508-14-3 CAPLUS 2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(1,8-naphthyridin-3-yl)-2-propenyl] oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-6-0-xylo-hexopyranoyy]oxy]-, (3a5,4R,75,9R,10R,11R,13R,15R,15R)-[9CI) (CA INDEX NAME)

L11 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

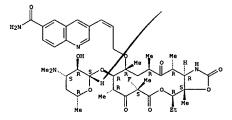
RN 223508-16-5 CAPLUS
CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-flucrocotahydro-3a,7,9,11,13,15-hexamethyl-11-[{3-(6quinoxalinyl)-2-propenyl]oxy]-10-[{3,4,6-trideoxy-3-(dimethylamino)-βD-kylo-hexopyranosyl]oxy]-, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 223508-17-6 CAPLUS
CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
11-[16-(dimethylamino)-3-quinolinyl]-2-propenyl]oxy]-4-ethyl-7fluorooctahydro-3a,7,9,11,13,15-hexamethyl-10-[3,4,6-trideoxy-3(dimethylamino)-80-exylo-hexopyranoxyl]oxy]-,
(3as,4R,7s,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 223508-20-1 CAPLUS
CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorocotahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-[6(methylamino)-3-quinolinyl]-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3(dimethylamino)-B-D-xylo-hexopyranoxyl]oxy]-,
(3a5,4R,7s,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 223508-21-2 CAPLUS
CN 6-Quinolinecarboxaldehyde, 3-[3-[[(3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 223508-18-7 CAPLUS
CN 6-Quinolinemethanesulfonamide, 3-[3-[[(3as,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[(3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosylloxyl-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propenyll- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

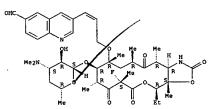
Double bond geometry unknown.

RN 223508-19-8 CAPLUS
CN 6-Quinolinecarboxamide, 3-[3-[{(3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetradoxo-10-[[3,4,6-trideoxy-3-(diaethylamino)-β-D-xylo-hexopyranosyl]oxyl-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxyl-1-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

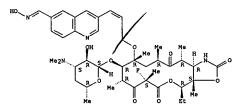
Double bond geometry unknown.

L11 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 223508-22-3 CAPLUS
CN 6-Quinolinecarboxaldehyde, 3-[3-[{(3as,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[{3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl)oxy]-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propenyl]-, 6-oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 223508-23-4 CAPLUS
CN 6-Quinolinecarboxaldehyde, 3-[3-[[(3as,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propenyl]-, 6-hydrazone (9CI) (CA INDEX NAME)

L11 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

223508-24-5 CAPLUS
6-Quinolinecarboxaldehyde, 3-[3-[{(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,6,14-tetraoxo-10-{(3,4,6-trideoxy-3-(dimethylamino)-8-0-xylo-hexopyranosyl]oxy]-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propenyl]-,
6-{(1-methylethylidene)hydrazone} (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

223508-25-6 CAPLUS
3-Pyridinecarbonitrile, 5-[3-[{(3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl]oxy]-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

223508-29-0 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-oxo-4-(2-thienyl)-2-butynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-Dxylo-hexopyranosyl]oxy]-, (3aS,4R,9R,10R,11R,13R,15R,15RR)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

223508-30-3 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
11-[(4-(6-h)oro-3-pyridinyl)-4-oxo-2-butynyl]oxy]-4-ethyl-7fluorooctahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3(dimethylamino)-8-D-xylo-hexopyranosyl]oxy]-,
(3aS,4R,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

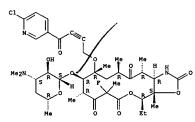
223508-26-7 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propynyloxy)-10[3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopycranoy1]oxy]-,
(3as,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

223508-28-9 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[(4-oxo-4-phenyl-2-butynyl)oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino]-B-D-xylo-hexopyranozyl]oxy]-, (3as,4R,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



223508-31-4 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[{3-(3-quinolinyl)-2-propynyl]oxy}-10-[{3,4,6-trideoxy-3-(dimethylamino]-\beta-D-xylohexopyranosyl]oxy]-, (3a5,4R,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

223508-32-5 CAPLUS
8-Quinolinesulfonamide, 3-[3-[[(3aS,4R,7S,9R,10R,11R,13R,15R,15R)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[(3,4,6-trideoxy-3-(dimethylamino)-PD-xylo-hexopyranoxyl)oxyl-2H-0xacyclotetradecino[4,3-d]0xazol-11-yl]0xyl-1-propynyl]- (9CI) (CA INDEX NAME)

L11 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

223508-33-6 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
11-[(3-[2,2-bithiophen]-5-yl-2-propynyl)oxy]-4-ethyl-7-fluorooctahydro3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-8-Dxylo-hexopyranosyl]oxy]-, (3as,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA
INDEX NAME)

٠. Meph

223508-34-7 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-{[3-[5-(2-pyridinyl)-2-thienyl]-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranoxyl]oxy]-,
(3aS,4R,9R,10R,11R,13R,15R,15AR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN Absolute stereochemistry. (Continued)

223508-07-4 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[[2-0-bexoyl-3,4,6-trideoxy-3-(dimethylamino)-\$-D-xylohexopyranosyl]oxy]-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11[[3-(3-quinolinyl)-2-propenyl]oxy]-, (3aS,4R,7S,9R,1OR,11R,13R,1SR,15aR)(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

293309-29-2 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[{2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexopyranosyl]oxy]-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11(2-propenyloxy)-, (3aS,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

LII ANSWER 20 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

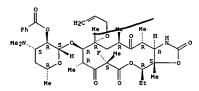
IT

223508-27-8
RL: RCT (Reactant): RACT (Reactant or reagent)
(preparation of 2-halo-6-0-substituted erythromycin ketolides as antibacterial agents)
223508-27-8 CAPLUS
ZH-Oxacycoltetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[[2-0-acetyl-3,4,6-tridecwy-3-(dimethylamio)-8-0-xylo-hexopycanoxyl)oxyl-4-ethyl-7-fluorootahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propynyloxy)-, (3aS,4R,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

223507-98-OP 223508-07-4P 293309-29-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-halo-6-O-substituted erythromycin ketolides as antibacterial agents)
223507-98-O CAPLUS
14.1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 10-[[2-O-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-, (3a5,4R,9R,10R,11R,13R,15R,15R)-(9CI) (CA INDEX NAME)

L11 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT:

THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/075,635

LT ANSWER 21 OF 27
ACCHESION NUMBER:
DCCUMENT NUMBER:
133:120575
DCCUMENT NUMBER:
133:120575
DCCUMENT NUMBER:
133:120575
DCCUMENT NUMBER:
133:120575
DCCUMENT NASIGNEE(S):
Denis, Alexis: Fromentin, Claude: Heckmann, Bertrand
Hoechst Marion Roussel, Pr.
EUr. Pat. Appl., 17 pp.
CODEN: EPXXOV
Patent
Patent DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. PATENT NO. KIND DATE DATE EP 1026170 A1 20000809 EP 2000-400286 20000203

EP 1026170 B1 20030115

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, LT, LV, FI, RO

FR 2789392 A1 20000811 FR 1999-1292 19990204

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JP 2000229993 A2 20000822 JP 2000-21454 20000131

US 6313101 B1 20011106 US 2000-497651 20000203

AT 231160 E 20030215 AT 2000-400286 20000203

ES 2186615 T3 20030516 ES 2000-400286 20000203

ES 2186615 T3 20030516 FR 1999-1292 A 19990204

ES 2186615
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
GI

Macrolide erythromycins I (R = heterocycle, X = CH2, NH; n = 1-8; Y = H, halogen; Z = H, acyl) were prepared as antibacterial agents. Thus, 11,12-dideoxy-3-de[(2,6-dideoxy-3-de:[4,1-3-0-methyl-a-1-ribo-hexopyranoxyl)oxy]-6-0-methyl-3-0xo-12,11-[oxycarbonyl[[4-[4-(1H-indol-3-yl)-1H-inidazol-1-yl]-butyl]-imino]]-erythromycin was prepared and tested in AB

L11 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-A

PAGE 1-B

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT REFERENCE COUNT:

L11 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) vitro for its antibacterial activity (MIC for S. aureus = 0.02-0.150

μg/cm3). 285569-19-9P 285569-47-3P

285569-19-92 285569-47-3P
RL: BAC [Biological activity or effector, except adverse): BSU [Biological study, unclassified]: SN [Synthetic preparation]: THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (Uses) (preparation of erythromycins as antibacterial agents)
285569-19-9 CAPLUS
2-Furancarboxamide, N-[1-[4-[(3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorcoddeachydro-11-methoxy-3a,7,9,11,315-hexamethyl-2,6,8,14-tetraoxo-10-[(3.4,6-trideoxy-3-(dimethylamino)-β-D-wylo-hexopyranosyl]oxy]-2H-oxacyclotetradecino[4,3-d]oxazol-1(4H)-yl]butyl]-1H-imidazol-4-yl]- (GCI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

285569-47-3 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-1-[4-(4-(1H-indol-3-yl)-1H-inidazol-1-yl]butyl]-11-nethoxy-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,75,9R,10R,11R,33R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

OTHER SOURCE(S):

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ANSWER 22 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN SION NUMBER: 2000:535153 CAPLUS CENT NUMBER: 133:135545
                                                                                                                                                                                                                                    CAPLUS
133:135545
Preparation of ketolide antibiotics erythromycin derivatives as antibacterial and antiprotozoal agents Kaneko, Takushir McMillen, William Thomas Pfizer Products Inc., USA
PCT Int. Appl., 32 pp.
CODEN: PIXXD2
Patent
English
1
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
  DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                    PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2000044761 A2 20000803
WO 1999-182051 19991228
WO 2000044761 A3 20010104
W: AE, AL, AN, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN,
IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, UJ, LY, MA, MD,
MG, MK, MM, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,
SL, TJ, TM, TR, TT, UN, UG, US, UZ, VM, YU, 2A, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM
RY: GH, GM, KE, LS, MW, SD,
DK, ES, FI, FR, GB, GR, IE, IT, UJ, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, ME, SN, TD, TG
CA 2359708 AA 20000803
EP 1147121 A2 20011024
EF 1147121 B1 20031217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO
BR 9916969 A 20011106
EE 200100394 A 20021015
EE 2010003580 A 20030217 CA 1999-59627 19991228
AT 256694 E 20040115 AT 1999-959627 19991228
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AT 256694 B 20021024 D 2000-596017 19991228
AT 256694 B 20020329 BG 2001-105730 20010725
BG 105730 A 20020329 BG 2001-105730 20010725
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BG 105
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A 20011106 BR 1999-16969 19991228
A 20021015 EE 2001-394 19991228
T2 20021022 JP 2000-596017 19991228
E 20040115 AT 1999-959627 19991228
A 20030217 ZA 2001-5580 20010706
A 20020329 BG 2001-105730 20010725
A 20010919 NO 2001-105730 20010725
A 20010919 NO 2001-3680 20010725
BY 2002-16969 2002061
BY 2002-16969 2002061
BY 2002-16969 2002061
BY 2002-491804 B1 20000126
  PRIORITY APPLN. INFO .:
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Page 117

L11 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Macrolide erythromycins I (R = H,acyl, Bn, benzylomycarbonyl, alkylsilyl; R1 = alkyl; R2 = heterocycle, aryl; R3 = H, alkyl; R4 = halogen, CN; X1 = O, -CRSR6-, -NR5-; R5, R6 = H, alkyl; X2 = O, substituted oxime) were prepared as antibacterial and antiprotozola agents. Thus, I (R = H; R1 = Me; R2 = NH(CH2)3R7; R3 = Et, R4 = F) was prepared and tested in mice for its antibacterial and antiprotozola activities. 286462-85-99 286462-66-09 286462-80-19 286462-90-29 286462-90-29 286462-90-29 286462-90-29 286462-90-89

286462-98-49
RL: BAC [Blological activity or effector, except adverse); BSU [Blological study, unclassified); SFN [Synthetic preparation); THU (Therapeutic use); BIOL [Blological study); PREP (Preparation); USES (Uses) (preparation of ketolide antibiotics erythromycin derivs. as antibacterial and antiprotozoal agents)
RN 286462-85-9 CAPLUS
CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-1-[[3-[4-(3-rytridinyl)-1H-imidazol-1-yl]propyl]aminol-10-[[3,6-trideoxy-3-(dimethylamino)-PD-rylo-hexopyranosyl]oxy]-, 14-(O-methyloxime), [3as,4R,7s,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN Absolute stereochemistry. (Continued)

286462-88-2 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
1-[3-14,5-bis(acetyloxy)-1H-imidazol-1-yl]propyl]amino]-4-ethyl-7fluorooctahydro-11-methoxy-3a,7,9,11,13,15-hoxamethyl-10-[(3,4,6-trideoxy-3-(dimethylamino)-B-p-xylo-hexopyranosyl]noxyl-,
(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

286462-89-3 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
1-[3-(6-amino-9H-purin-9-y1)propy]]amino]-4-ethyl-7-fluorooctahydro-11methoxy-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-0-sylo-hexopyranoyl]oxy]-, (3aS,4R,7S,9R,10R,11R,13R,15R,15RR)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

286462-86-0 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-1-[[3-[4-[3-pyridinyl]-1H-imidazol-1-yl]butyl]amino]-10-[[3,4,6-trideoxy-3-(dimethylamino]-B--xylo-hexopyranosyloxy]-, 14-(O-methyloxime),
(3as,48,75,98,10R,11R,13R,15R,15RR)- (SCI) (CA INDEN NAME)

Absolute stereochemistry.
Double bond geometry unknown.

286462-87-1 CAPLUS

286462-87-1 CAPLUS
2H-OxacyClotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-1-[{3-(2-phenyl-1H-imidazol-1-yl)propyl]amino]-10-[{3,4,6-trideoxy-3-(dimethylamino)-B-0-Rylo-hexopyranosyl]oxy]-,
(3as,4R,7s,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

L11 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

286462-90-6 CAPLUS
2H-Oxacyclotetradecino(4,3-d)oxazole-2,6,8,14(1H,7H,9H)-tetrone,
1-{[3-[5-(4-chloropheny1)-2H-tetrazol-2-y1]propy]} amino)-4-ethyl-7fluorooctahydro-11-methoxy-3a,7,9,11,31,51-hexamethyl-10-[{3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl)oxy]-,
(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

286462-92-8 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-1-[[3-[4-(2-propenyl)-1H-imidazol-1-yl]propyl]maino]-10-[3,4,6-trideoxy-3-(dimethylamino]-9-D-sylo-hexopyranosyl]oxyl-, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

L11 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

286462-94-0 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-1-[{3-(5-phenyl-2H-tetrazol-2-yl)propyl]amino]-10-[{3.4,6-trideoxy-3-(dimethylamino]-B-0-ylo-hexapyyranoxyl)oxyl-,
(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

286462-96-2 CAPLUS
2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14 (1H,7H,9H)-tetrone,
1-[[3-[5-(2-chlorophenyl)-2H-tetrazol-2-yl]propyl]amino]-4-ethyl-7fluorooctahydro-1l-methoxy-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dmethylamino)-β-b-xylo-hexopyranosyl]oxy]-,
(3a5,4R,75,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[(2-0-acetyl-3,4,6-trideoxy-3-(dimethylamino)-P-D-xylohexopyranoxyl]oxyl-4-ethyl-7-fluorooctahydro-11-methoxy-3a,7,9,11,13,15hexamethyl-1-[(3-[4-(3-pyridinyl]-1H-imidazol-1-yl]propyl]amino]-,
14-(O-methyloxime), (3aS,4R,7S,9R,1OR,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

286462-98-4 CAPLUS Acetanide, N-[2-[1-{3-{[(3a, 4R, 75, 9R, 10R, 11R, 13R, 15R, 15aR)-4-ethyl-7-fluorododeahydro-11-methoxy-3a, 7, 9, 11, 13, 15-hexamethyl-2, 6, 8, 14-tetraoxo-10-[[3, 4, 6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopyranosyl]oxy]-2H-oxacyclotetradecino[4, 3-d]oxaco-1-[4H]-yl]amino]propyl]-1H-imidazol-4-yl]ethyl]- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

RI: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(DSES (USES) (Preparation of ketolide antibiotics erythromycin derivs. as antibacterial and antiprotozoal agents)
RN 28663-00-1 CAPLUS

ANSWER 23 OF 27

SSION NUMBER:
2000:367056 CAPLUS

133:4901
E: | Freparation of erythromycins as antibacterial agents

Denis, Alexis

NTOR(5):
DENIS, Alexis
HOCCE: | CODEN: EPXXDW

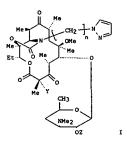
MENT TYPE: | CODEN: EPXXDW

MENT TYPE: | French

LY ACC. NUM. COUNT: 1 TITLE: INVENTOR(S): PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| | | APPLICATION | NO. DATE |
|----------------------|---------------|----------------------------------|------------------------|
| | | | |
| EP 1004592 | A1 200005 | 31 EP 1999-402 | 907 19991123 |
| EP 1004592 | | | |
| | | | |
| | | | I, LU, NL, SE, MC, PT, |
| IE, SI, | LT, LV, FI, A | .0 | |
| FR 2786198 | A1 200005 | 26 FR 1998-147 | 82 19981124 |
| FR 2786188 | B1 200210 | 31 | |
| MI 9959522 | A1 200005 | 25 \$11 1000-505 | 22 10001117 |
| AD 3333322 | A1 200003 | 25 AU 1999-595 20 ZA 1999-717 | £ 10001110 |
| ZA 990/1/6 | A 200011 | 20 ZA 1999-717 | 6 19991118 |
| US 6440941 | B1 200208 | 27 US 1999-442 | 681 19991118 |
| JP 2000159790 | A2 200006 | 13 JP 1999-331 | 141 19991122 |
| NO 9905745 | A 200005 | 25 NO 1999-574 | 5 19991123 |
| CN 1263101 | a 200008 | 16 CN 1999-127 | 394 19991123 |
| VD 2000057017 | . 200000 | 15 KR 1999-520 15 AT 1999-402 | 14 10001123 |
| KR 2000057017 | A 200009 | 15 KK 1999-520 | 14 19991123 |
| AT 245160 | E 200308 | 15 AT 1999-402 | 907 19991123 |
| BR 9905735 | A 200008 | 08 BR 1999-573 | 5 19991124 |
| US 2003050254 | A1 200303 | 13 US 2002-188 | 394 20020702 |
| US 6664398 | B2 200312 | 16 | 20020.00 |
| | | | |
| PRIORITY APPLN. INFO | .: | FR 1998-14782 | |
| | | US 1999-442681 | A3 19991118 |
| OTHER SOURCE(S): | MARPAT 13 | 3:4901 | |
| GI | | | |
| 41 | | | |



L11 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) carboxylate) were prepd. as antibacterial agents. Thus.

11,12-dideoxy-3-de[(2,6-dideoxy-3-c-nesthyl-3-on-methyl-a-l-ribohexopyranosyl)oxy]-6-O-methyl-3-oxo-12,11-[oxycarbonyl[(4-(3-(3-pyridinyl)-1H-pyrazol-1-yl]butyl]minol]-erythromycin was prepd. and tested in vitro for its antibacterial activity (MIC = 0.04-0.6 µ/CM3).

17 270251-28-DP 270251-31-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TEU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(derivs. of erythromycin, their process of preparation and their application

Absolute stereochemistry.

270251-31-5 CAPLUS

Absolute stereochemistry.

ANSWER 24 OF 27 CAPLUS COPYRIGHT 2004 ACS ON STN ESSION NUMBER: 2000:335421 CAPLUS UMENT NUMBER: 132:322074 132:322074
Preparation of erythromycin derivatives as antibiotics Agouridas, Constantin, Denis, Alexis, Fromentin, Claude
Hoechst Marion Roussel, Fr.
PCT Int. Appl., 13 pp.
CODEN: PIXXO2
Patent
French INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: French KIND DATE PATENT NO. APPLICATION NO.

1998-14145 1999-433146 1999-FR2718 A 19981110 A3 19991103 W 19991109

FR US WO MARPAT 132:322074 OTHER SOURCE(S):

L11 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

REFERENCE COUNT: THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 24 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Erythromycin derivs. I, wherein X represents a hydrogen atom or a halogen atom and Z represents a hydrogen atom or an acid radical and the additive salts with acids were prepared as antibiotics. Thus, 11,12-dideoxy-3-de-[(2,6-dideoxy-3-C-methyl-3-O-methyl-3-C-tribohexopyranosyl)ony]-6-O-methyl-3-loxo-12,11-[oxycarbonyl-[[4-[4-(4-aminophenyl)-1H-imidazol-1-yl]butyl]imino]]-erythromycin was prepared and tested in vitro for its antibacterial activity against Streptococcus pyogenes and pneumoniae (MIC = 0.3-2.5 µg/CM3).
267000-51-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of erythromycin derivs. as antibiotics)
267000-51-1 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 1-[4-(4-(4-aminophenyl)-1H-imidazol-1-yl]butyl]-4-ethyl-7-fluorooctahydro-1l-methoxy-3a,7,9,11,31,51-sheamethyl-10-[(3,4,6-trideoxy-3-(dimethylamino)-6-D-xylo-hexopyranosyl)oxy], (GA INDEX NAME)

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L11 ANSWER 24 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-A

PAGE 1-B

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ΙT 267000-52-29

267000-52-29
RL: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of erythromycin derivs. as antibiotics)
267000-52-2 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-([2-0-actyl-3,4,6-trideoxy-3-(dimethylamino)-9-D-xylo-hexopyranosyl]oxy]-1-[4-[4-(4-aminophenyl)-1H-imidazol-1-yl]butyl]-4-ethyl-7-[luorooctahydro-1]-methoxy-3a,7,9,11,3,15-hexamethyl-,
(3aS,4R,7S,9R,1OR,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

TILL ANSWER 25 OF 27 CAPLUS COPYRIGHT 2004 ACS ON STN ACCESSION NUMBER: 2000:289282 CAPLUS COPYRIGHT 2004 ACS ON STN 2000:289282 CAPLUS 132:279475 Preparation of --- anvitable and approximately appr Preparation of macrolide erythromycins as antibacterial agents Agouridas, Constantin; Bretin, Francois; Denis, Alexis; Fromentin, Claude Hoschat Marion Roussel, Fr. Fr. Demande, 28 pp. CODEN: FRXXBL Patent French PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: NO DATE

| PATENT NO. | KIND | DATE | APPLICATION NO | . DATE |
|-----------------------|--------|--------------|-------------------|---------------------|
| | | | | |
| FR 2784682 | A1 | 20000421 | FR 1998-12937 | 19981015 |
| FR 2784682 | B1 | 20021206 | | |
| US 6352983 | B1 | 20020305 | US 1999-416022 | 19991008 |
| JP 2000128896 | A2 | 20000509 | JP 1999-290869 | 19991013 |
| EP 1000952 | A2 | 20000517 | EP 1999-402523 | 19991014 |
| EP 1000952 | A3 | 20040102 | | |
| R: AT, BE, | CH, DE | , DK, ES, FR | , GB, GR, IT, LI, | LU, NL, SE, MC, PT, |
| IE, SI, | LT, LV | , FI, RO | | |
| US 2002111319 | A1 | 20020815 | US 2002-75635 | 20020213 |
| PRIORITY APPLN. INFO. | : | | FR 1998-12937 | A 19981015 |
| | | | US 1999-416022 | A1 19991008 |
| OTHER SOURCE(S): | MA | RPAT 132:279 | 475 | |
| GI | | | | |

Macrolide erythromycins I (A = N, NO; R = H, hydromyalkyl, arylomyalkyl; Rl and R2 = H, alkyl; Z = H, acyl) were prepared as antibacterial agents. Thus, [3as-(3aR,46*,7a*,95*,105*,115*,135*,155*,155*,15a*)]-4-ethyl;-7-fluoro-3a,4,10,11,12,13,15*,15a-octahydro-11-acthomy-3a,7,3,11,13,15-hexamethyl:10-[[3,4,6-trideoxy-3-(dimethyl-amino)-B-0-kylo-hexopyranosyl]oxy]-14,1-(nitrilocthano)-2B-oaxyclotatradesino[4,3-djoazola-2,6,8(9H)-trione was prepared and tested in vitro for its antibacterial activity (MIC = 0.02-1.2 µg/cm3)].
263904-89-89 263904-92-3P
RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

Page 121

L11 ANSWER 24 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-B

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L11 ANSWER 25 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
BIOL (Biological study), PREP (Preparation); USES (Uses)
(prepn. of macrolide erythromycins as antibacterial agents)
RN 263904-89-8 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-Dxylo-hexopyranosyl]oxy]-, (3aS,4R,7S,9R,10R,11R,13R,15R,15R)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

263904-92-3 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-18-(hydroxymethyl)-11-methoxy-3a,7,9,11,13,15-hexamethyl-10-[(3,4,6-trideoxy-3-(dimethylamino)-Po-xylo-hexopyranosyl)qnyl-,
(3aS,4R,75,9R,10R,11R,13R,15R,15aR,18R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

263904-91-2P 263904-95-6P 263904-99-0P 263905-00-6P

RESIDENCE (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (Preparation of macrolide erythromycins as antibacterial agents)

L11 ANSWER 25 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
263904-91-2 CAPLUS
CN 14,1-(Nitriloethano)-2H-oxacyclotetradecino(4,3-d)oxazole-2,6,8 (7H,9H)trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy3a,7,9,11,13,15-hexamethyl-10-[(3,4,6-trideoxy-3-(dimethylamino)-2-0(trimethylsilyl)-P0-xylo-hexopyranoxylloxyl-,
(3as,4R,7s,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

263904-95-6 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[[2-0-acetyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylohexopyranosyl]oxy]-4-ethyl-7-fluorooctahydro-1-[(1R)-1-(hydroxymethyl)-2(phenylmethyl)amino]ethyl]-11-methoxy-3a,7,9,11,13,15-hexamethyl-,
(3as,4R,7s,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

263904-99-0 CAPLUS

263904-99-0 CAPLUS
2H-OxacyClotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-1-[(1R)-1-(hydroxymethyl)-2[(phenylmethyl) amino]ethyl]-11-methoxy-3a,7,9,11,13,15-hexamethyl-10[[3,4,6-trideoxy-3-(dimethylamino)-FD-xylo-hexopyranosyl]oxy]-,
(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

ANSWER 26 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

1999:659085 CAPLUS

1999:659085 CAPLUS

131:257819

131:257819

131:257819

131:257819

131:257819

10-methyl-a-L-ribohexopyranosyl)oxyl-6-0-methyl-3O-methyl-a-L-ribohexopyranosyl)oxyl-6-0-methyl-3Oxoc-erythcomycin derivatives

Bonnet, Alain; Gambier, Francoise

HT ASSIGNEE(S):

EE:

COUEN: EFXXDW

Patent

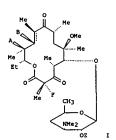
French

TY ACC. NUM. COURT:

TY INFORMATION:

TY INFORMATION: INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PT,

| PA1 | ENT N | ٥. | | KIN | ID | DATE | | | AI | PLI | CATIO | ON NO | ٥. | DATE | | |
|----------|---------|------|------|-----|-----|------|------|-------|------|-----|-------|-------|-----|------|------|-----|
| | | | | | - | | | | | | | | | | | |
| EP | 94926 | 8 | | A1 | | 1999 | 1013 | | E | 19 | 99-4 | 0084 | 3 | 1999 | 0407 | |
| EP | 94926 | 9 | | B1 | | 2003 | 1210 | | | | | | | | | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, |
| | | IE. | SI. | LT. | LV. | FI. | RO | | | | | | | | | |
| FR | 27772 | 82 | | A | | 1999 | 1015 | | F | 19 | 98-4 | 366 | | 1998 | 0409 | |
| FR | 27772 | 82 | | B1 | | 2001 | 0420 | | | | | | | | | |
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| CN | 12351 | 62 | | Α | | 1999 | 1117 | | C | 19 | 99-1 | 0486 | 3 | 1999 | 0407 | |
| CN | 11303 | 70 | | В | | 2003 | 1210 | | | | | | | | | |
| AT | 25613 | 9 | | E | | 2003 | 1215 | | A7 | 19 | 99-4 | 0084 | 3 | 1999 | 0407 | |
| PRIORITY | Y APPL | N. I | NFO. | : | | | | E | R 19 | 98- | 4366 | | Α | 1998 | 0408 | |
| OTHER SO | OURCE (| s): | | | MAR | PAT | 131: | 25781 | 9 | | | | | | | |
| GT | | | | | | | | | | | | | | | | |



Macrolide erythromycins I (A = OH; B = H; AB = carbonate, carbamate; Z = H, acyl, alkyl) were prepared Thus, 11,12-dideoxy-3-de([2,6-dideoxy-3-C-methyl-3-0-methyl-3-0-methyl-3-c-methyl-3-oxo-12,11-[oxycarbonyl[4-[-(3-pyridinyl)-1H-imidazol-1-yl]-

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L11 ANSWER 25 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN Absolute stereochemistry. (Continued)

Absolute stereochemistry.

L11 ANSWER 26 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) butyl]imino]erythromycin A was prepd.

17 244307-30-2E R.
Ri: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or cagent): (preparation of 2-fluoro-3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-\u03c4-L-ribohexopycanosyl)oxyl-6-O-methyl-3-oxo-erythromycin derivs.)

RN 244307-90-2 CAPLUS
CN 2H-Oxacyclotetradecinc[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 10-([2-O-acetyl-3,4,6-trideoxy-3-(dimethylamino)-9-D-xylo-hexopycanosyl]oxyl-4-ethyl-7-fluorooctahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-1-[4-4-(3-pycidinyl)-1H-imidzol-1-yl]butyl]-, (3aS,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 27 OF 27
ACCESSION NUMBER:
DOCUMENT NUMBER:
1999:299484 CAPLUS
130:312023
1711LE:
INVENTOR(5):
PATENT ASSIGNEE(5):
FOR THE ADDRESS OF THE ADDRESS

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| 11112011 1111 0112111 10111 | | | |
|-----------------------------|-----------------------|---------------------|-----------------|
| PATENT NO. | KIND DATE | APPLICATION NO. | ATE |
| | | | |
| WO 9921871 | A1 19990506 | WO 1998-US22989 1 | .9981029 |
| W: AL, AM, | AT, AU, AZ, BA, BB, | BG, BR, BY, CA, CH, | CN, CU, CZ, DE, |
| DK, EE, | ES, FI, GB, GD, GE, | GH, GM, HR, HU, ID, | IL, IS, JP, KE, |
| | KR. KZ. LC. LK. LR. | | |
| MX. NO. | NZ, PL, PT, RO, RU, | SD. SE. SG. SI. SK. | SL. TJ. TM. TR. |
| | . UG. UZ. VN. YU. ZW. | | |
| | KE, LS, MW, SD, SZ, | | |
| | GB, GR, IE, IT, LU, | | |
| | GN, GW, ML, MR, NE, | | ,,, |
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| | AA 19990506 | | |
| CR 2307788 | A1 19990517 | EN 1990-2307788 1 | 0001029 |
| AU 9912001 | B2 20020815 | AU 1999-12081 1 | .5501025 |
| AU /51446 | A1 20000816 | PR 1000 056330 1 | 0001000 |
| | | | |
| | CH, DE, DK, ES, FR, | GB, GR, IT, LI, LU, | NL, SE, PT, IE, |
| SI, F1, | , RO | | |
| | A 20000822 | | |
| JP 2001521039 | T2 20011106 | JP 2000-517980 1 | .9981029 |
| NZ 503822 | A 20021220 | NZ 1998-503822 1 | .9981029 |
| NO 2000002190 | A 20000629 | NO 2000-2190 2 | 20000427 |
| BG 104434 | A 20010131 | BG 2000-104434 2 | 20000515 |
| PRIORITY APPLN. INFO | o.: 1 | US 1997-959881 A 1 | 9971029 |
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| | | VO 1998-US22989 W 1 | 9981029 |
| OTHER SOURCE(S): | MARPAT 130:3120 | 23 | |
| GI | | | |

L11 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

223508-01-8 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione,7-chloro-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-10-[(3,4,6-trideoxy-3-(dimethylamino)-9-D-wylo-hexopyranosyl]oxy]-, (3a5,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

223508-03-0 CAPLUS
14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione,7-bromo-4-ethyl-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy-3a,7,9,11,13,15-bexamethyl-10-[(3,4,6-trideoxy-3-(dimethylamino)-6-D-wylo-hexopyranosyl]oxyl-, (3a5,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX INME)

Absolute stereochemistry.

L11 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Macrolide erythromycins I (R is hydrogen or a hydroxy protecting group; R1 = alkyl optionally substituted with one or more substituents, ; X is F, C1, Br, I/CH2CH:CHY, wherein Y is selected from the group consisting of H, aryl, heteroaryl, -CH:CH2. CH:CH3-exl, CH:CH3-exl, CH:CH3-exl, and aryloyl) were prepared as antibacterial agents. Thus, I (R = H, R1 = CH2CH:CH2, X = F) was prepared and tested for its antibacterial activity (MICs = 0.2-100 µg/ml). 223508-04-19 223508-05-9 223508-05-9 223508-10-9 223508-12-9 223508-12-9 223508-13-9 223508-13-9 223508-13-9 223508-13-9 223508-13-9 223508-13-9 223508-13-9 223508-13-9 223508-13-9 223508-13-9 223508-23-9 223508-23-9 223508-23-9 223508-23-9 223508-23-9 223508-23-9 P 223508-23-9 P 223508-34-7 P RE: BAC (Biological activity or effector, except adverse); BSU (Biological

223508-33-69 223508-34-79

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 2-halo-6-0-substituted ketolide erythromycins as antibacterial agents)

23507-97-9 CAPLUS

14,1-(Nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(7H,9H)-trione, 4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-10-[(3,4,6-trideoxy-3-(dimethylamino)-8-D-xylo-hexopytranoxyl]oxy]-, (3a5,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

223508-04-1 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propenyloxy)-10[[3,4,6-trideoxy-3-(dimethylamino]-P-D-xylo-hexopyranosyl]oxy]-,
[3a5,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

223508-06-3 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[(3-(3-quinolinyl)-2-propenyl]oxy]-10-[(3,4,6-trideoxy-3-(dimethylamino)- β -D-xylohexopyranosyl]oxy]-, (3a5,4R,75,9R,10R,11R,13R,15R,15RR)- (9CI) (CA INDEX NAME)

L11 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

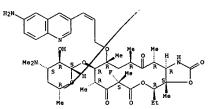
223508-09-6 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(6-nitro-3-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxyl]oxy]-, (3a5,4R,7s,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

223508-10-9 CAPLUS
2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[(3-phenyl-2-propenyl)oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3a5,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



223508-13-2 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[(3-(6-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylohexopyranosyl]oxy]-, (3as,4R,7s,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

223508-14-3 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[{3-(1,8-naphthyridin-3-yl)-2-propenyl]oxy}-10-[{3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranoxyl]oxy}-, (3aS,4R,7S,9R,10R,11R,13R,15R,15R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

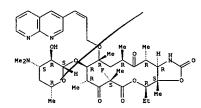
223508-11-0 CAPLUS
Carbamic acid, [3-[3-[{{3a5,4R,75,9R,10R,11R,13R,15R,15aR}-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10[[3.4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl)oxy]-2H-oxacyclotetradecino[4,3-d]oxacol-11-yl)oxyl-1-propenyl]-6-quinolinyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

223508-12-1 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 11-[[3-(6-anino-3-quinolinyl)-2-propenyl]oxy]-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-wylo-hexopyranosyl]oxy]-, (3a5,4R,7S,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

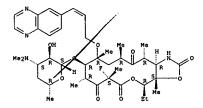
Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



223508-16-5 CAPLUS
2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14 (1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(6-quinoxalinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranoxyl]oxy]-, (3as,4R,7s,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.



223508-17-6 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
11-[[3-[6-dimethylamino)-3-quinolinyl]-2-propenylloxy]-4-ethyl-7fluorooctahydro-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3(dimethylamino)-8-0-nylo-hexopyranoxylloxy]-,
[3a5,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

L11 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 223508-18-7 CAPLUS
CN 6-Quinolinemethanesulfonamide, 3-{3-{{\darksign}}} {\darksign} {\

Absolute stereochemistry.
Double bond geometry unknown.

RN 223508-19-8 CAPLUS
CN 6-Quinolinecarboxamide, 3-[3-[[(3aS,4R,75,9R,10R,11R,13R,15R,15R)-4-ethyl-7-fluorotetradecahydro-3a,7.9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranosyl]oxy]-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 223508-22-3 CAPLUS
CN 6-Quinolinecarboxaldehyde, 3-[3-[[(3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propenyl]-, 6-oxime (9CI) (CA INDEX NAME)

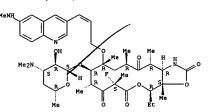
RN 223508-23-4 CAPLUS
CN 6-Quinolinecarboxaldehyde, 3-[3-[[(3as,4R,7s,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-2H-oxacyclotetradecino(4,3-d]oxazol-11-yl]oxy]-1-propenyl]-, 6-hydrazone (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L11 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

N 223508-20-1 CAPLUS
N 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorootahydro-3a,7,9,11,13,15-hexamethyl-11-[(3-[6(methylamino)-3-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3(dimethylamino)-B-D-xylo-hexopyranoxyl]oxy]-,
(3a5,4R,75,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

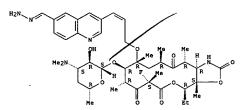
Absolute stereochemistry. Double bond geometry unknown.



RN 223508-21-2 CAPLUS
CN 6-Quinolinecarboxaldehyde, 3-{3-{{((3aS,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-{{(3,4,6-trideoxy-3-{dimethylamino}-β-0-xylo-hexopyranosyl)oxy}-2H-oxacyclotetradecino{{4,3-d}oxacol-11-yl]oxy}-1-propenyl}- (9CI) (CA INDEX NAME)

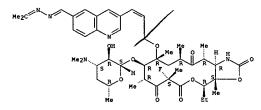
Absolute stereochemistry. Double bond geometry unknown.

L11 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 223508-24-5 CAPLUS
CN 6-Quinolinecarboxaldehyde, 3-[3-[([3a5,4R,75,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotetradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[(3,4,6-trideoxy-3-(dimethylamino)-8-0-xylo-hexopyranosyl]oxy]-2H-oxacyclotetradecino(4,3-d)oxacol-11-ylloxy]-1-propenyl]-,6-[(1-methylethylidene)hydrazone] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L11 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

223508-26-7 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propynyloxy)-10[[3,4,6-trideoxy-3-(dimethylamino)-PD-xylo-hexopyranoxyl)oxy]-,
(3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. HC (

223508-28-9 CAPLUS 2H-Oxacyclotetradecino [4,3-d] oxazole-2,6,8,14 (1H,7H,9H)-tetrone, 4-ethyl-7-fluorootchydro-3a,7,9,11,13,15-hexamethyl-11-[(4-οκο-4-phenyl-2-butynyl) oxy]-10-[(3,4,6-trideoxy-3-(dimethylamino)-β-D-κylo-hexopyranosyl] oxy]-, (3a5,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

223508-31-4 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[{3-(3-quinolinyl)-2-propynyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,9R,10R,11R,13R,15R,15RR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

223508-32-5 CAPLUS
8-Quinolinesulfonamide, 3-[3-[[(3aS,4R,7S,9R,10R,11R,13R,15R,15aR)-4-ethyl-7-fluorotteradecahydro-3a,7,9,11,13,15-hexamethyl-2,6,8,14-tetraoxo-10-[[3,4,6-trideoxy-3-(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-2H-oxacyclotetradecino[4,3-d]oxazol-11-yl]oxy]-1-propynyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

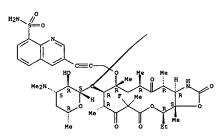
223508-29-0 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14[1H,7H,9H]-tetrone, 4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[4-oxo-4-(2-thienyl)-2-butynyl]oxyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-wylo-hexopyranosyl]oxyl-, (3a5,4R,9R,10R,11R,13R,15R,15RR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

223508-30-3 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
11-[(4-(6-chloro-3-pyridinyl)-4-oxo-2-butynyl]oxy]-4-ethyl-7fluorooctahydro-3a,7,9,11,13,15-hexamethyl-10-[{3,4,6-trideoxy-3(dimethylamino)-B-D-xylo-hexopyranosyl]oxy]-,
(3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



223508-33-6 CAPLUS 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 11-[(3-(2,2'-bithiophen)-5-yl-2-propynyl)oxy]-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-10-[(3,4,6-trideoxy-3-(dimethylamino)- β -D-kylo-hexopyranosyl]oxy]-, (3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

223508-34-7 CAPLUS
2H-Oxacyclotetradecino [4,3-d] oxazole-2,6,8,14 (1H,7H,9H)-tetrone,
4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-{5-(2-pyr)dinyl)-2-thienyl]-2-prophyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-,
(3aS,4R,9R,10R,11R,13R,15R,15RR)- (9CI) (CA INDEX NAME)

L11 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

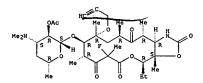
223507-98-0P 223508-05-2P 223508-07-4P 223508-27-8P

223508-27-8P
RL: RCT (Reactant), SPN (Synthetic preparation), PREP (Preparation), RACT (Reactant or reagent)
(preparation of 2-halo-6-0-substituted ketolide erythromycins as antibacterial agent)
223507-98-0 CAPLUS
14,1-(Nitrilothano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8 (7H,9H)-trione, 10-[2-0-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-P-D-sylo-hexopyranosyl]oxy]-4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-, (3as,4R,9R,10R,11R,13R,15R,15R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

223508-05-2 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[(2-0-acety)-3,4,6-trideoxy-3-(dimethylamino)-B-D-xylohexopycanoxyl]oxyl-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-hexamethyl-11(2-propenyloxy)-, (3aS,4R,7S,9R,10R,11R,13R,15R,15R)- (9CI) (CA INDEX

L11 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT:

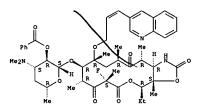
THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 2

L11 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN NAME)

Absolute stereochemistry.

223508-07-4 CAPLUS
2H-Oxacyclotetradecino[4,3-d] oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[{2-0-bexoyl-3,4,6-trideoxy-3-(dimethylamino)-B-D-xylohexopyranosyl] oxyl-4-ethyl-7-fluorooctahydro-3a,7,9,11,13,15-bexamethyl-11[[3-(3-quinolinyl)-2-propenyl]oxyl-, (3a5,4R,7S,9R,10R,11R,13R,15R,15aR)(9GI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



223508-27-8 CAPLUS
2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
10-[[2-0-acetyl-3,4,6-trideoxy-3-(dimethylamino)-β-0-xylo-hexopyranoxyl]oxyl-4-ethyl-7-fluorootahydro-3a,7,9,11,13,15-hexamethyl-11-(2-propynyloxy)-, (3aS,4R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)